STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 118896

TO: Tamthom Truong	**From: Peggy Ruppel**
Location: rem/5b19/5c18	**Location: Biotech-Chem Library**
Art Unit: 1624	**REMSEN 1B65**
Thursday, April 08, 2004	**Phone: 571-272-2557**
Case Serial Number: 10/088814	**Peggy.Ruppel@uspto.gov**

Search Notes

Dear Examiner Truong,

I've included the full printouts for the 27 patent records that were published earlier than the year 2000 PCT publishing date for this application. I've included the citations and the first hit structure for the nine records that were published after these but before the end of 2002.

I did this to keep the report to a manageable size. If I had included all of the structures for the nine records dating from 2001 to 2002, the report would have been almost 900 pages long!

Please let me know if you have any questions or comments.

Thank you for using STIC services.

Peggy

MEJ

Scientific and Technical Information Center

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(STIC)

Tech Center:

(•) TC 1600 ( ) TC 1700 ( ) TC 2100 ( ) TC 2600 ( ) TC 2800
( ) TC 3600 ( ) TC 3700 ( ) Design2900 ( ) Other

Enter your Contact Information below:

Name: TRUONG, TAMTHOM (a.k.a. TAM)
Employee Number: 74142 Phone: x 20676
Art Unit or Office: 1624 Building & Room Number: REM 5B19

Enter the case serial number (Required): 10/ 088,~~854~~ 814 (10/088, 814)
If not related to a patent application, please enter NA here.

Class / Subclass(es) 544/ 284, 287, 293; 514/ 266.2, 266.3, 2(

Earliest Priority Filing Date: SEPTEMBER, 2002

Format preferred for results:
☑ *Paper* ☐ *Diskette* ☐ *E-mail*

Provide detailed information on your search topic:

- In your own words, describe in detail the concepts or subjects you want us to search.
- Include synonyms, keywords, and acronyms. Define terms that have special meanings.
- *For Chemical Structure Searches Only*
  Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers
- *For Sequence Searches Only*
  Include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

- *For Foreign Patent Family Searches Only*
  Include the country name and patent number.
- Provide examples or give us relevant citations, authors, etc., if known.
- FAX or send the **abstract, pertinent claims** (not all of the claims), **drawings, or chemical structures** to your EIC or branch library.

Enter your Search Topic Information below:

SEE ATTACHED CLAIMS 1, 2, 21, 22, 23, 24, 25, AND 27.

Special Instructions and Other Comments:
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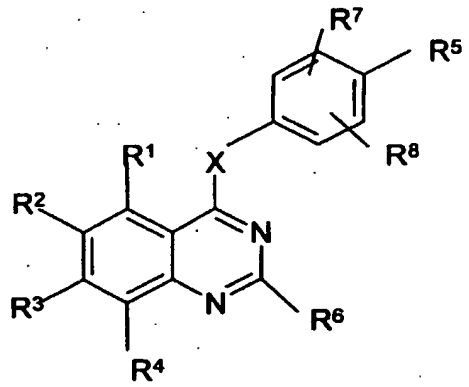
Press ALT + F, then P to print this screen for your own information.

SEND RESET

Claims

1. The use of a compound of formula (I)



(I)

or a salt, ester, amide or prodrug thereof;

where X is O, or S, S(O) or $S(O)_2$, NH or NR^{12} where R^{12} is hydrogen or C_{1-6}alkyl;

R^5 is selected from a group $NHC(O)OR^9$, $NHC(O)R^9$, $NHS(O)_2R^9$, $C(O)R^9$, $C(O)OR^9$, $S(O)R^9$, $S(O)OR^9$, $S(O)_2OR^9$, $C(O)NR^{10}R^{11}$, $S(O)NR^{10}R^{11}$ $S(O)ONR^{10}R^{11}$

where R^9, R^{10} or R^{11} are independently selected from hydrogen, optionally subsitituted hydrocarbyl and optionally substituted heterocyclyl and R^{10} and R^{11} together with the nitrogen atom to which they are attached may additionally form an optionally substituted heterocyclic ring which optionally contains further heteroatoms;

R^6 is hydrogen, optionally substituted hydrocarbyl or optionally substituted heterocyclyl;

R^7 and R^8 are independently selected from hydrogen, halo, C_{1-4}alkyl, C_{1-4} alkoxy, C_{1-4}alkoxymethyl, di(C_{1-4}alkoxy)methyl, C_{1-4}alkanoyl, trifluoromethyl, cyano, amino, C_{2-5}alkenyl, C_{2-5}alkynyl, a phenyl group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or non-aromatic and may be saturated (linked via a ring carbon or

nitrogen atom) or unsaturated (linked via a ring carbon atom), and which phenyl, benzyl or heterocyclic group may bear on one or more ring carbon atoms up to 5 substituents selected from hydroxy, halogeno, C_{1-3}alkyl, C_{1-3}alkoxy, C_{1-3}alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C_{2-4}alkanoyl, C_{1-4}alkanoylamino, C_{1-4}alkoxycarbonyl, C_{1-4}alkylsulphanyl, C_{1-4}alkylsulphinyl, C_{1-4}alkylsulphonyl, carbamoyl, N-C_{1-4}alkylcarbamoyl, N,N-di(C_{1-4}alkyl)carbamoyl, aminosulphonyl, N-C_{1-4}alkylaminosulphonyl, N,N-di(C_{1-4}alkyl)aminosulphonyl, C_{1-4}alkylsulphonylamino, and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C_{1-3}alkyl, C_{1-3}alkoxy, C_{1-3}alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C_{1-4}alkoxycarbonyl, and

R^1, R^2, R^3, R^4 are independently selected from halogeno, cyano, nitro, C_{1-3}alkylsulphanyl, -N(OH)R^{13}- (wherein R^{13} is hydrogen, or C_{1-3}alkyl), or $R^{15}X^1$- (wherein X^1 represents a direct bond, -O-, -CH_2-, -OCO-, carbonyl, -S-, -SO-, -SO_2-, -NR^{16}CO-, -CONR^{16}-, -SO_2NR^{16}-, -$NR^{17}SO_2$- or -NR^{18}- (wherein R^{16}, R^{17} and R^{18} each independently represents hydrogen, C_{1-3}alkyl or C_{1-3}alkoxyC_{2-3}alkyl), and R^{15} is hydrogen, optionally substituted hydrocarbyl, optionally substituted heterocyclyl or optionally substituted alkoxy;

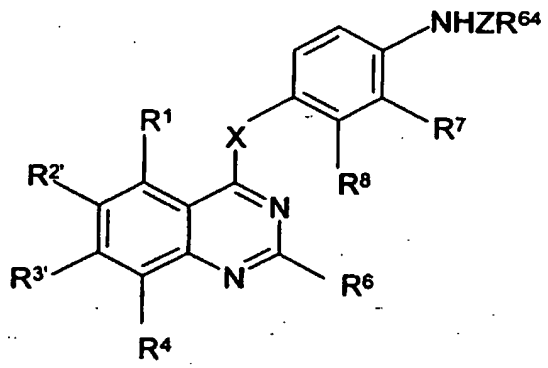
in the preparation of a medicament for use in the inhibtion of aurora 2 kinase.

2. The use according to claim 1 wherein in the compound of formula (I), at least one group R^1, R^2, R^3, R^4 is a group $R^{15}X^1$- and R^{15} is hydrogen, an optionally substituted hydrocarbyl group selected from alkyl, alkenyl, alkynyl, aryl, aralkyl, cycloalkyl, cycloalkenyl or cycloalkynyl, or combinations thereof; or an optionally substituted heterocyclyl group of from 4 to 20 ring atoms, at least one of which is a heteroatom such as oxygen, sulphur or nitrogen and where the optional substituents comprise at least one functional group selected from nitro, cyano, halo, oxo, =$CR^{78}R^{79}$, $C(O)_xR^{77}$, OR^{77}, $S(O)_yR^{77}$, $NR^{78}R^{79}$,

19. A compound of formula (IIA) which comprises a compound of formula (II) as defined in claim 15, or a salt, ester, amide or prodrug thereof, provided that (i) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are both hydrogen or both methoxy, R^{64} is other than phenyl;
(ii) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are methoxy, and Z is C(O), R^{64} is other than methyl;
(iii) where R^1, R^{2+}, R^3, R^4, R^6, R^7 and R^8 are all hydrogen, X is oxygen, R^6 is 4-methyl -1- piperazinyl and Z is C(O), R^{64} is other methyl.

20. A compound of formula (IIC) as defined in claim 16 or a salt, ester or amide thereof, provided that i) where R^1, R^4, R^7 and R^8 are all hydrogen and R^2 and R^3 are both hydrogen or both methoxy, R^{64} is other than phenyl; and
(ii) where R^1, R^4, R^6, R^7 and R^8 are all hydrogen and R^2 and R^3 are methoxy, and Z is C(O), R^{64} is other than methyl.

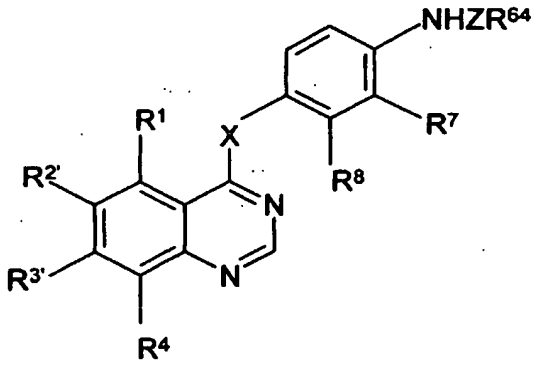
21. A compound of formula (IIB)



(IIB)

or a salts, ester, amide or prodrug thereof,
where R^1, R^4, R^6, R^7, R^8, R^{64}, Z and X are as defined in claim 15 and $R^{2'}$ and $R^{3'}$ are groups R^2 and R^3 respectively, provided that at least one of said groups and preferably $R^{3'}$ is a group of sub-formula X^1-$R^{15'}$ where X^1 is as defined above, and $R^{15'}$ is a group R^{15} as defined above in claim 1, provided that it is other than methyl.

22. A compound of formula (IID)

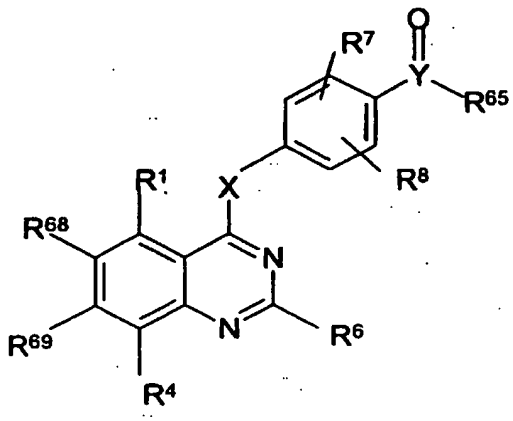


(IID)

or a salt, ester or amide thereof;

where R^1, R^4, R^7, R^8, X, Z and R^{64} are as defined in claim 16 and $R^{2'}$ and $R^{3'}$ are groups R^2 and R^3 as defined in claim 16 respectively, provided that at least one of said groups and preferably $R^{3'}$ is a group of sub-formula X^1-$R^{15'}$ where X^1 is as defined in claim 16, and $R^{15'}$ is a group R^{15} as defined in claim 16, provided that it is other than methyl.

23. A compound of formula (VIA)

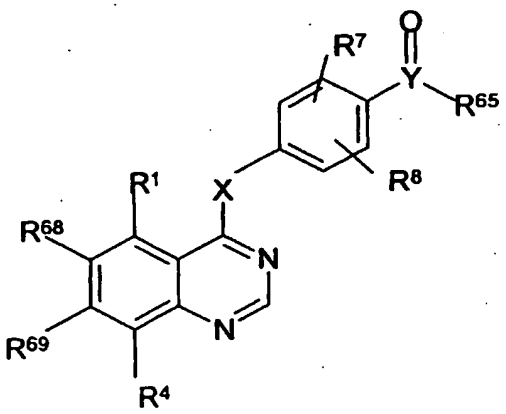


(VIA)

or a salt, ester, amide or prodrug thereof,

where X, Y, R^1, R^4, R^6, R^7, R^8 are as defined in claim1, R^{65} is as defined in claim 17, and R^{68} and R^{69} are equivalent to R^2 and R^3 as defined above in claim 1 except that at least one of R^{68} or R^{69} is a group of sub-formula X^1R^{15} where R^{15} is as defined in any one of claims 1 to 6, provided that when said one of R^{68} or R^{69} is morpholinopropoxy, the other is not a group of sub-formula (18) as defined in any one of claims 1 to 6; and further provided that when when said one of R^{68} or R^{69} is methoxyethoxy, the other is not methoxy.

24. A compound according to claim 23 of formula (VIB)



(VIB)

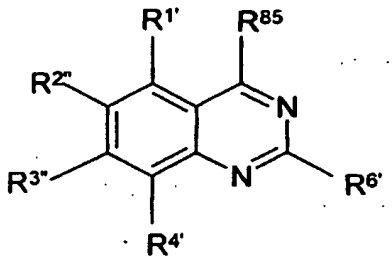
or a salt, ester or amide thereof,

where X, Y, R^1, R^4, R^6, R^7, R^8 are as defined in claim18, R^{65} is as defined in claim 18, and R^{68} and R^{69} are equivalent to R^2 and R^3 as defined in claim 18 except that at least one of R^{68} or R^{69} is a group of sub-formula X^1R^{15} where R^{15} is as defined in claim 18, provided that when said one of R^{68} or R^{69} is morpholinopropoxy, the other is not a group of sub-formula (18) as defined in claim 18; and further provided that when when said one of R^{68} or R^{69} is methoxyethoxy, the other is not methoxy.

25. A compound according to any one of claims 19 to 24 where X is NH.

26. A compound according to any one of claims 19 to 24 where X^1 is oxygen.

27. A method for preparing a compound according to any one of claims 19 to 26, which method comprises reacting a compound of formula (VIII')



(VIII')

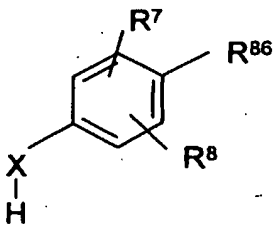
where $R^{1'}$ is equivalent to the corresponding group of formula R^1 as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

$R^{2''}$ is equivalent to the corresponding group of formula R^2 or $R^{2'}$ or R^{68} as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

$R^{3''}$ is equivalent to the corresponding group of formula R^3 or $R^{3'}$or R^{69}as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;

$R^{4'}$ is equivalent to the corresponding group of formula R^4 as defined in relation to the said compound of claims 19 to 26, or a precursor thereof;,

$R^{6'}$ is a group R^6 where present in the compound of any one of claims 18 to 26 or is hydrogen where absent, and R^{85} is a leaving group, with a compound of formula (IX')



(IX')

where X, R^7 and R^8 are as defined in relation to the relevant compound according to any one of claims 19 to 26, and R^{86} is a group of formula $NHZR^{64}$ or $Y(O)R^{65}$ where Z, R^{64}, Y and R^{65} as are defined in the relation to the said compound in any one of claims 19 to 26; and thereafter if desired or necessary converting a group $R^{1'}$, $R^{2''}$, $R^{3''}$ or $R^{4'}$ to a group R^1, R^2 or $R^{2'}$ or R^{68}, R^3 or $R^{3'}$ or R^{69} and R^4 respectively or to a different such group.

28. A method for inhibiting aurora 2 kinase in a warm blooded animal, such as man, in need of such treatment, which comprises administering to said animal an effective amount of a compound of formula (I) as defined in claim 1, or a pharmaceutically acceptable salt, or an *in vivo* hydrolysable ester, or amide or prodrug thereof.

29. A compound of the formula (IIA), (IIB) or (VIA) as defined in claim 19, or claim 20 or claim 23 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide or prodrug thereof, or a compound of formula (IIC), (IID) of (VIB) as defined in claim 21, 22 or 24 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide thereof, for use in a method of treatment of the human or animal body by therapy.

30. A pharmaceutical composition comprising a compound of formula (IIA), (IIB) or (VIA) as defined in claim 19, or claim 20 or claim 23 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide or prodrug thereof, or a compound of formula (IIC), (IID) of (VIB) as defined in claim 21, 22 or 24 respectively, or a pharmaceutically acceptable salt or an *in vivo* hydrolysable ester, or amide thereof, in combination with at pharmaceutically acceptable carrier.

31. The use according to any one of claims 1 to 15 or 17 wherein the compound of formula (I) is a prodrug.

=> b reg
FILE 'REGISTRY' ENTERED AT 13:28:50 ON 08 APR 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 APR 2004 HIGHEST RN 672883-15-7
DICTIONARY FILE UPDATES: 7 APR 2004 HIGHEST RN 672883-15-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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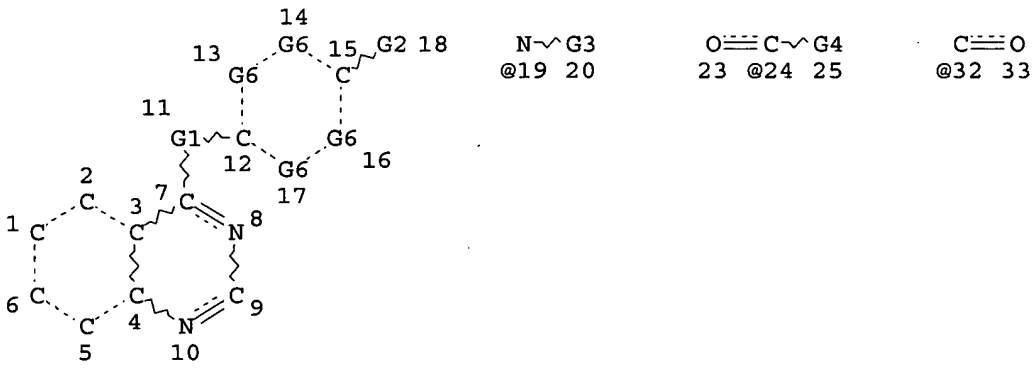
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que l20
L8 STR



VAR G1=N/S/O
VAR G2=19/24/26
VAR G3=32/S
VAR G4=C/N/O
VAR G5=C/O/N/H
VAR G6=CH/C/35/37
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE
L20 1462 SEA FILE=REGISTRY SSS FUL L8

=> b hcaplus
FILE 'HCAPLUS' ENTERED AT 13:29:05 ON 08 APR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 8 Apr 2004 VOL 140 ISS 15
FILE LAST UPDATED: 7 Apr 2004 (20040407/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que l24 nos
L8 STR
L20 1462 SEA FILE=REGISTRY SSS FUL L8
L21 72 SEA FILE=HCAPLUS ABB=ON PLU=ON L20
L22 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L21 AND PD<=2000
L23 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L22 AND PD<=2002
L24 27 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND P/DT

=> d ibib abs hitstr l24 1-27

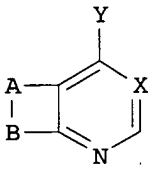
L24 ANSWER 1 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:200102 HCAPLUS
DOCUMENT NUMBER: 140:235750
TITLE: Preparation of quinazolines as epidermal growth factor receptor (erbB) inhibitors for the treatment of proliferative diseases
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1396489	A1	20040310	EP 2003-24331	19991224

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, FI, CY
EP 1029853 A1 20000823 EP 1999-310574 19991224 <--
EP 1029853 B1 20040225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
US 2003055049 A1 20030320 US 2002-226255 20020822
PRIORITY APPLN. INFO.: US 1999-117341P P 19990127
EP 1999-310574 A3 19991224
US 2000-488378 A3 20000120

GI



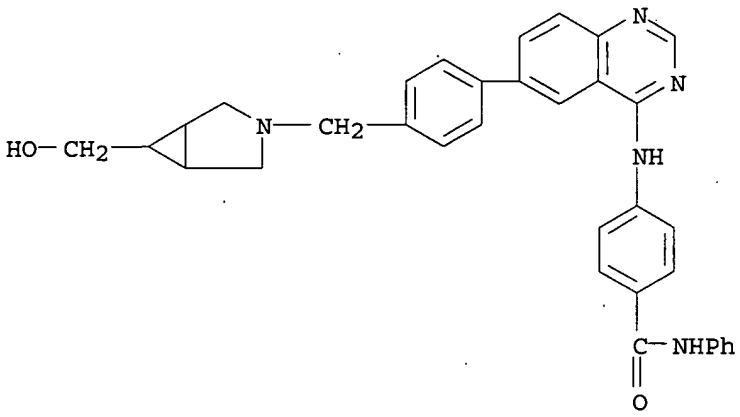
I

AB Title compds. I [X = N, CH; A-B = R4-substituted fused pyridyl, pyrimidyl, furanyl, etc.; Y = NR1R3; R1, R2 = H, alkyl; R3 = -(CR1R2)m-R8 or R1 and R3 are taken together with N; R4 = -(CR1R2)p-aryl, -(CR1R2)p-heterocyclic, -(CR1R2)q-NR1R9, etc.; R8 = -(CR1R2)p-aryl, -(CR1R2)p-heterocyclic; R9 = fused or bridged bicyclic ring, spirocyclic ring with provisos; m= 0, 1; p, q = 0-5] and their pharmaceutically acceptable salts were prepared For example, coupling of compound I [X = N; A-B = -CR4=CH-CH=CH-; Y = OPh; R4 = 4-((6-hydroxymethyl-3-aza-bicyclo[3.1.0]hex-3-yl)methyl)phenyl], e.g., prepared from 6-iodo-4-quinazolinone in 4-steps, with 1-cyclopropylmethyl-1H-indol-5-ylamine, afforded compound I [X = N; A-B = -CR4=CH-CH=CH-; Y = 1-cyclopropylmethyl-1H-indol-5-ylamino; R4 = 4-((6-hydroxymethyl-3-aza-bicyclo[3.1.0]hex-3-yl)methyl)phenyl] in 67% yield. In c-erbB2 kinase inhibition assays, compds. I showed potent (sic.) inhibition of the erbB2 tyrosine kinase activity (no data provided). Compds. I are claimed useful for the treatment of cancer and benign proliferative diseases, e.g., psoriasis.

IT **289036-92-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as erbB inhibitors for the treatment of proliferative diseases)

RN 289036-92-6 HCAPLUS

CN Benzamide, 4-[[6-[4-[[6-(hydroxymethyl)-3-azabicyclo[3.1.0]hex-3-yl]methyl]phenyl]-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:20322 HCAPLUS
DOCUMENT NUMBER: 140:87658
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shaomeng; Hu, Zengjian
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 280 pp., Cont.-in-part of U.S. Ser. No. 6,982.
CODEN: USXXCO
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 2004006011	A1	20040108	US 2003-425557	20030428	
US 6031072	A	20000229	US 1997-893534	19970711	<--
US 6326352	B1	20011204	US 2000-507102	20000217	<--
US 2002168761	A1	20021114	US 2001-769145	20010124	<--
US 2002151475	A1	20021017	US 2001-6982	20011204	<--

PRIORITY APPLN. INFO.:

US 1996-21612P	P	19960712
US 1997-893534	A1	19970711
US 2000-491078	B2	20000124
US 2000-507102	A1	20000217
US 2001-769145	B2	20010124
US 2001-6982	A2	20011204

OTHER SOURCE(S): MARPAT 140:87658

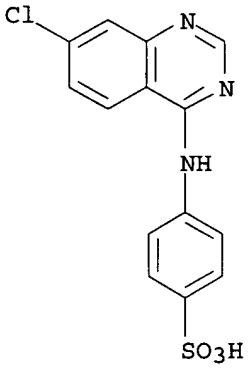
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **105037-36-3**, Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]-

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 105037-36-3 HCAPLUS

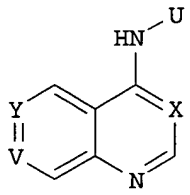
CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)

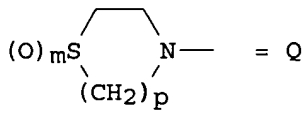


L24 ANSWER 3 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:854415 HCAPLUS
DOCUMENT NUMBER: 133:362769
TITLE: Preparation of 6-(thiomorpholinomethylfuranyl)-4-quinazolinamines as protein tyrosine kinase inhibitors
INVENTOR(S): Carter, Malcolm Clive; Cockerill, George Stuart; Guntrip, Stephen Barry; Lackey, Karen Elizabeth; Smith, Kathryn Jane
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Brit. UK Pat. Appl., 151 pp.
CODEN: BAXXDU
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
GB 2345486	A1	20000712	GB 1999-29973	19991217	<--

PRIORITY APPLN. INFO.: GB 1999-518 A 19990111
GB 1999-15510 A 19990703
OTHER SOURCE(S): MARPAT 133:362769
GI





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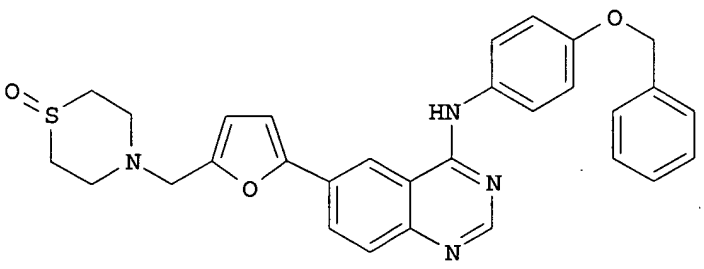


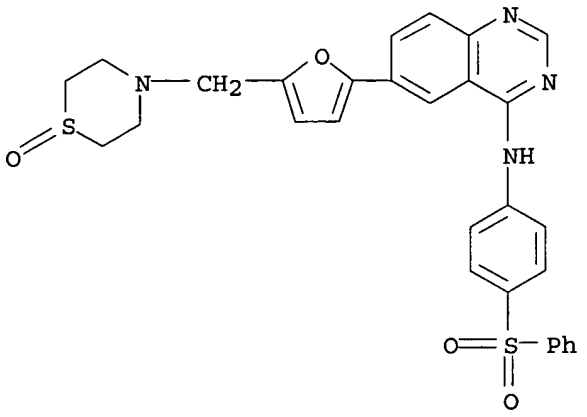
II

AB The title compds. (I) [wherein X = N or CH; V and Y = independently CR1, CR2, or N; and V ≠ Y; R1 = Q(CH2)qAr; m = 1 or 2; p = 1 or 2; q = 1-4; Ar = (un)substituted Ph, furanyl, thiophenyl, pyrrolyl, or thiazolyl; R2 = H, halo, OH, alkyl(amino) alkoxy, or dialkylamino; U = (un)substituted Ph, pyridyl, (benz)imidazolyl, (iso)indolyl, (iso)indolinyl, indazolyl, or benzotriazolyl] were prepared as protein tyrosine kinase inhibitors for the treatment of cancer and other disorders mediated by aberrant protein tyrosine kinase activity. For example, II•2HCl was formed in a multi-step sequence involving (1) reaction of 5-(1,3-dioxolan-2-yl)-2-(tributylstannyl)furan with (4-benzyloxyphenyl)(6-bromoquinazolin-4-yl)amine using Pd(PPh3)2Cl2 in dioxane, (2) conversion of the cyclic acetal to the aldehyde with HCl in THF, (3) addition of thiomorpholine-S-oxide in CH2Cl2 and conversion to the HCl salt. I inhibited EGFR and c-erbB-2 tyrosine kinase with IC50 < 0.10 μM and suppressed cell proliferation against a range of tumor cell lines.

IT **307328-18-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiomorpholinomethylfuranyl quinazolinamine and pyrido[3,4-d]pyrimidinamine anticancer agents by amination of (haloheterocyclyl)furancarboxaldehydes with anilines followed by addition of thiomorpholine (oxides))

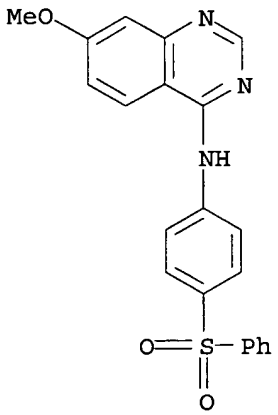
RN 307328-18-3 HCAPLUS

CN 4-Quinazolinamine, 6-[5-[(1-oxido-4-thiomorpholinyl)methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

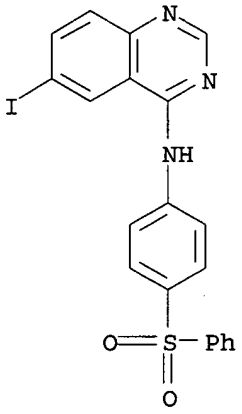
IT **231278-69-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of thiomorpholinomethylfuranyl quinazolinamine and pyrido[3,4-d]pyrimidinamine anticancer agents by amination of (haloheterocyclyl)furancarboxaldehydes with anilines followed by addition of thiomorpholine (oxides))
RN 231278-69-6 HCAPLUS
CN 4-Quinazolinamine, 7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



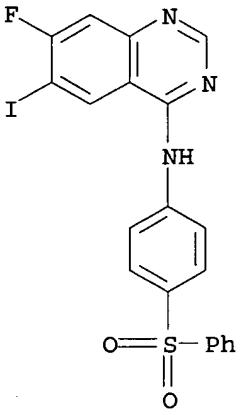
IT **230955-63-2P**, [4-(Benzenesulphonyl)phenyl](6-iodoquinazolin-4-yl)amine **231278-19-6P**, (4-(Benzenesulphonyl)phenyl)(6-iodo-7-fluoroquinazolin-4-yl)amine hydrochloride **231278-30-1P** **231278-37-8P**, [6-[5-(1,3-Dioxolan-2-yl)furan-2-yl]-7-methoxyquinazolin-4-yl](4-(benzenesulphonyl)phenyl)amine **231278-39-0P**, 5-[7-Methoxy-4-((4-(benzenesulphonyl)phenyl)amino)quinazolin-6-yl]furan-2-carbaldehyde hydrochloride **231278-42-5P** **307327-44-2P**, [4-(Benzenesulphonyl)phenyl]-[6-[5-(1,3-dioxolan-2-yl)furan-2-yl]quinazolin-4-yl]amine **307327-47-5P**, 5-[4-((4-(Benzenesulphonyl)phenyl)amino)quinazolin-6-yl]furan-2-

carbaldehyde **307327-53-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiomorpholinomethylfuranyl quinazolinamine and pyrido[3,4-d]pyrimidinamine anticancer agents by amination of (haloheterocyclyl)furancarboxaldehydes with anilines followed by addition of thiomorpholine (oxides))

RN 230955-63-2 HCAPLUS
CN 4-Quinazolinamine, 6-iodo-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

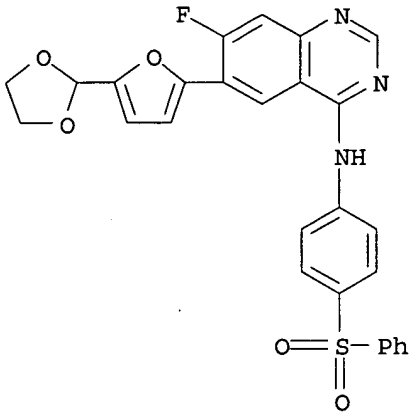


RN 231278-19-6 HCAPLUS
CN 4-Quinazolinamine, 7-fluoro-6-iodo-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

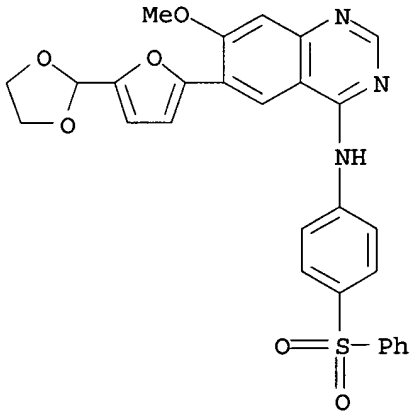


● HCl

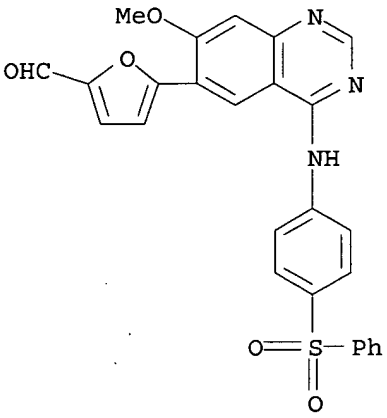
RN 231278-30-1 HCAPLUS
CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-fluoro-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 231278-37-8 HCAPLUS
CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

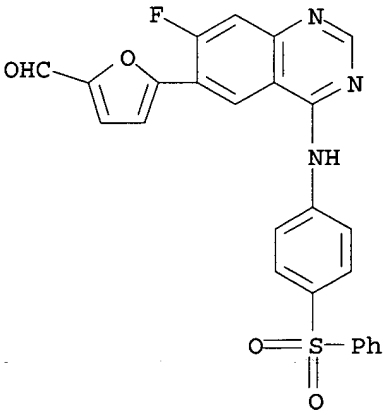


RN 231278-39-0 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[7-methoxy-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 231278-42-5 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[7-fluoro-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 307327-44-2 HCAPLUS
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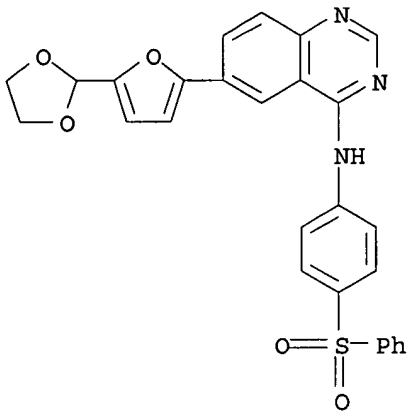
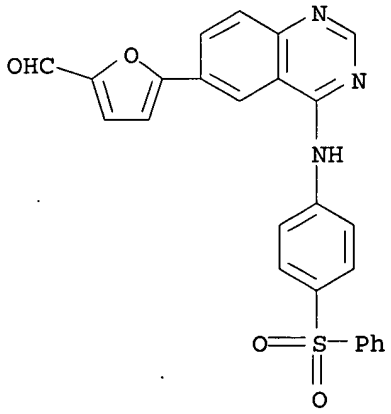
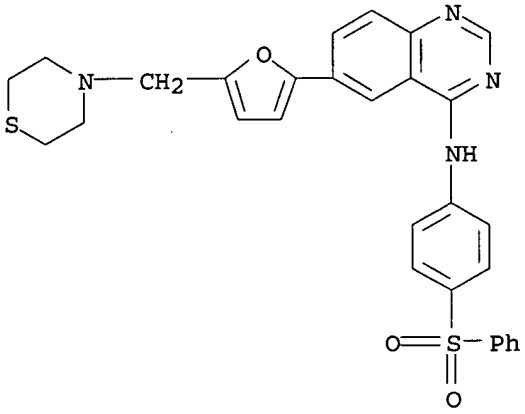


RN 307327-47-5 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



RN 307327-53-3 HCAPLUS
CN 4-Quinazolinamine, N-[4-(phenylsulfonyl)phenyl]-6-[5-(4-thiomorpholinylmethyl)-2-furanyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L24 ANSWER 4 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:712978 HCAPLUS
DOCUMENT NUMBER: 133:291105
TITLE: Quinazolines and pharmaceuticals for treatment of allergic diseases and cartilage disorders
INVENTOR(S): Antoku, Fujio; Iwai, Kiyotaka; Kurimoto, Ayumi; Tanaka, Koji; Okumura, Yutaka; Oumi, Naoko; Harada, Ikuko; Hashimoto, Gakuji; Kawakami, Hajime
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 2000281660	A2	20001010	JP 1999-87204	19990329	<--
PRIORITY APPLN. INFO.:			JP 1999-87204	19990329	

OTHER SOURCE(S): MARPAT 133:291105
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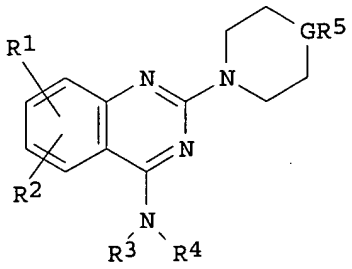


I

Searched by P. Ruppel

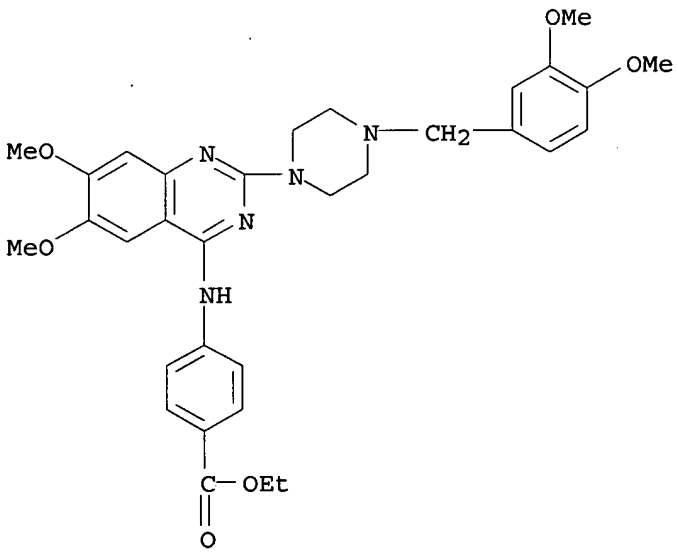
AB The pharmaceuticals, which inhibit IgE formation and secretion and promote proteoglycan formation, contain quinazolines I [G = CH, N; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, alkoxy, halo, nitro; R3, R4 = H, (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl; R5 = (substituted) (cyclo)alkyl, alkenyl, alkynyl, aryl, heterocyclyl, etc.] or their salts. 4-Amino-2-piperazinyl-6,7-dimethoxyquinazoline (2.9 g) was condensed with 2.2 g veratric aldehyde to give 3.1 g I.2HCl (R1 = 6-OMe, R2 = 7-OMe, R3 = R4 = H, G = N, R5 = 3,4-dimethoxybenzyl), which (at 10 μM) in vitro showed 68% inhibition of IgE formation.

IT **300538-27-6P 300538-37-8P 300538-38-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as pharmaceuticals for treatment of allergic diseases and cartilage disorders)

RN 300538-27-6 HCAPLUS

CN Benzoic acid, 4-[[2-[4-[(3,4-dimethoxyphenyl)methyl]-1-piperazinyl]-6,7-dimethoxy-4-quinazolinyl]amino]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

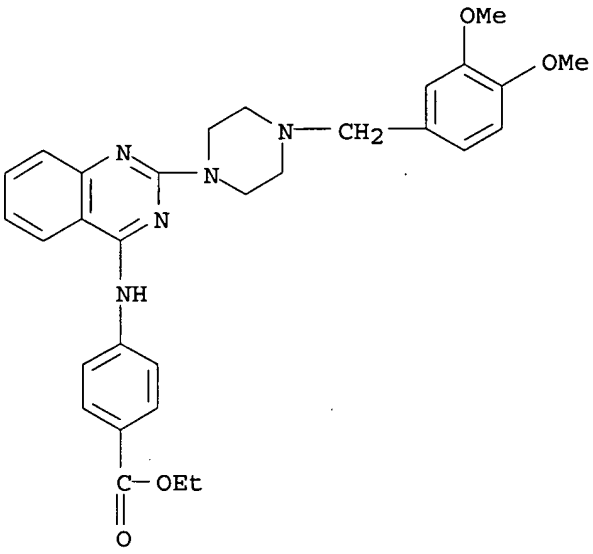


PAGE 2-A

●x HCl

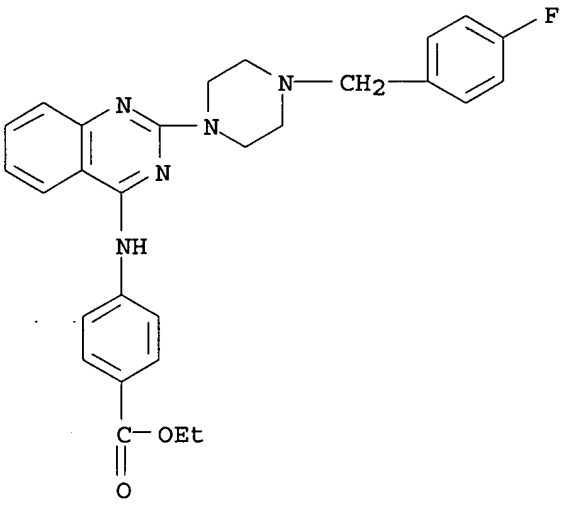
RN 300538-37-8 HCAPLUS

CN Benzoic acid, 4-[[2-[4-[(3,4-dimethoxyphenyl)methyl]-1-piperazinyl]-4-quinazolinyl]amino]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 300538-38-9 HCAPLUS
CN Benzoic acid, 4-[[2-[4-[(4-fluorophenyl)methyl]-1-piperazinyl]-4-quinazolinyl]amino]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

L24 ANSWER 5 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:688226 HCAPLUS
DOCUMENT NUMBER: 133:266866
TITLE: Preparation of quinazolines as antitumor agents
INVENTOR(S): Uckun, Fatih M.; Liu, Xing-ping; Narla, Rama K.
PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 77 pp.

Searched by P. Ruppel

CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000056720	A1	20000928	WO 2000-US6902	20000316	<--
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6258820	B1	20010710	US 1999-357404	19990720	<--
EP 1163228	A1	20011219	EP 2000-921389	20000316	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002540103	T2	20021126	JP 2000-606581	20000316	<--
US 2001016588	A1	20010823	US 2001-779809	20010208	<--
US 6358962	B2	20020319			
US 2002137757	A1	20020926	US 2001-923903	20010807	<--
US 6638939	B2	20031028			
NO 2001004560	A	20010919	NO 2001-4560	20010919	<--
US 2004039002	A1	20040226	US 2003-454960	20030605	

PRIORITY APPLN. INFO.:

US 1999-125145P	P	19990319
US 1999-125177P	P	19990319
US 1999-125338P	P	19990319
US 1999-357404	A	19990720
WO 2000-US6902	W	20000316
US 2001-779809	A1	20010208
US 2001-923903	A1	20010807

OTHER SOURCE(S): MARPAT 133:266866
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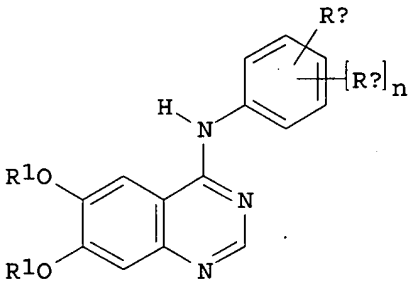


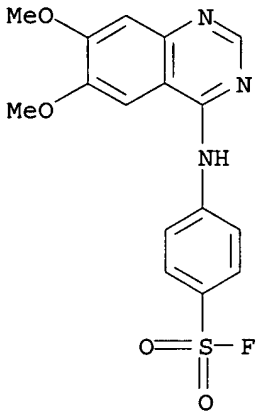
I

AB The title compds. [I; Ra = I, hydroxyalkyl, methylenedioxy, etc.; n = 1-4; Rb = H, halo, OH, etc.; R1 = alkyl], useful for the treatment of cancer (e.g., leukemia and breast cancer) and for the treatment of allergic reactions, were prepared by reacting 4-chloro-6,7-dimethoxyquinazoline with the substituted aniline. Biol. data for compds. I were given.

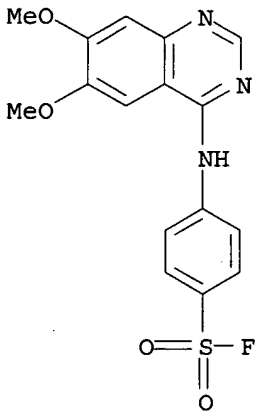
IT **296234-72-5P 296235-15-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines as antitumor agents)

RN 296234-72-5 HCAPLUS
CN Benzenesulfonyl fluoride, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



RN 296235-15-9 HCAPLUS
CN Benzenesulfonyl fluoride, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

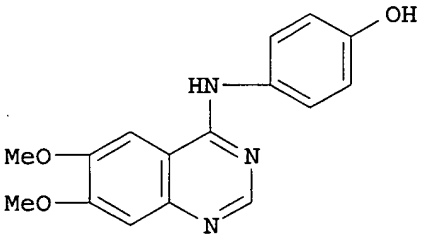
L24 ANSWER 6 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:688094 HCAPLUS
DOCUMENT NUMBER: 133:271682
TITLE: Preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer
INVENTOR(S): Yiv, Seang; Li, Mingshu; Uckun, Fatih M.

PATENT ASSIGNEE(S): Parker Hughes Institute, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000056338	A1	20000928	WO 2000-US7066	20000317	<--
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1162974	A1	20011219	EP 2000-914991	20000317	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002539262	T2	20021119	JP 2000-606242	20000317	<--
US 2002111360	A1	20020815	US 2001-960464	20010919	<--

PRIORITY APPLN. INFO.: US 1999-125147P P 19990319
WO 2000-US7066 W 20000317

OTHER SOURCE(S): MARPAT 133:271682
GI

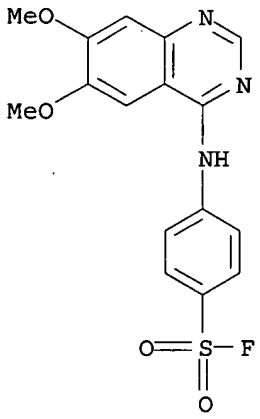


I

AB Pharmaceutical compns. for parenteral administration of poorly soluble quinazoline compds. in the form of microemulsions or micellar solns. are described. The compns. are useful in treating patients suffering from cancer or having allergic reactions. E.g., I was prepared, its soly profile given, and micellar solns. containing PEGylated phosphatidylethanolamines were effective in enhancing the solubilization of I.

IT **296234-72-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolines for micellar pharmaceuticals for treatment of allergy and cancer)

RN 296234-72-5 HCAPLUS
CN Benzenesulfonyl fluoride, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)

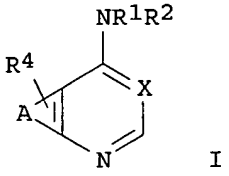


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:592396 HCAPLUS
DOCUMENT NUMBER: 133:193157
TITLE: Preparation of aminoquinazolines and related compounds as anticancer drugs.
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Cox, Eric David; Bhattacharya, Samit Kumar
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 39 pp.
CODEN: EPXXDW
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
EP 1029853	A1	20000823	EP 1999-310574	19991224	<--
EP 1029853	B1	20040225			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2000309577	A2	20001107	JP 1999-336570	19991126	<--
JP 3270834	B2	20020402			
CA 2290918	AA	20000727	CA 2000-2290918	19991129	<--
CA 2290918	C	20040217	CA 1999-2290918	19991129	
EP 1396489	A1	20040310	EP 2003-24331	19991224	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY					
AT 260263	E	20040315	AT 1999-310574	19991224	
BR 9906013	A	20000905	BR 1999-6013	19991229	<--
US 6465449	B1	20021015	US 2000-488378	20000120	<--
US 2003055049	A1	20030320	US 2002-226255	20020822	

PRIORITY APPLN. INFO.: US 1999-117341P P 19990127
EP 1999-310574 A3 19991224
US 2000-488378 A3 20000120
OTHER SOURCE(S): MARPAT 133:193157
GI



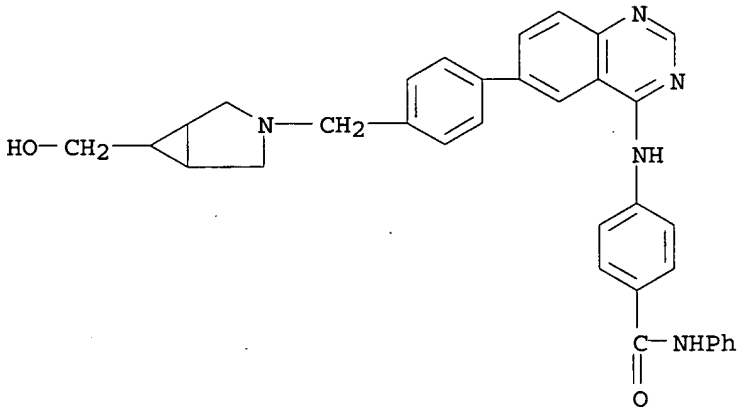
I

AB Title compds. [I; X = N, CH; A = (substituted) fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S, SO, SO2 containing 1-3 double bonds inclusive of the bond in the pyridine or pyrimidine ring to which it is fused etc.; R1 = H, alkyl; R3 = (CR1R2)mR8; m = 0, 1; R1R3N = (substituted) 1-indolinyl, 1-indolyl; R4, R8 = (substituted) aryl(alkyl), heterocyclyl(alkyl)], were prepared as neoplasm inhibitors (no data). Thus, 3-[4-(4-phenoxy-quinazolin-6-yl)benzyl]-3-aza-bicyclo[3.1.0]hex-6-ylmethanol (preparation given), 1-cyclopropylmethyl-1H-indol-5-ylamine, pyridinium hydrochloride, and phenol were heated at 110° overnight to give 67% [3-[4-[4-(1-cyclopropylmethyl-1H-indol-5-ylamino)-quinazolin-6-yl]-benzyl]-3-azabicyclo[3.1.0]hex-6-yl]methanol.

IT **289036-92-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoquinazolines and related compds. as anticancer drugs)

RN 289036-92-6 HCAPLUS

CN Benzamide, 4-[[6-[4-[[6-(hydroxymethyl)-3-azabicyclo[3.1.0]hex-3-yl]methyl]phenyl]-4-quinazolinyl]amino]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:535121 HCAPLUS
DOCUMENT NUMBER: 133:150572
TITLE: Preparation of substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Liu, Zhengyu; Cox, Eric David; Bhattacharya, Samit Kumar; Morris, Joel

Searched by P. Ruppel

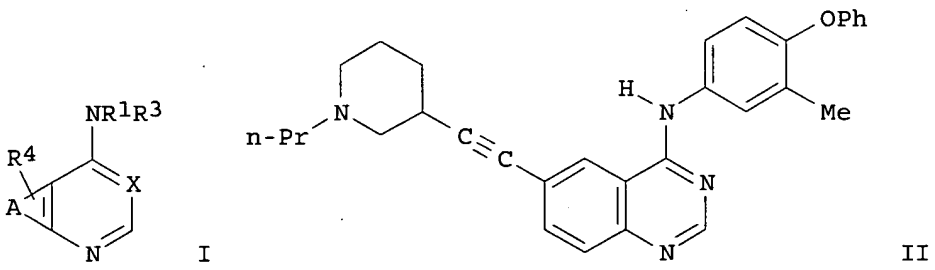
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000044728	A1	20000803	WO 1999-IB1934	19991206	<--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
TW 519541	B	20030201	TW 1999-88120466	19991123	
CA 2358998	AA	20000803	CA 1999-2358998	19991206	<--
EP 1147093	A1	20011024	EP 1999-956281	19991206	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9916980	A	20011106	BR 1999-16980	19991206	<--
EE 200100393	A	20021015	EE 2001-393	19991206	<--
JP 2002535391	T2	20021022	JP 2000-595984	19991206	<--
US 6284764	B1	20010904	US 2000-488350	20000120	<--
US 2001034351	A1	20011025	US 2001-834259	20010412	<--
US 6541481	B2	20030401			
ZA 2001005867	A	20020717	ZA 2001-5867	20010717	<--
HR 2001000542	A1	20020831	HR 2001-542	20010718	<--
NO 2001003671	A	20010926	NO 2001-3671	20010726	<--
BG 105842	A	20020430	BG 2001-105842	20010824	<--
US 2003186995	A1	20031002	US 2003-349475	20030121	

PRIORITY APPLN. INFO.:

US 1999-117346P	P	19990127	
WO 1999-IB1934	W	19991206	
US 2000-488350	A3	20000120	
US 2001-834259	A1	20010412	

OTHER SOURCE(S): MARPAT 133:150572
GI



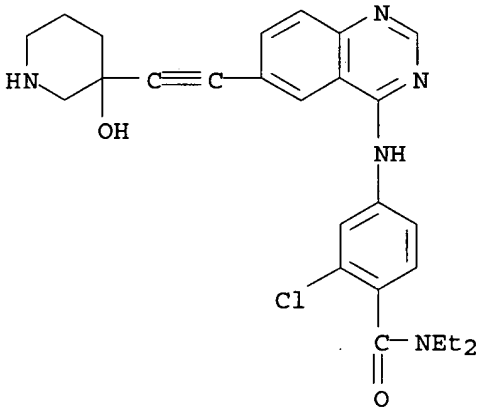
I II

AB The title compds. [I; X = N, CH; A = (un)substituted fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S(O)j (wherein j = 0-2); R1, R2 = H, alkyl; R3 = (CR1R2)mR8 (m = 0-1; R8 = (CR1R2)taryl, (CR1R2)theterocyclyl; t = 0-5); R1 and R3 are taken together

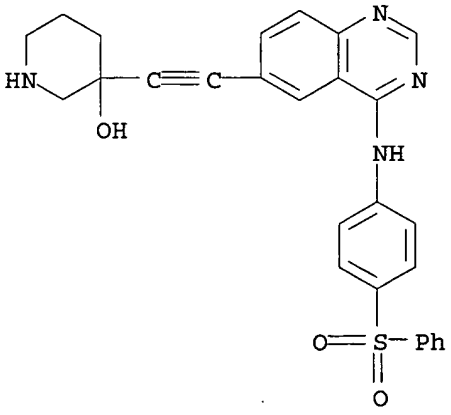
to form (un)substituted indol-1-yl, indolin-1-yl; R4 = (CR1R2)mC.tplbond.C(CR1R2)tR9 (m = 0-3; t = 0-5; R9 = a non-aromatic mono-cyclic ring, a fused or bridged bicyclic ring, etc.), C:NOR12 (R12 = H, alkyl, CO2alkyl, etc.), X1R12 (X1 = a divalent group derived from azetidine, oxetane or carbocyclic group), etc.] and their pharmaceutically acceptable salts, useful in treating abnormal cell growth in mammals, were prepared Thus, treatment of (3-methyl-4-phenoxyphenyl)-(6-piperidin-3-ylethynylquinazolin-4-yl)amine with propionaldehyde in MeOH/H2O at pH = 5 followed by addition of NaBH3CN afforded quinazoline II.HCl. Compds. I are effective at 1-35 mg/kg/day.

IT **287190-90-3P 287190-98-1P 287191-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted bicyclic derivs. useful as anticancer agents)

RN 287190-90-3 HCAPLUS
CN Benzamide, 2-chloro-N,N-diethyl-4-[[6-[(3-hydroxy-3-piperidinyl)ethynyl]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

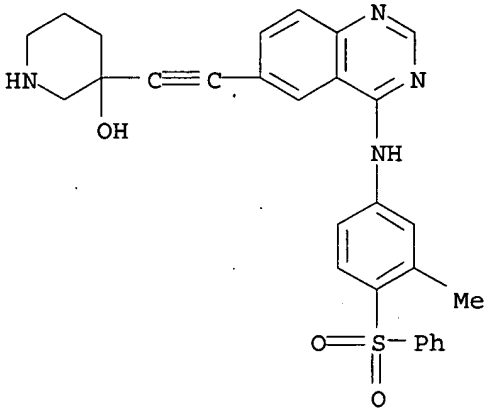


RN 287190-98-1 HCAPLUS
CN 3-Piperidinol, 3-[[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



RN 287191-00-8 HCAPLUS

CN 3-Piperidinol, 3-[[4-[[3-methyl-4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]ethynyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:513673 HCAPLUS
DOCUMENT NUMBER: 133:135235
TITLE: Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines
INVENTOR(S): Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 2000043366	A1	20000727	WO 2000-JP255	20000120	<--
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2361057	AA	20000727	CA 2000-2361057	20000120	<--
BR 2000007656	A	20011030	BR 2000-7656	20000120	<--
EP 1153920	A1	20011114	EP 2000-900841	20000120	<--
EP 1153920	B1	20031029			
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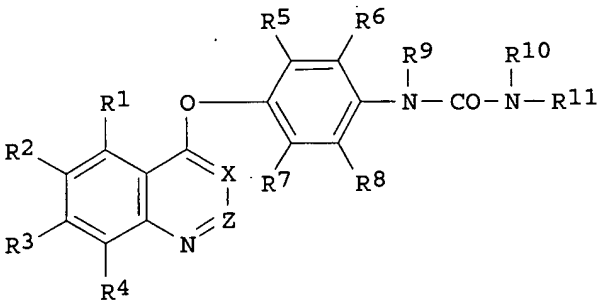
JP 2003286263	A2	20031010	JP 2003-128216	20000120	
NZ 513006	A	20031031	NZ 2000-513006	20000120	
AT 253051	E	20031115	AT 2000-900841	20000120	

EP 1384712 A1 20040128 EP 2003-24911 20000120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY
NO 2001002617 A 20010914 NO 2001-2617 20010529 <--
PRIORITY APPLN. INFO.: JP 1999-14858 A 19990122
JP 1999-26691 A 19990203
JP 1999-142493 A 19990521
JP 1999-253624 A 19990907
EP 2000-900841 A3 20000120
JP 2000-594782 A3 20000120
WO 2000-JP255 W 20000120
OTHER SOURCE(S): MARPAT 133:135235
GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. containing the same are prepared and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compound I (X = CH; Z = CH; R1, R4, R5,R7-R10 each an H; R11 = 3,5-F2C6H3) was prepared and tested.

IT **190727-98-1P 190728-01-9P 286370-14-7P**
286370-15-8P 286370-16-9P 286370-17-0P
286370-18-1P 286370-19-2P 286370-20-5P
286370-21-6P 286370-22-7P 286370-23-8P
286370-24-9P 286370-25-0P 286370-26-1P
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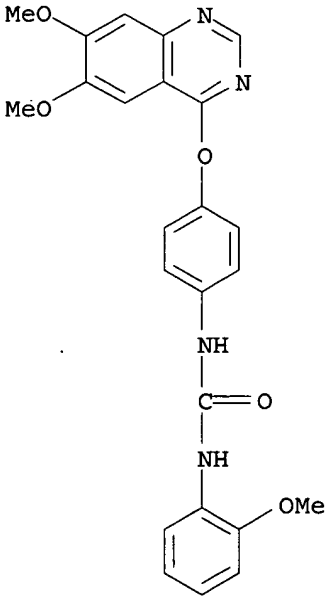
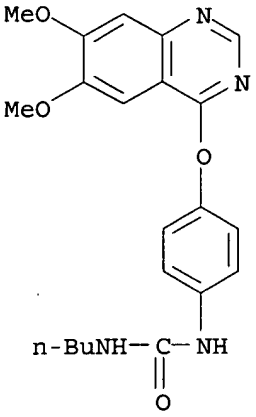
286371-39-9P 286371-40-2P 286371-41-3P
286371-42-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of quinolines and quinazolines)

RN 190727-98-1 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

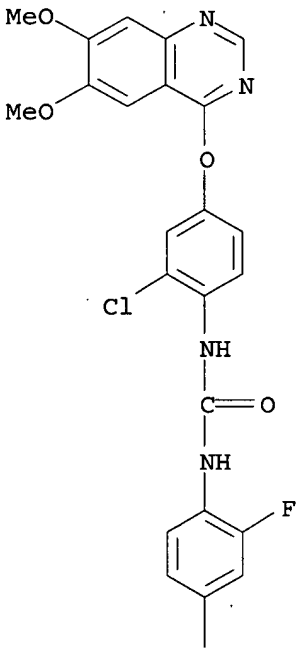


RN 190728-01-9 HCAPLUS
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



RN 286370-14-7 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

F

RN 286370-15-8 HCAPLUS
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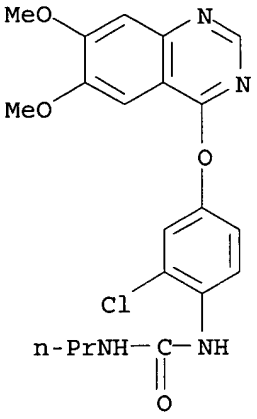
(9CI) (CA INDEX NAME)



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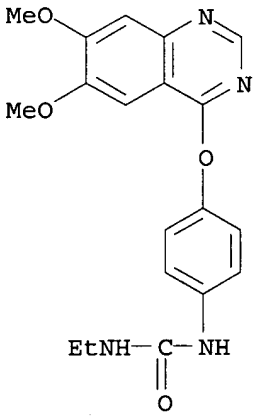

RN 286370-17-0 HCAPLUS
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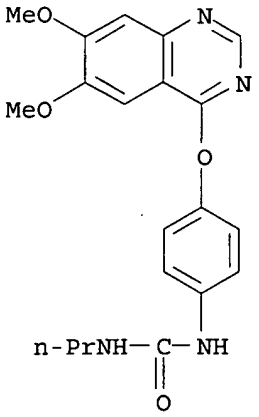

RN 286370-18-1 HCAPLUS
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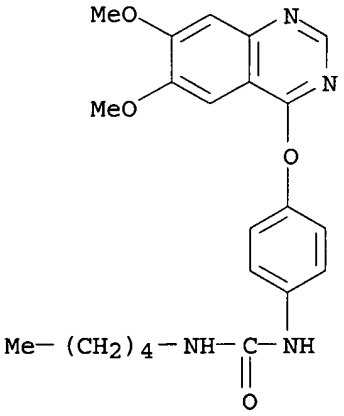

RN 286370-19-2 HCAPLUS
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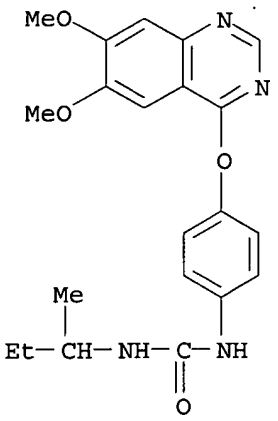
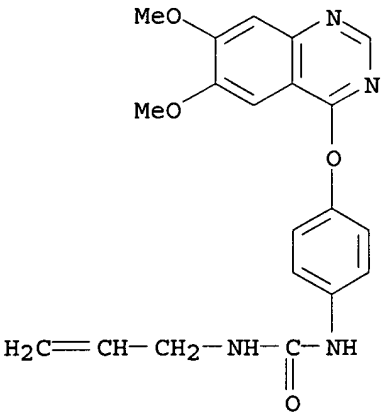
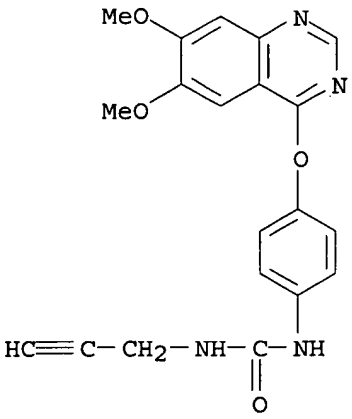


RN 286370-20-5 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-2-propenyl- (9CI) (CA INDEX NAME)

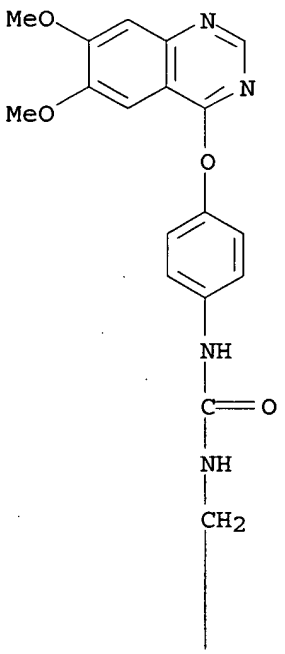


RN 286370-21-6 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-2-propynyl- (9CI) (CA INDEX NAME)



RN 286370-22-7 HCAPLUS
CN Urea, N-[(2,4-difluorophenyl)methyl]-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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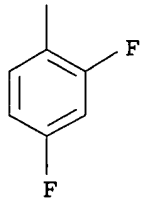

RN 286370-23-8 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

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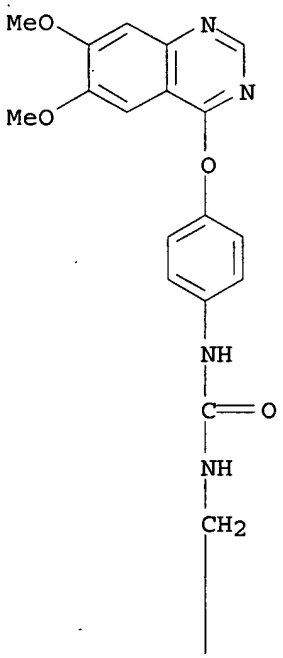

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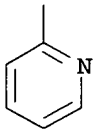

RN 286370-24-9 HCAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

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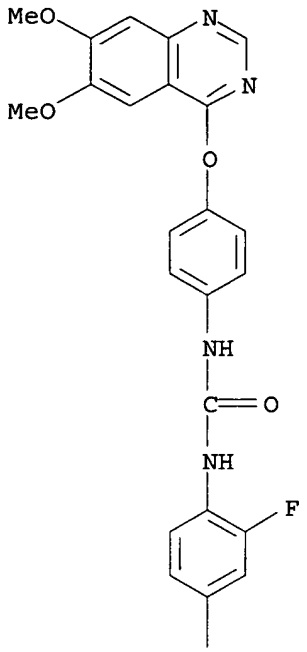

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RN 286370-25-0 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(4-fluorophenyl)-
(9CI) (CA INDEX NAME)

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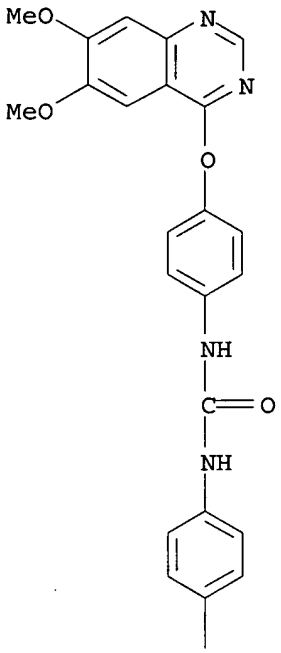

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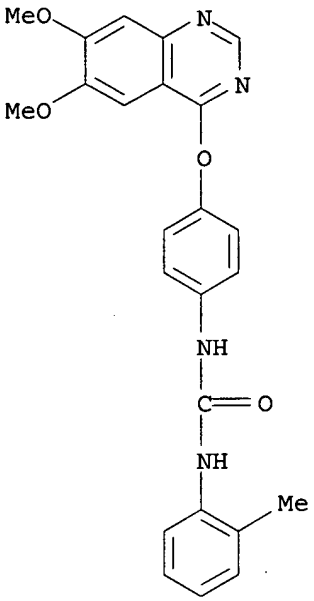
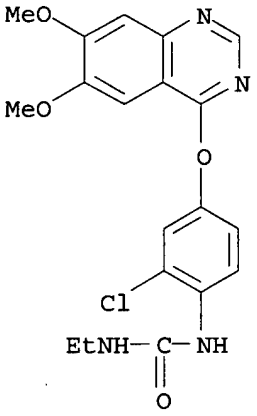
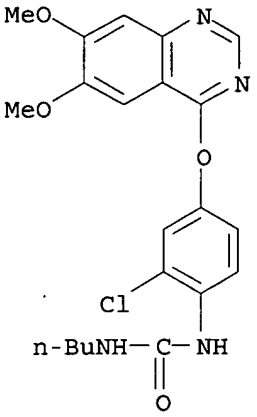
RN 286370-26-1 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-methylphenyl)-(9CI) (CA INDEX NAME)



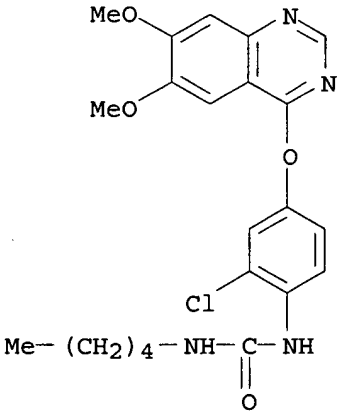
RN 286370-27-2 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-ethyl-
(9CI) (CA INDEX NAME)



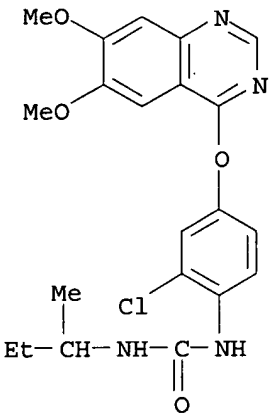
RN 286370-28-3 HCAPLUS
CN Urea, N-butyl-N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-
(9CI) (CA INDEX NAME)



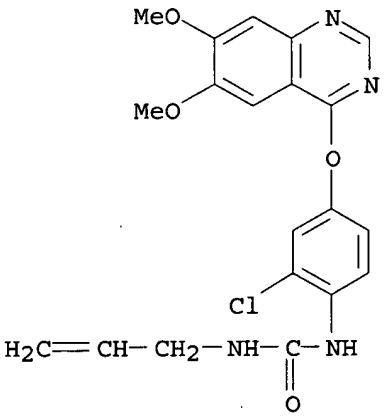
RN 286370-29-4 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-pentyl- (9CI) (CA INDEX NAME)



RN 286370-30-7 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(1-methylpropyl)- (9CI) (CA INDEX NAME)



RN 286370-31-8 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-2-propenyl- (9CI) (CA INDEX NAME)



RN 286370-33-0 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-[(2,4-difluorophenyl)methyl]- (9CI) (CA INDEX NAME)

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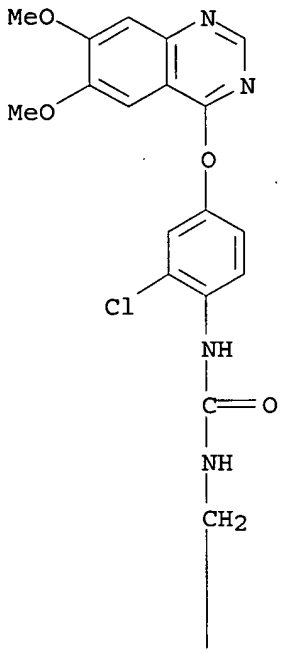

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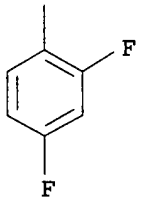

RN 286370-34-1 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Searched by P. Ruppel

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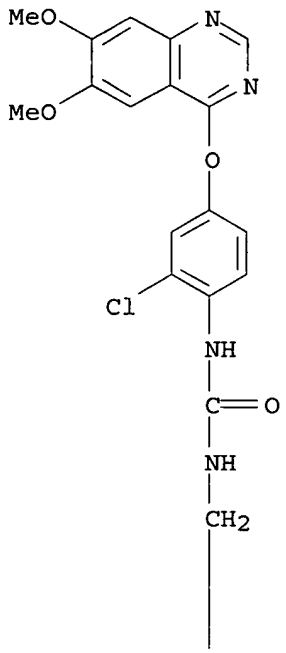

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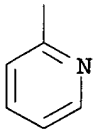

RN 286370-35-2 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

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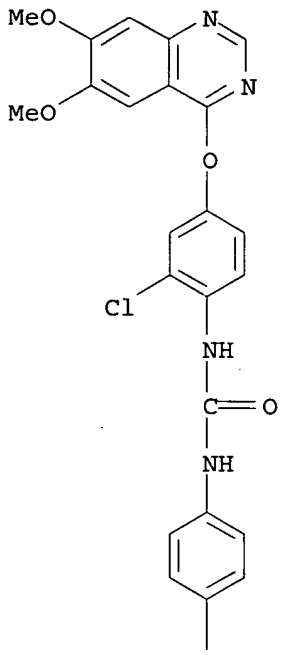

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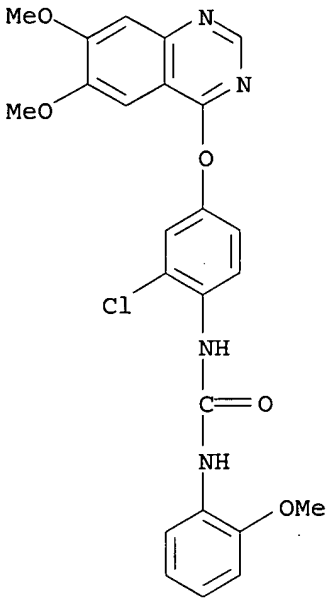
RN 286370-37-4 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 286370-38-5 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

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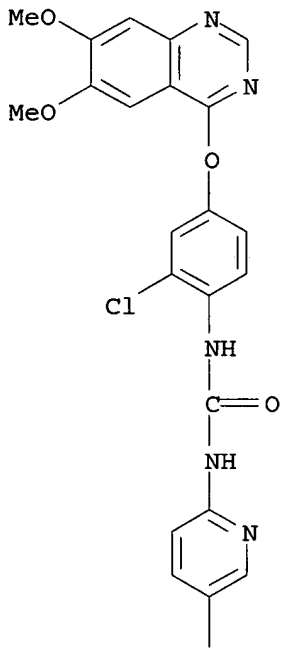

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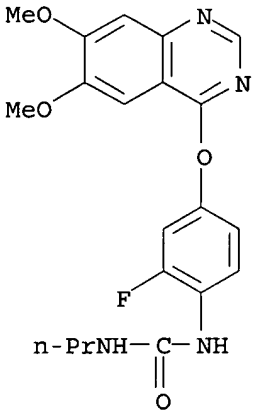
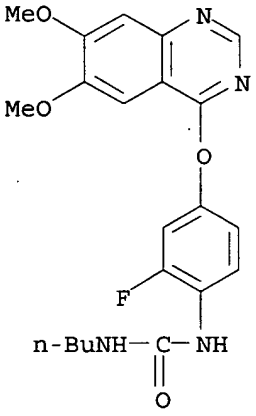
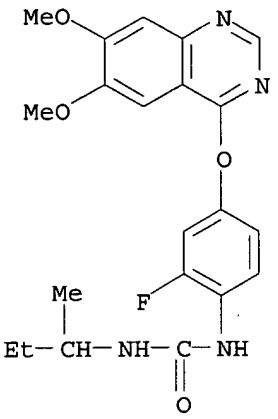
RN 286370-39-6 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



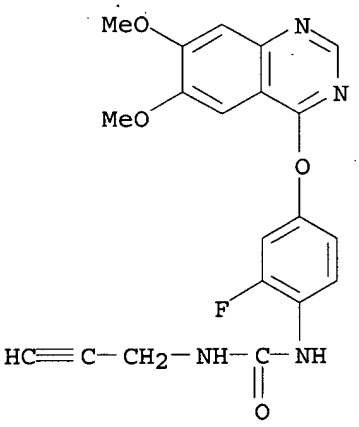
RN 286370-40-9 HCAPLUS
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]- (9CI) (CA INDEX NAME)



RN 286370-41-0 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-(1-methylpropyl)- (9CI) (CA INDEX NAME)



RN 286370-43-2 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-2-propynyl- (9CI) (CA INDEX NAME)



RN 286370-44-3 HCAPLUS
CN Urea, N-[(2,4-difluorophenyl)methyl]-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]- (9CI) (CA INDEX NAME)

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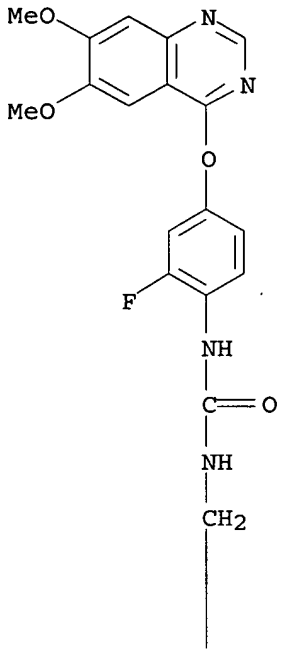

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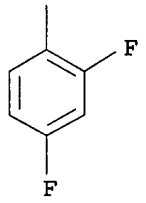

RN 286370-45-4 HCAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]- (9CI) (CA INDEX NAME)

Searched by P. Ruppel

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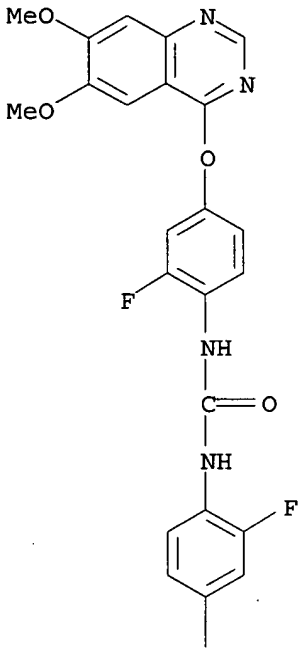

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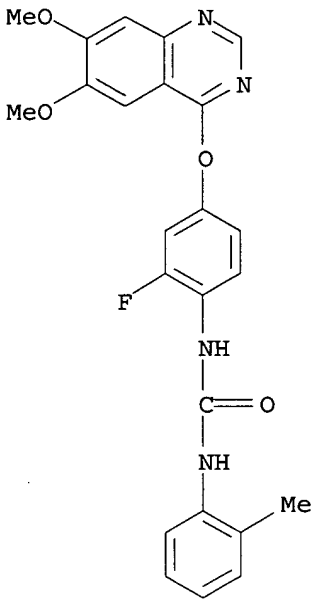
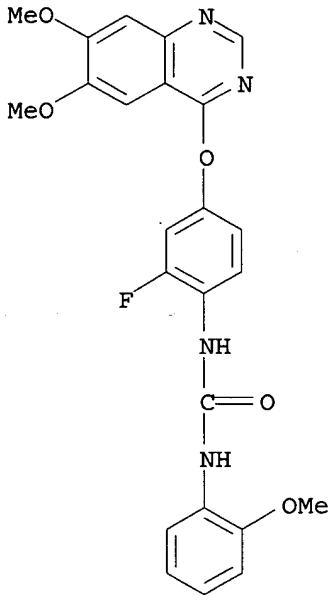
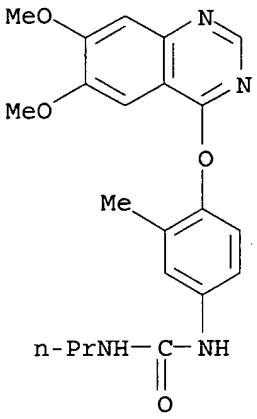
RN 286370-46-5 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-(2-methylphenyl)- (9CI) (CA INDEX NAME)



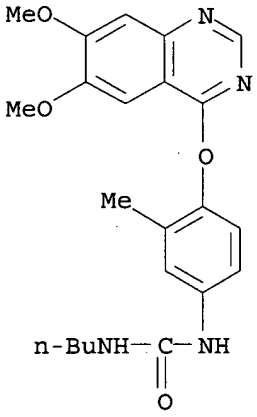
RN 286370-47-6 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-fluorophenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 286370-48-7 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-3-methylphenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-50-1 HCAPLUS
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-3-methylphenyl]- (9CI) (CA INDEX NAME)



RN 286370-52-3 HCAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-3-methylphenyl]- (9CI) (CA INDEX NAME)

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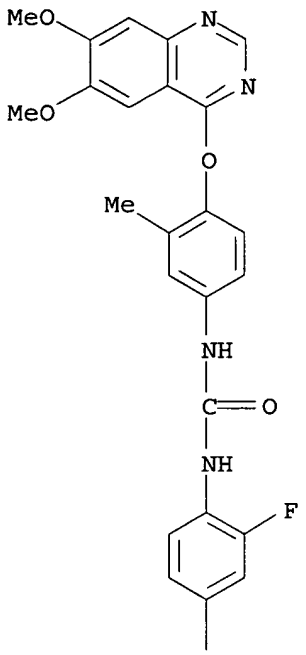

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RN 286370-53-4 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-3-methylphenyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

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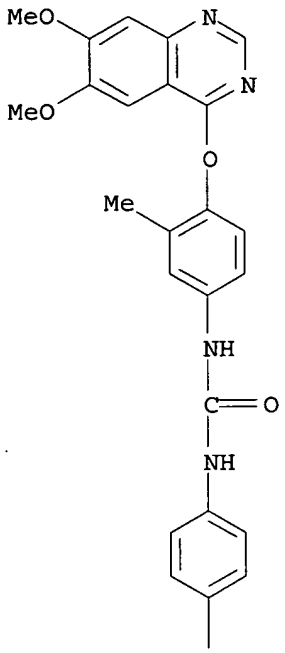

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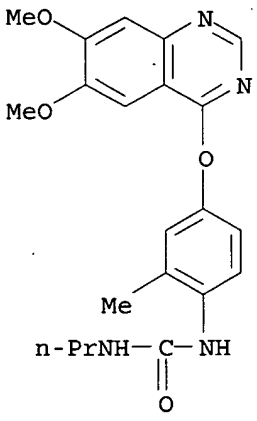
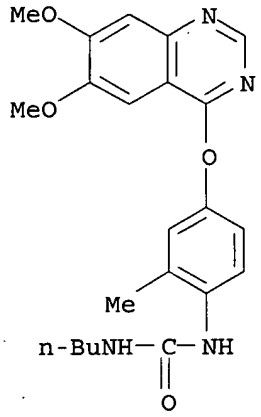
RN 286370-55-6 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]-N'-propyl-
(9CI) (CA INDEX NAME)



RN 286370-56-7 HCAPLUS
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]-

(9CI) (CA INDEX NAME)



RN 286370-58-9 HCAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]- (9CI) (CA INDEX NAME)

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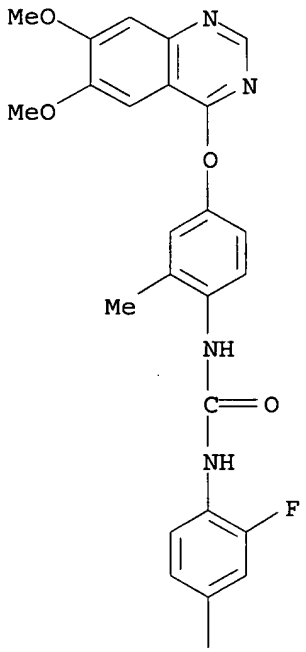

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RN 286370-60-3 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]-N'-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

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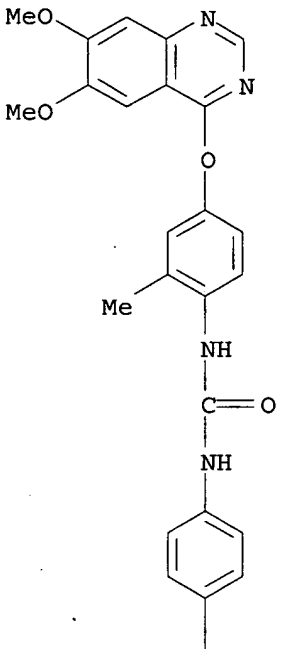

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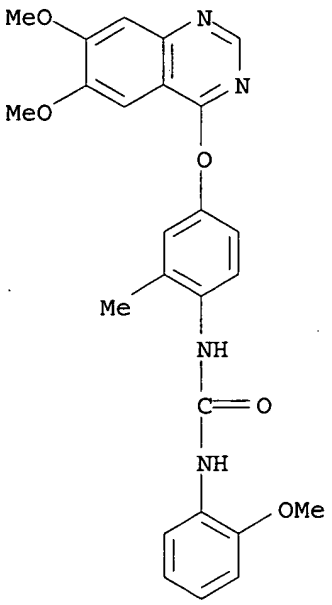
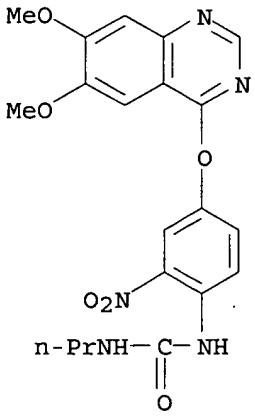
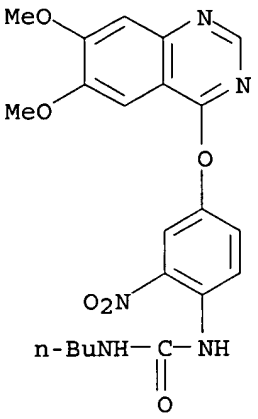
RN 286370-61-4 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-methylphenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



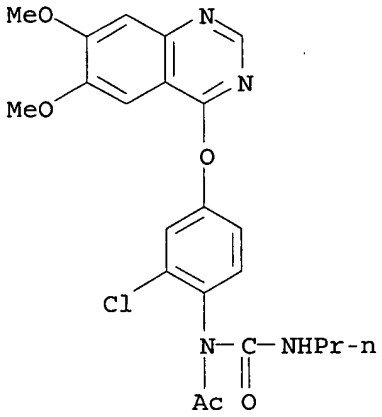
RN 286370-62-5 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-nitrophenyl]-N'-propyl-
(9CI) (CA INDEX NAME)



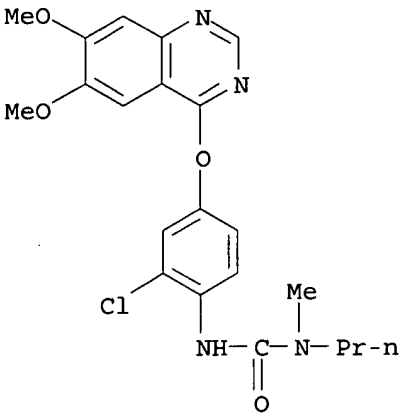
RN 286370-63-6 HCAPLUS
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-2-nitrophenyl]-
(9CI) (CA INDEX NAME)



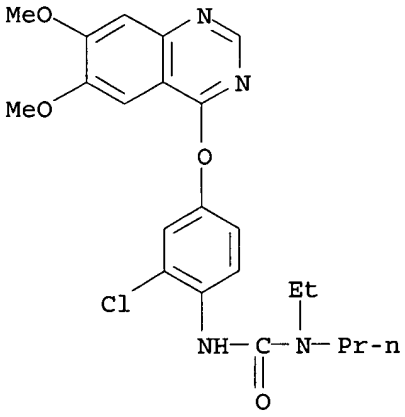
RN 286370-65-8 HCAPLUS
CN Acetamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-[(propylamino)carbonyl]- (9CI) (CA INDEX NAME)



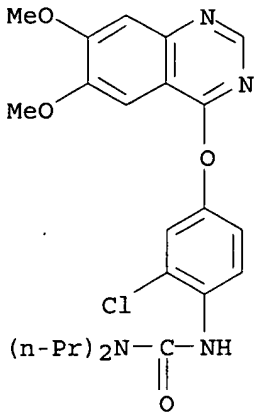
RN 286370-66-9 HCAPLUS
CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-methyl-N-propyl- (9CI) (CA INDEX NAME)



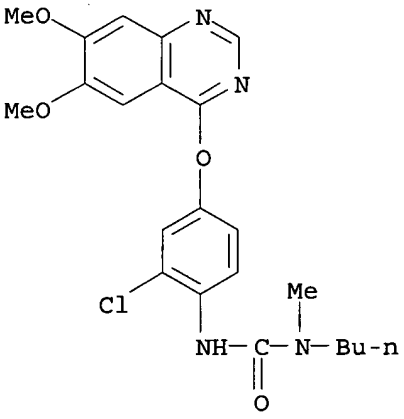
RN 286370-67-0 HCAPLUS
CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-ethyl-N-propyl- (9CI) (CA INDEX NAME)



RN 286370-68-1 HCAPLUS
CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N,N-dipropyl- (9CI) (CA INDEX NAME)



RN 286370-69-2 HCAPLUS
CN Urea, N-butyl-N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



RN 286370-70-5 HCAPLUS
CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N-(4-chlorophenyl)-N-methyl- (9CI) (CA INDEX NAME)

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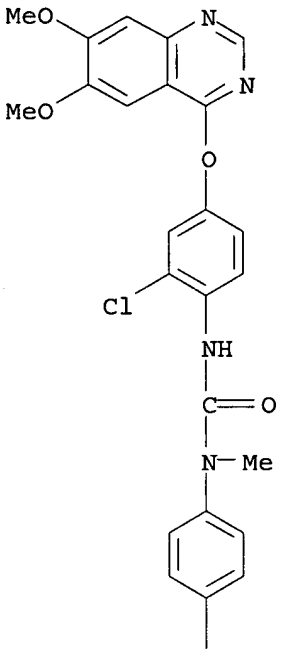

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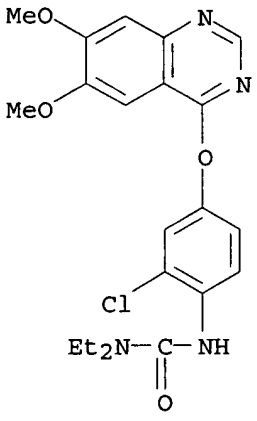
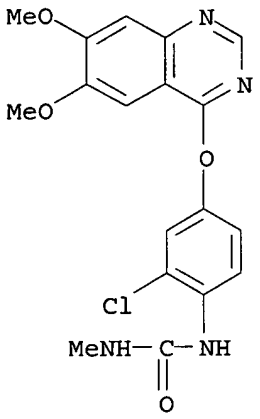
RN 286370-71-6 HCAPLUS
CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

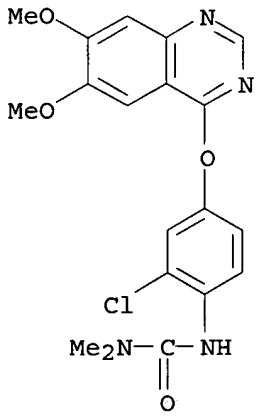


RN 286370-72-7 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-methyl-

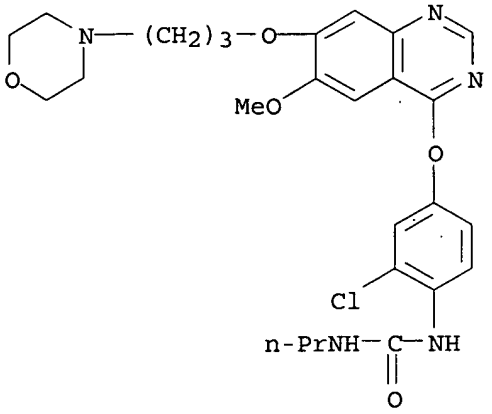
(9CI) (CA INDEX NAME)



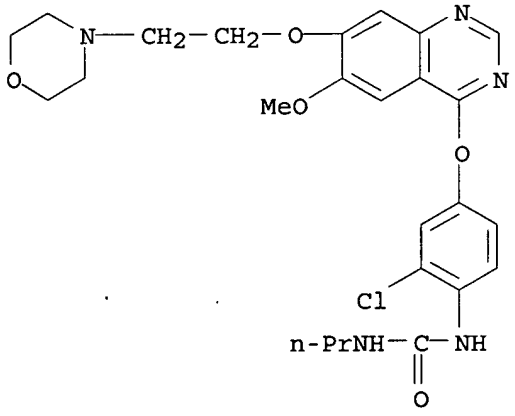
RN 286370-73-8 HCAPLUS
CN Urea, N'-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



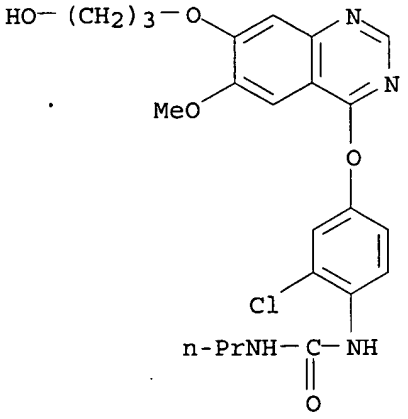
RN 286370-74-9 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



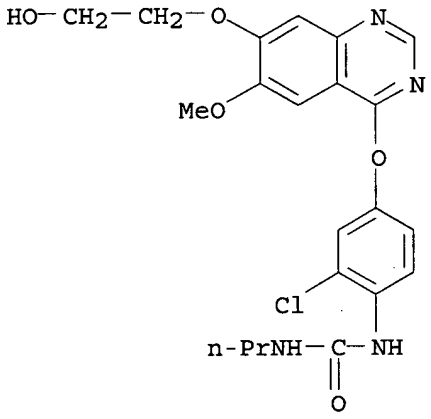
RN 286370-75-0 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



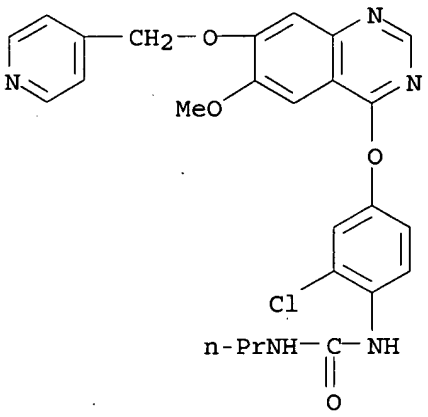
RN 286370-76-1 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-(3-hydroxypropoxy)-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



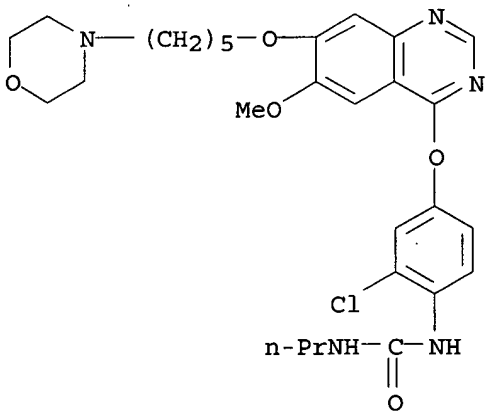
RN 286370-77-2 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-(2-hydroxyethoxy)-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



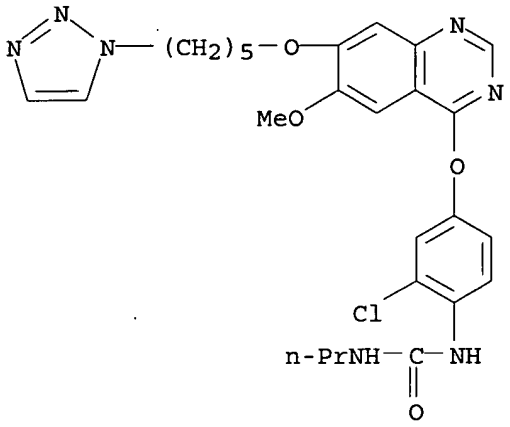
RN 286370-78-3 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



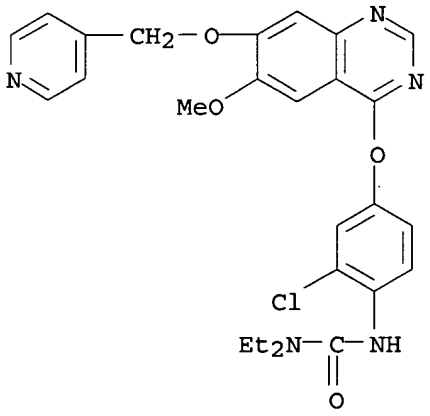
RN 286370-79-4 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[[5-(4-morpholinyl)pentyl]oxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



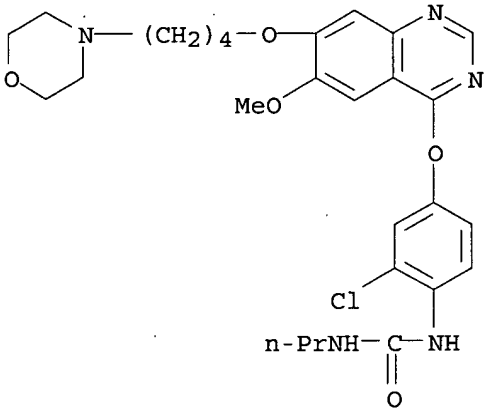
RN 286370-80-7 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[[5-(1H-1,2,3-triazol-1-yl)pentyl]oxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



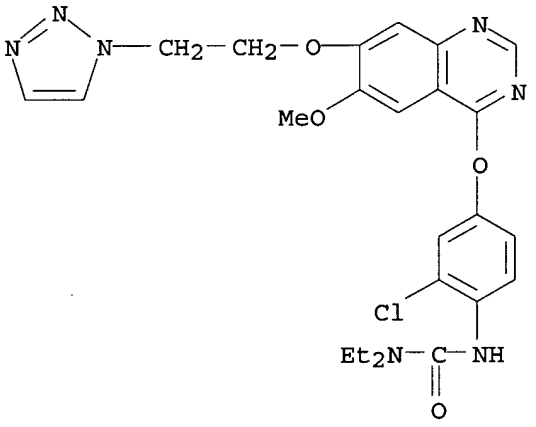
RN 286370-81-8 HCAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



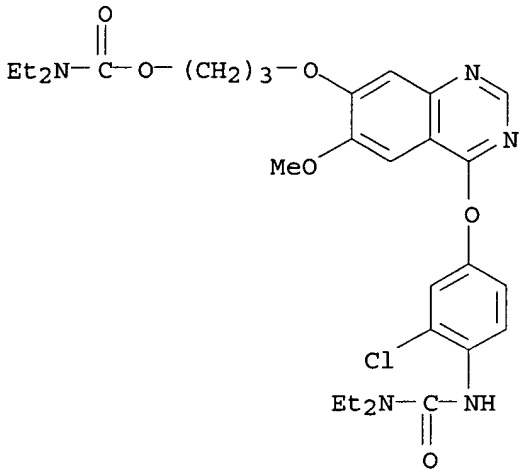
RN 286370-82-9 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[4-(4-morpholinyl)butoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



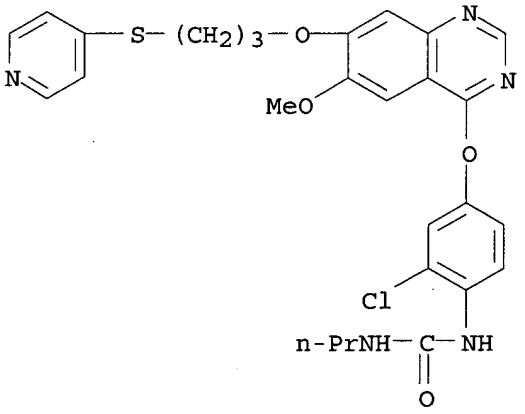
RN 286370-86-3 HCAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)



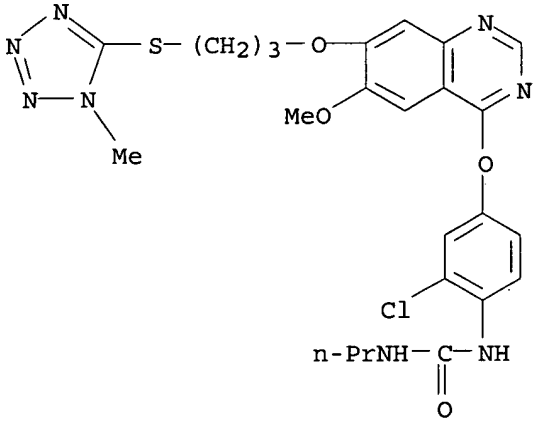
RN 286370-87-4 HCAPLUS
CN Carbamic acid, diethyl-, 3-[[4-[3-chloro-4-[[(diethylamino)carbonyl]amino]phenoxy]-6-methoxy-7-quinazolinyl]oxy]propyl ester (9CI) (CA INDEX NAME)



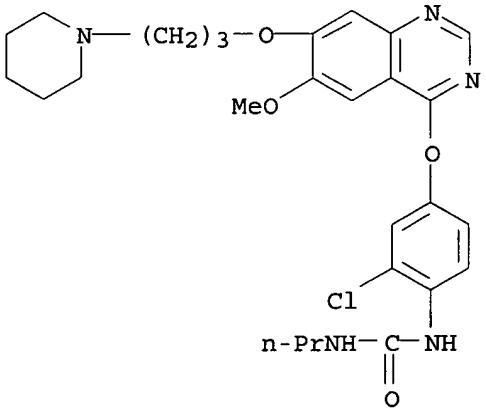
RN 286370-88-5 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-pyridinylthio)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



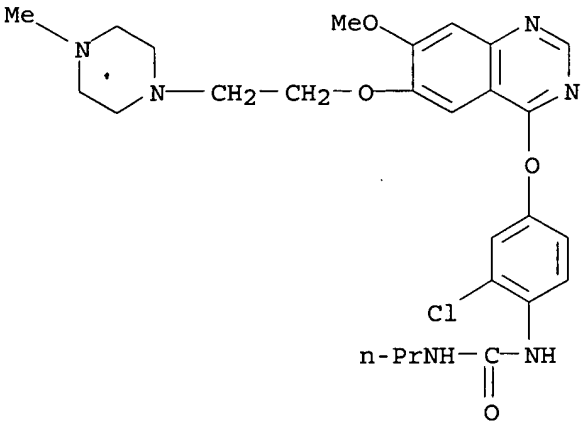
RN 286370-89-6 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-[(1-methyl-1H-tetrazol-5-yl)thio]propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



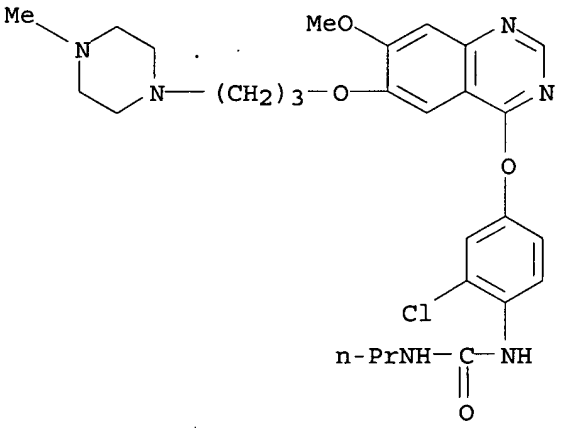
RN 286370-91-0 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



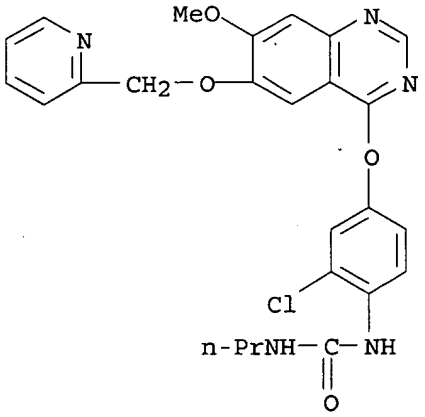
RN 286370-93-2 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-[2-(4-methyl-1-piperazinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



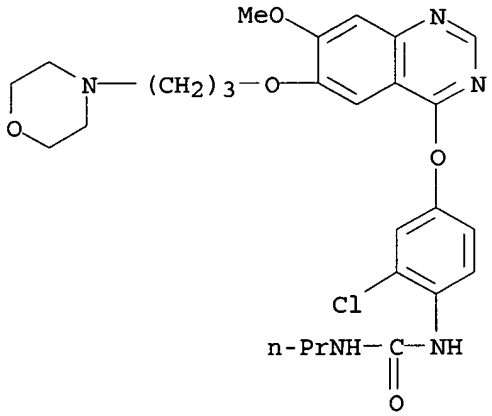
RN 286370-94-3 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



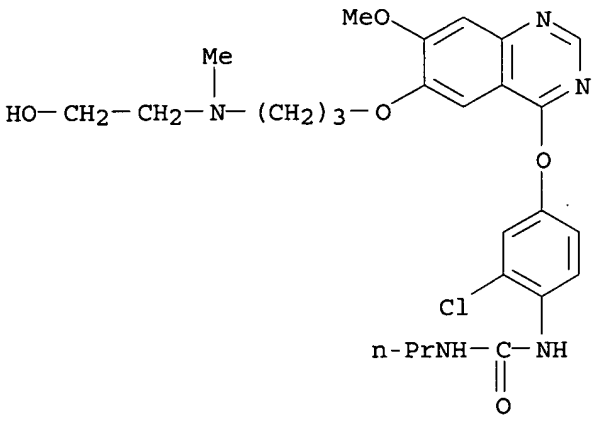
RN 286370-95-4 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-(2-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-96-5 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-methoxy-6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286370-97-6 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-[3-[(2-hydroxyethyl)methylamino]propoxy]-7-methoxy-4-quinazolinyl]oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-18-4 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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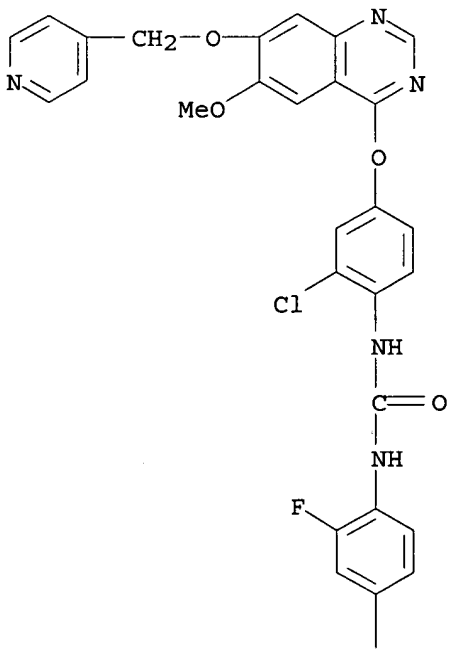

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RN 286371-19-5 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-

quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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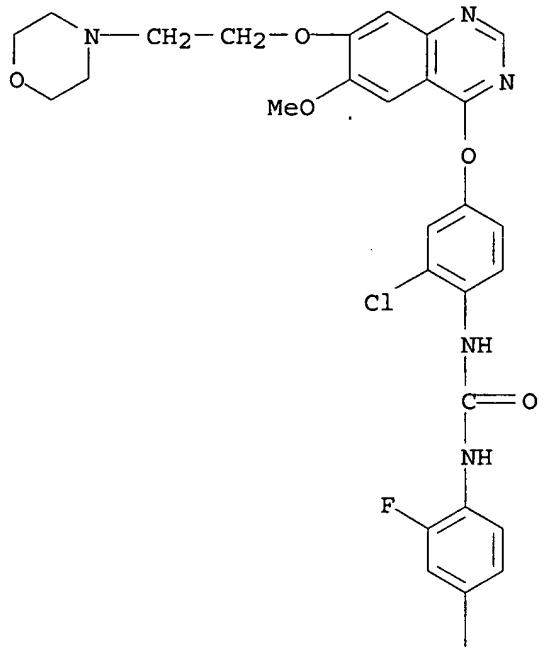

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RN 286371-20-8 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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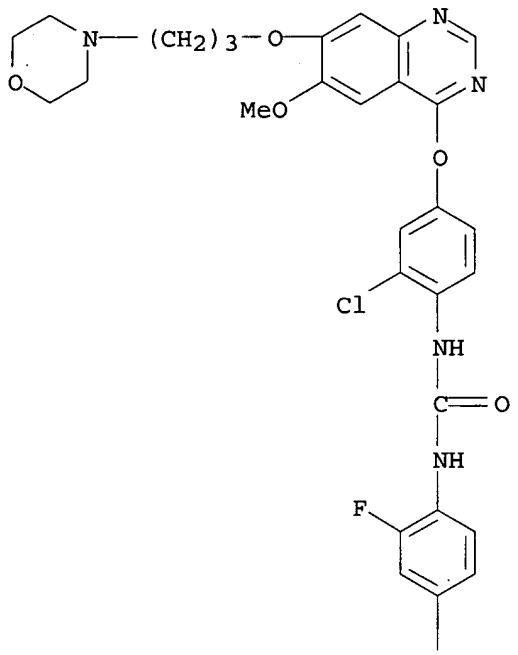

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RN 286371-21-9 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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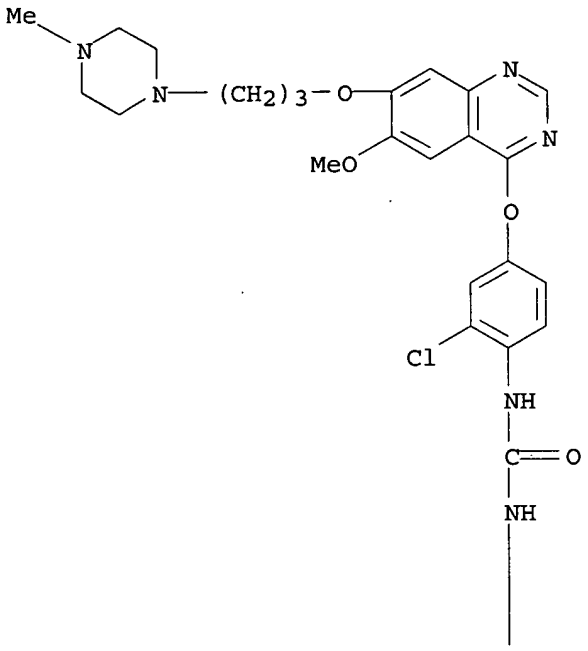

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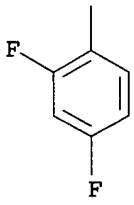

RN 286371-22-0 HCAPLUS
CN Urea, N-[2-chloro-4-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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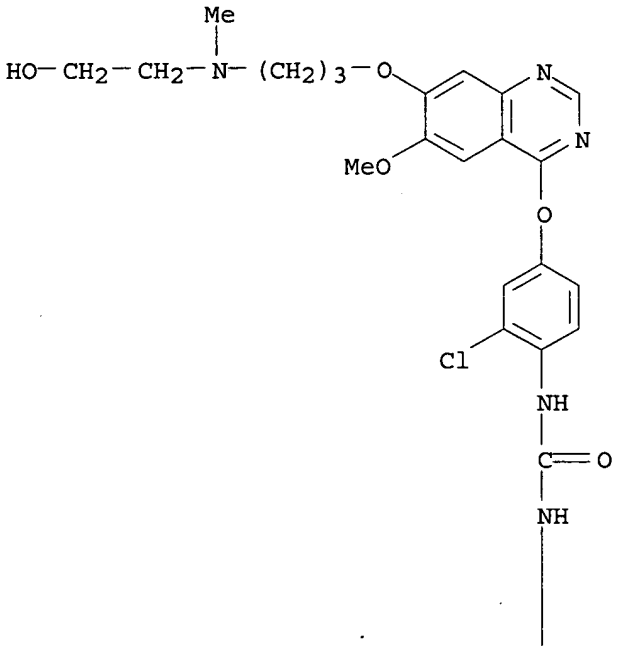

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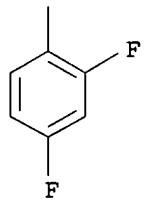
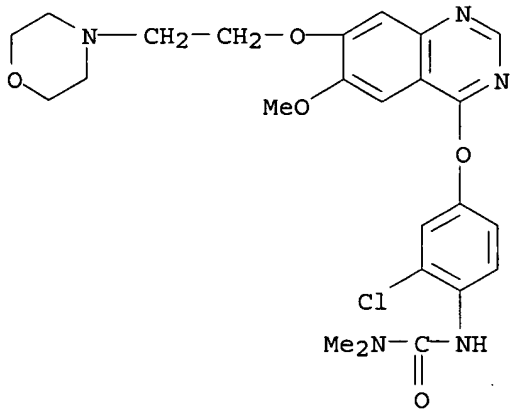
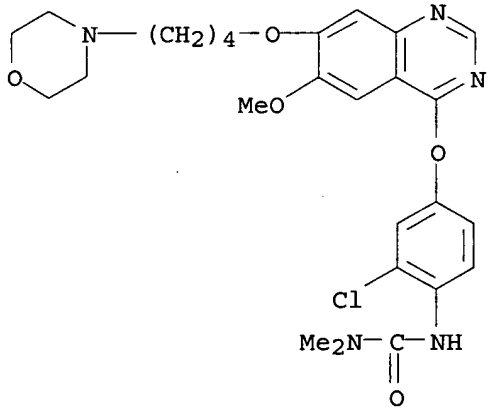


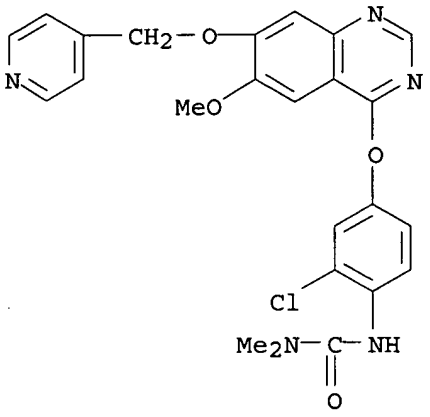
RN 286371-35-5 HCAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[2-(4-morpholinyl)ethoxy]-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



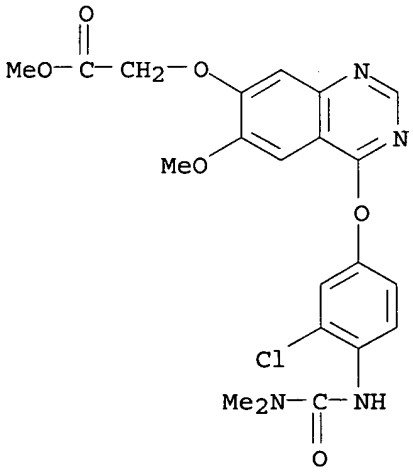
RN 286371-36-6 HCAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[4-(4-morpholinyl)butoxy]-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



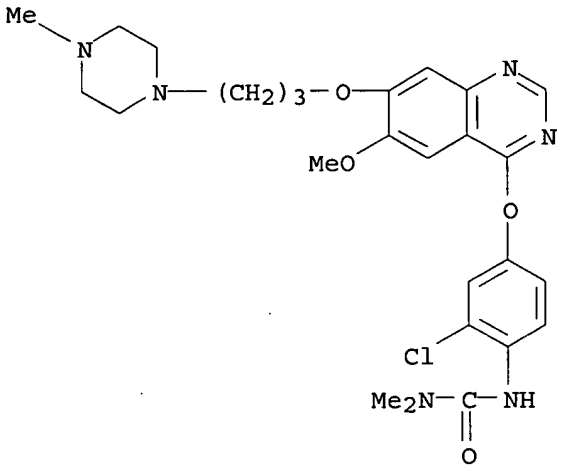
RN 286371-37-7 HCAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-(4-pyridinylmethoxy)-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



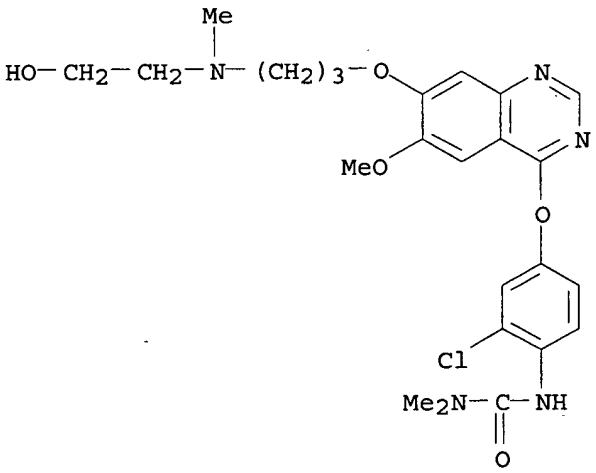
RN 286371-38-8 HCAPLUS
CN Acetic acid, [[4-[3-chloro-4-[[(dimethylamino)carbonyl]amino]phenoxy]-6-methoxy-7-quinazolinyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



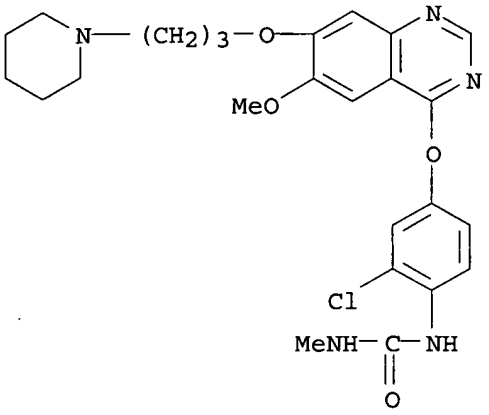
RN 286371-39-9 HCAPLUS
CN Urea, N'-[2-chloro-4-[[6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



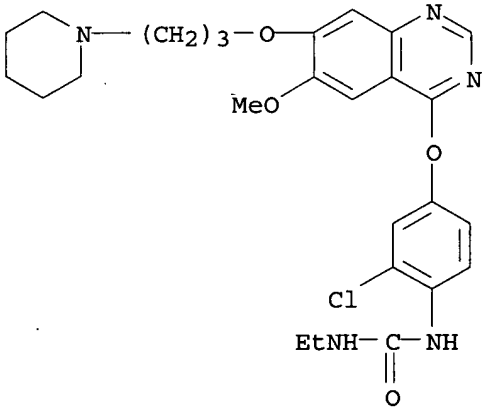
RN 286371-40-2 HCAPLUS
CN Urea, N'-[2-chloro-4-[[7-[3-[(2-hydroxyethyl)methylamino]propoxy]-6-methoxy-4-quinazolinyl]oxy]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 286371-41-3 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-methyl- (9CI) (CA INDEX NAME)



RN 286371-42-4 HCAPLUS
CN Urea, N-[2-chloro-4-[[6-methoxy-7-[3-(1-piperidinyl)propoxy]-4-quinazolinyl]oxy]phenyl]-N'-ethyl- (9CI) (CA INDEX NAME)



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286371-91-3 286371-93-5 286371-96-8
286371-98-0 286372-06-3 286372-08-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antitumor activity of quinolines and quinazolines)
RN 286371-79-7 HCAPLUS
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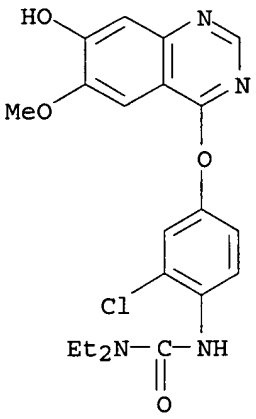

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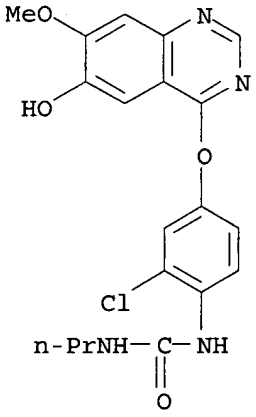

RN 286371-84-4 HCAPLUS
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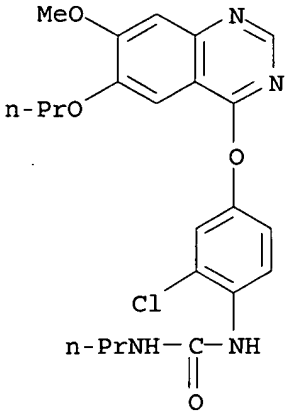

RN 286371-91-3 HCAPLUS
CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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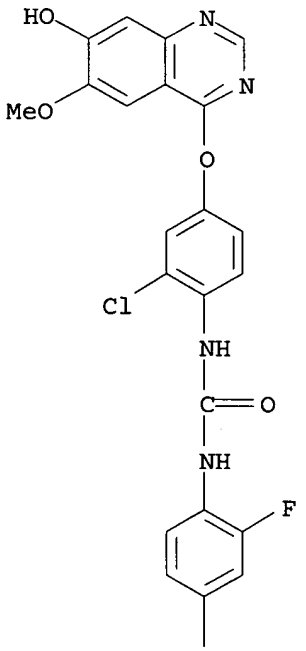

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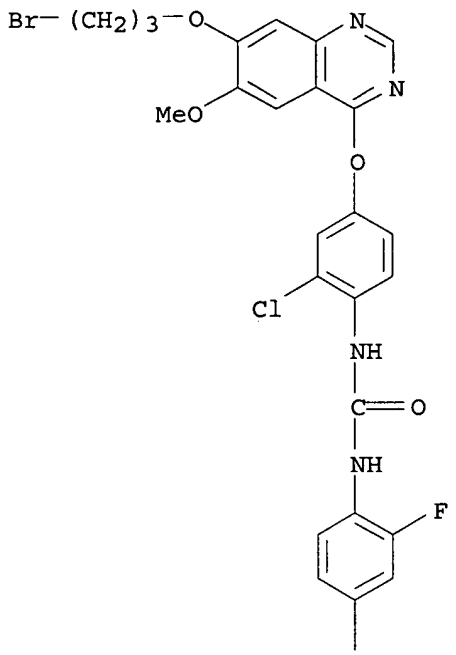
RN 286371-93-5 HCAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-

chlorophenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

RN 286371-96-8 HCAPLUS
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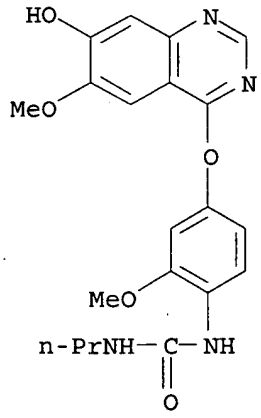
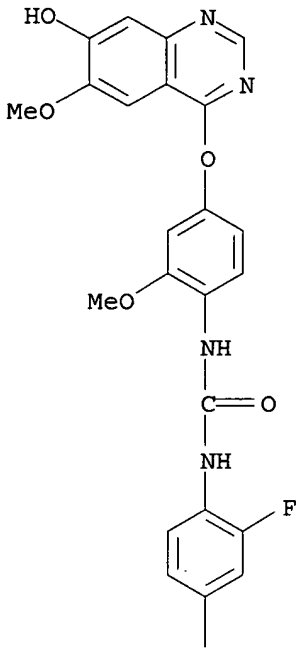


RN 286371-98-0 HCAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]-2-methoxyphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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RN 286372-06-3 HCAPLUS
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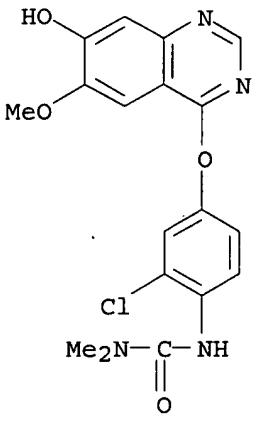

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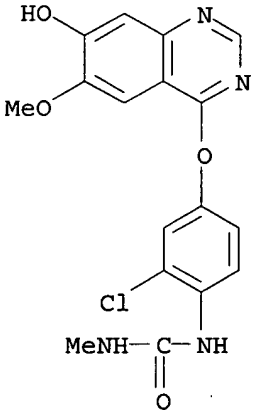

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286371-81-1P 286371-83-3P 286371-92-4P
286371-97-9P 286371-99-1P 286372-07-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antitumor activity of quinolines and quinazolines)
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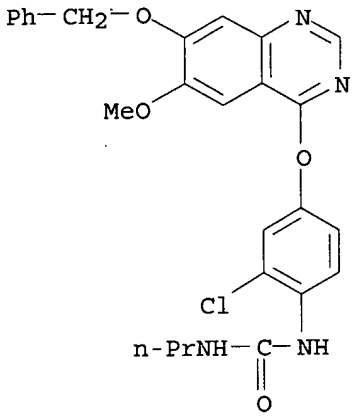
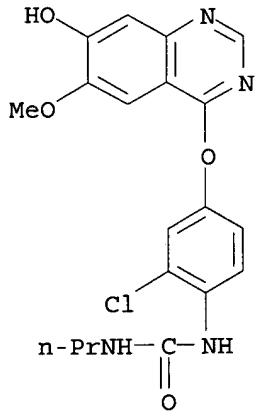
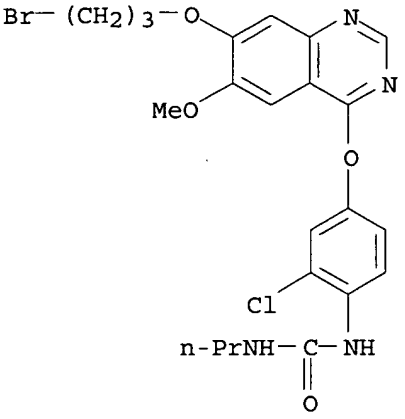


RN 286371-68-4 HCAPLUS
CN Urea, N-[2-chloro-4-[(7-hydroxy-6-methoxy-4-quinazolinyl)oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-76-4 HCAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



RN 286371-77-5 HCAPLUS
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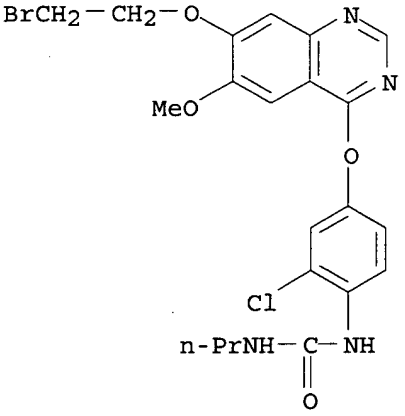
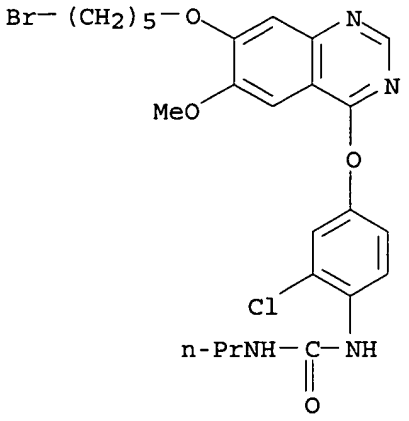


RN 286371-78-6 HCAPLUS
CN Urea, N-[4-[[7-[(5-bromopentyl)oxy]-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-propyl- (9CI) (CA INDEX NAME)



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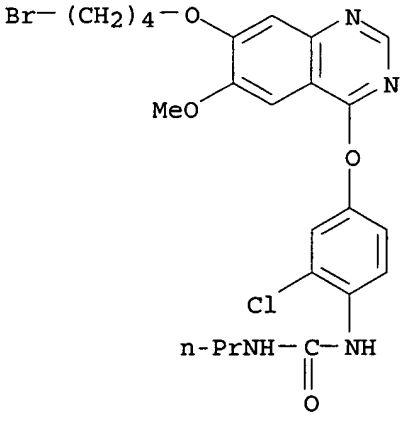

RN 286371-81-1 HCAPLUS
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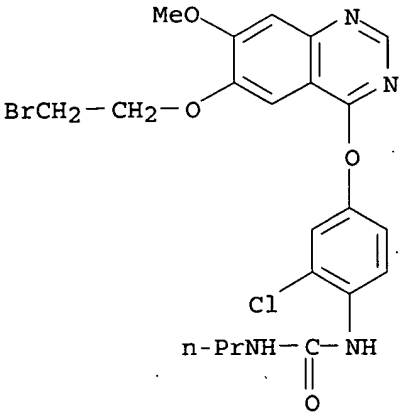

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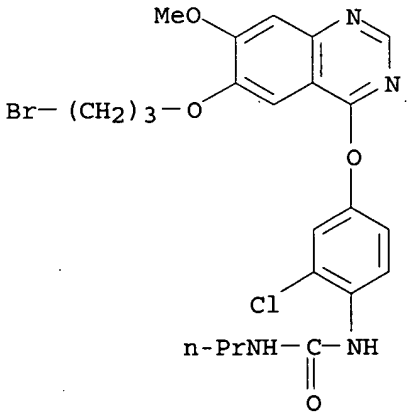

RN 286371-92-4 HCAPLUS
CN Urea, N-[4-[[7-(2-bromoethoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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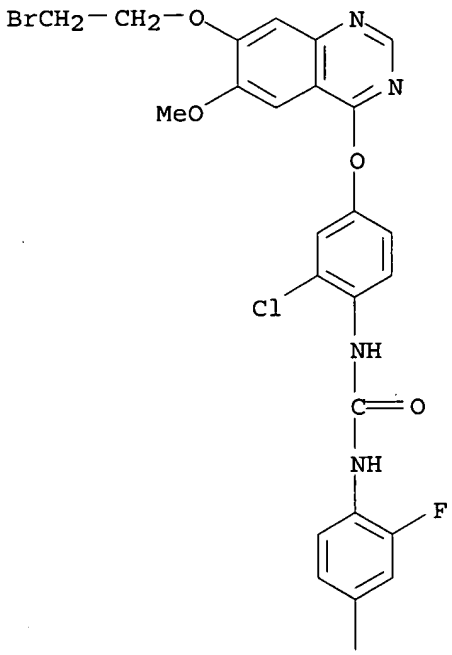

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RN 286371-97-9 HCAPLUS
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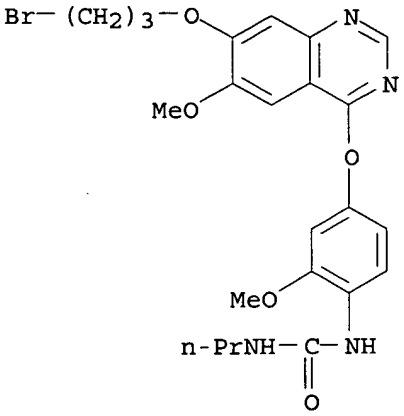

RN 286371-99-1 HCAPLUS
CN Urea, N-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-

methoxyphenyl]-N'-(2,4-difluorophenyl)- (9CI) (CA INDEX NAME)

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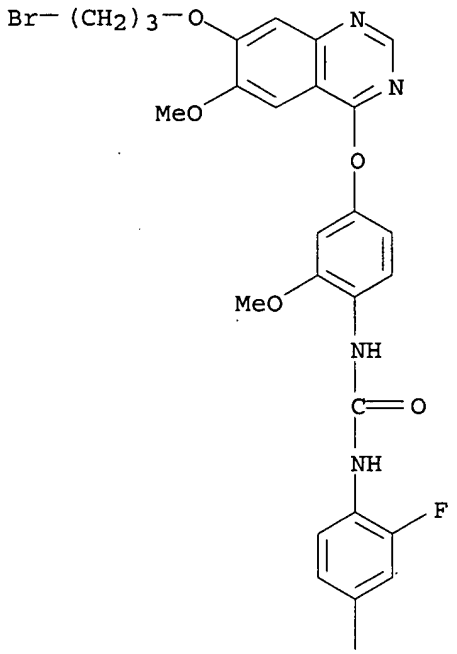

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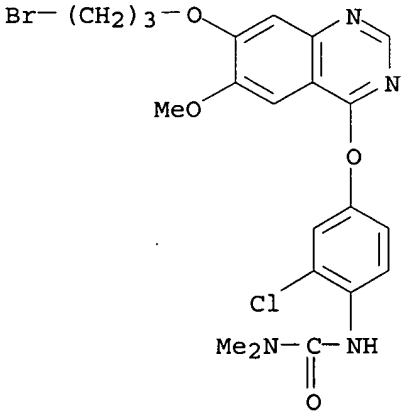
RN 286372-07-4 HCAPLUS
CN Urea, N'-[4-[[7-(3-bromopropoxy)-6-methoxy-4-quinazolinyl]oxy]-2-chlorophenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



IT **286370-32-9P 286370-42-1P 286370-54-5P**
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286370-85-2P 286371-28-6P 286371-29-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of quinolines and quinazolines)

RN 286370-32-9 HCAPLUS
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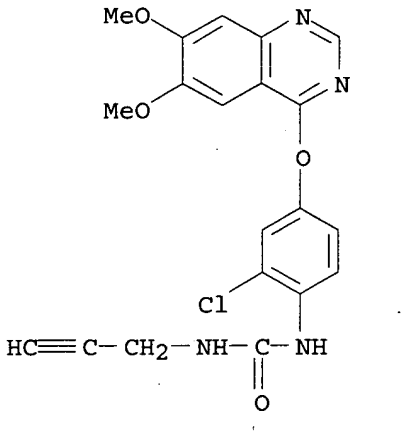

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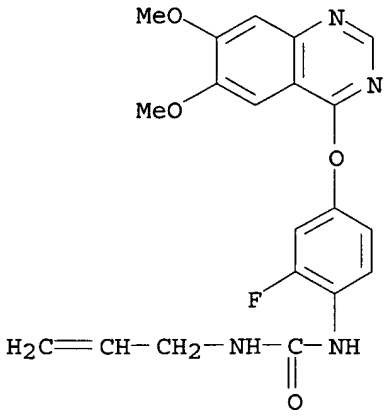

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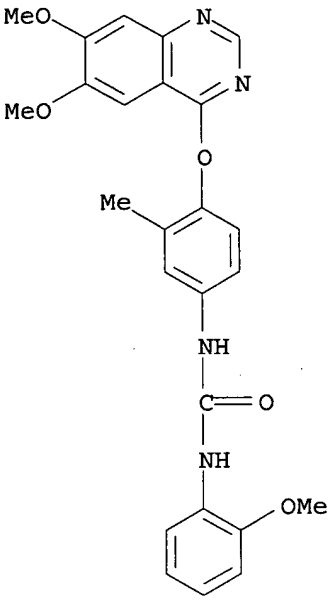

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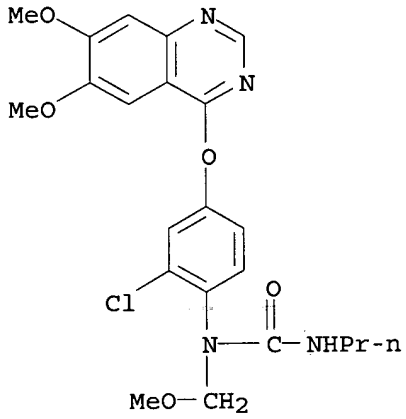

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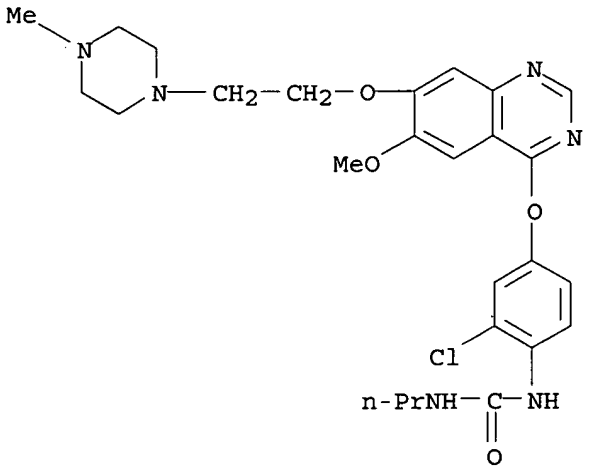

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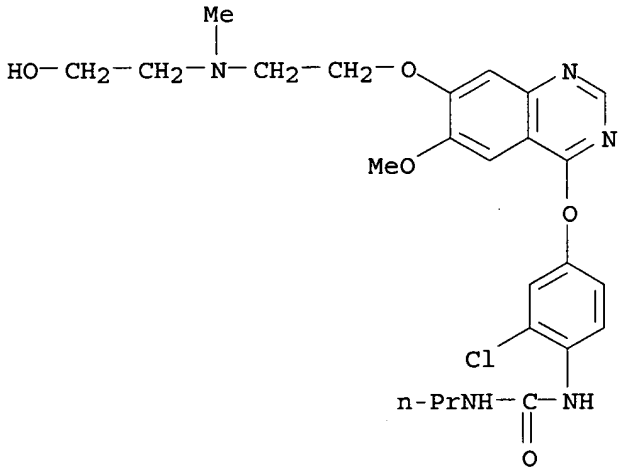

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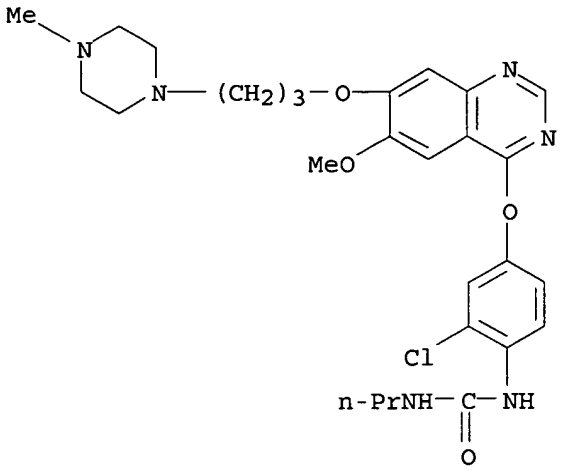

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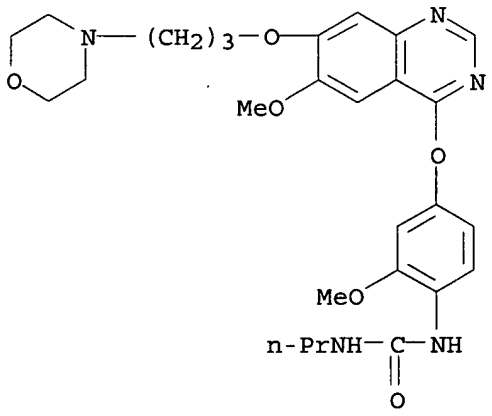

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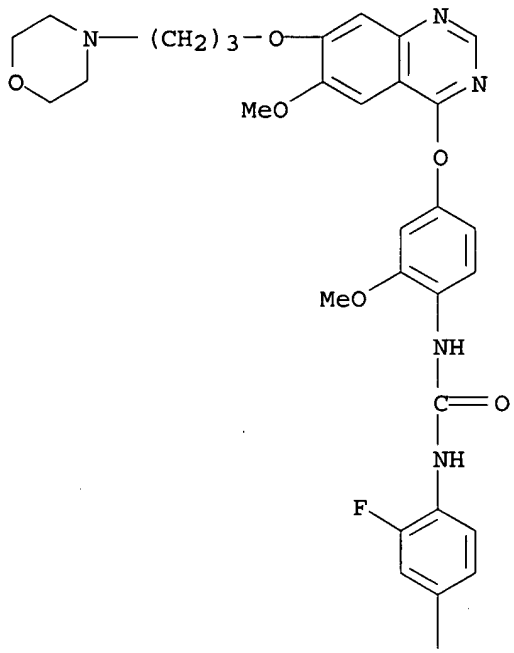

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REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 10 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:451297 HCAPLUS
DOCUMENT NUMBER: 131:102288
TITLE: Bicyclic heteroaromatic compounds [quinazolinamines, pyridopyrimidines, and analogs] useful as protein tyrosine kinase inhibitors
INVENTOR(S): Carter, Malcolm Clive; Cockerill, George Stuart; Guntrip, Stephen Barry; Lackey, Karen Elizabeth; Smith, Kathryn Jane
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935146	A1	19990715	WO 1999-EP48	19990108 <--

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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	US 2000-582746	A1	20000630

OTHER SOURCE(S): MARPAT 131:102288

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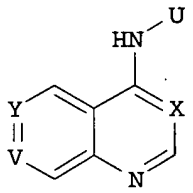

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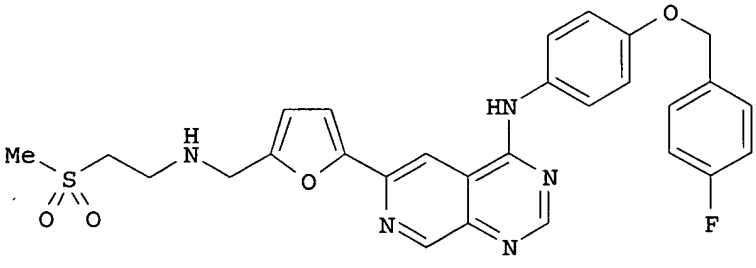


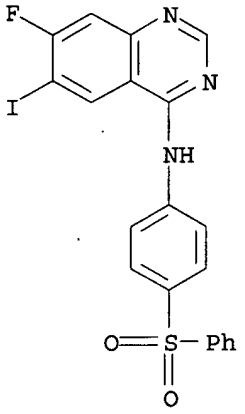
II

AB Title compds. I and their salts and solvates are disclosed [wherein X = N or CH; Y = CR1 and V = N; or Y = N and V = CR1; or Y = CR1 and V = CR2; or Y = CR2 and V = CR1; R1 = MeSO2CH2CH2NHCH2-Ar-, wherein Ar =

(un)substituted Ph, furan, thiophene, pyrrole, or thiazole; R2 = H, halo, OH, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylamino, or di[C1-4 alkyl]amino; U = Ph, pyridyl, 3H-imidazolyl, indolyl, isoindolyl, indolinyl, isoindolinyl, 1H-indazolyl, 2,3-dihydro-1H-indazolyl, 1H-benzimidazolyl, 2,3-dihydro-1H-benzimidazolyl or 1H-benzotriazolyl group, substituted by R3 and optionally by R4; R3 = (halo)benzyl, benzoyl, pyridylmethyl, pyridylmethoxy, phenoxy, benzyloxy, halo-, dihalo- and (halo)benzyloxy, PhSO2, (trihalomethyl)benzyl, (trihalomethyl)benzyloxy, (R5)n-substituted phthalimido; R4 = OH, halo, C1-4 alkyl, C2-4 alkenyl, C2-4 alkynyl, C1-4 alkoxy, (di)(alkyl)amino, C1-4 alkylthio, etc.; R5 = halo, C1-4 alkyl, C1-4 alkoxy; n = 0-3]. Also disclosed are methods for their preparation, pharmaceutical compns. containing them, and their use in medicine. The compds. are inhibitors of protein tyrosine kinases, and as such are useful in the treatment of cancer, psoriasis, and rheumatoid arthritis. Over 40 title compds. and numerous intermediates were prepared For example, 4,6-dichloropyrido[3,4-d]pyrimidine was condensed with 4-[(4-fluorobenzyl)oxy]aniline at the 4-chloro position, followed by Pd-catalyzed coupling with 5-(1,3-dioxolan-2-yl)-2-(tributylstannyl)furan at the 6-chloro position, hydrolysis of the dioxolane protecting group to give an aldehyde, reductive amination of the latter with MeSCH2CH2NH2, and finally S-oxidation with Oxone ® and acidification, to give title salt II.2HCl. In a methylene blue growth inhibition assay against 5 tumor cell lines, II.2HCl had an IC50 of < 5 μM against 4 of them, and an IC50 of 25-50 μM against the 5th.

IT **231278-19-6P 231278-30-1P 231278-37-8P**
231278-39-0P 231278-42-5P 231278-63-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolinamines and analogs as protein tyrosine kinase inhibitors)

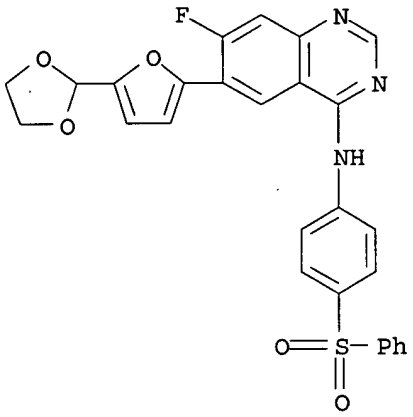
RN 231278-19-6 HCAPLUS
CN 4-Quinazolinamine, 7-fluoro-6-iodo-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



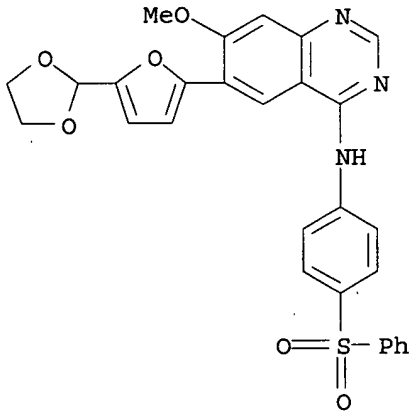
● HCl

RN 231278-30-1 HCAPLUS
CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-fluoro-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

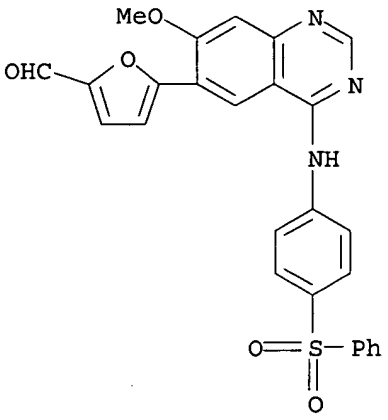
Searched by P. Ruppel



RN 231278-37-8 HCAPLUS
CN 4-Quinazolinamine, 6-[5-(1,3-dioxolan-2-yl)-2-furanyl]-7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

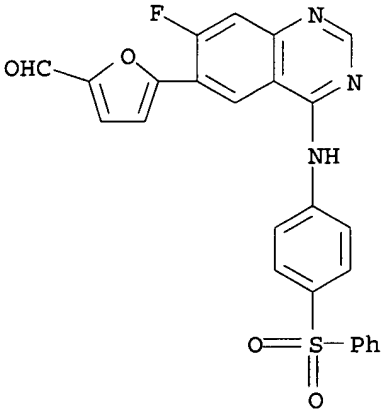


RN 231278-39-0 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[7-methoxy-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



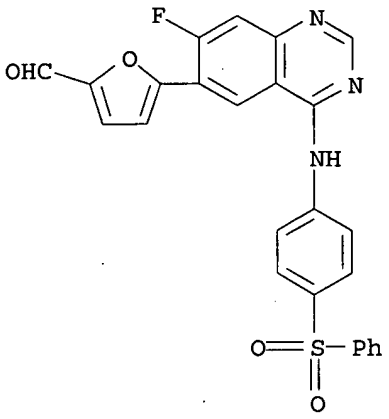
● HCl

RN 231278-42-5 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[7-fluoro-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

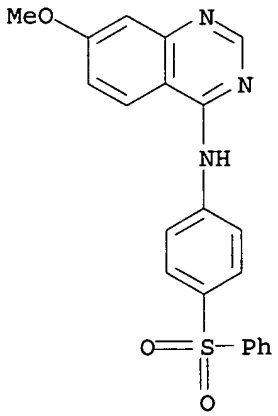


● HCl

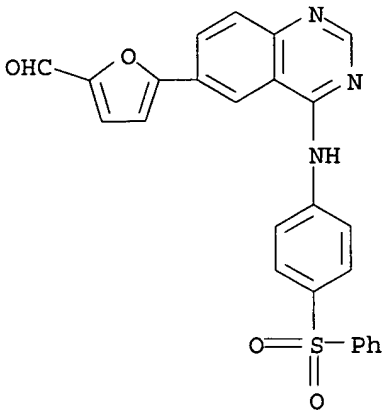
RN 231278-63-0 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[7-fluoro-4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



IT **231278-69-6 231278-80-1 231278-81-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of quinazolinamines and analogs as protein tyrosine kinase inhibitors)
RN 231278-69-6 HCAPLUS
CN 4-Quinazolinamine, 7-methoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

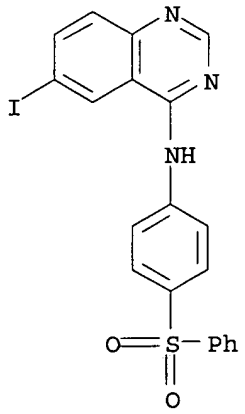


RN 231278-80-1 HCAPLUS
CN 2-Furancarboxaldehyde, 5-[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



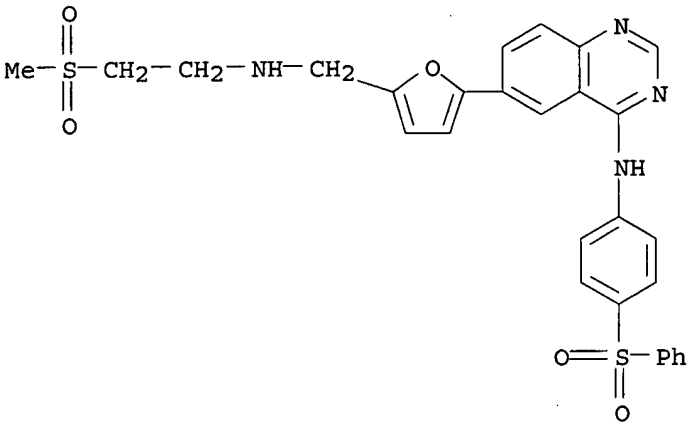
●2 HCl

RN 231278-81-2 HCAPLUS
CN 4-Quinazolinamine, 6-iodo-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



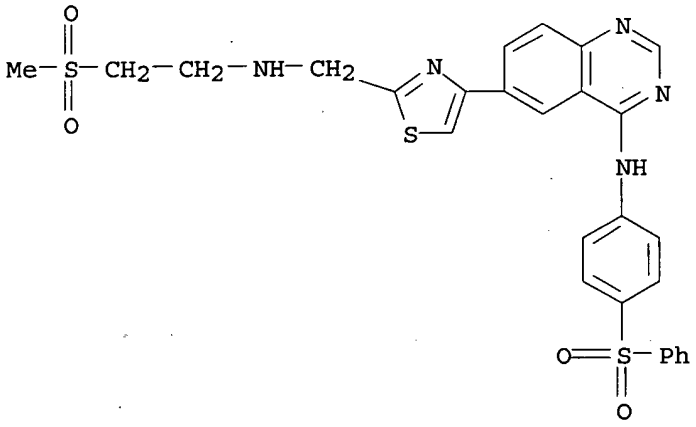
●2 HCl

IT **231277-85-3P 231277-86-4P 231277-96-6P 231277-99-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of quinazolinamines and analogs as protein tyrosine kinase inhibitors)
RN 231277-85-3 HCAPLUS
CN 4-Quinazolinamine, 6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



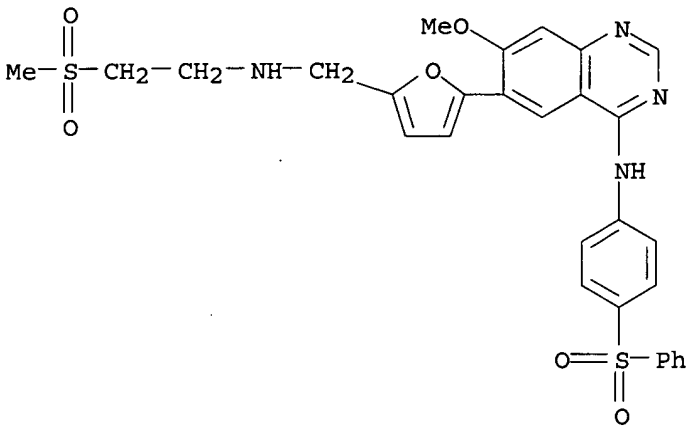
●2 HCl

RN 231277-86-4 HCAPLUS
CN 4-Quinazolinamine, 6-[2-[[[2-(methylsulfonyl)ethyl]amino]methyl]-4-thiazolyl]-N-[4-(phenylsulfonyl)phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)

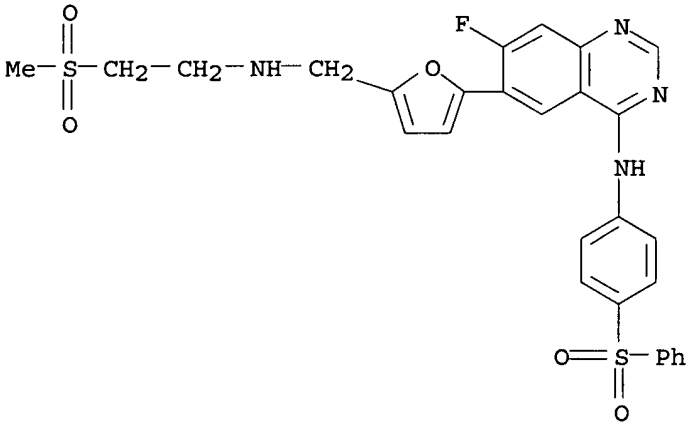


●2 HCl

RN 231277-96-6 HCAPLUS
CN 4-Quinazolinamine, 7-methoxy-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 231277-99-9 HCAPLUS
CN 4-Quinazolinamine, 7-fluoro-6-[5-[[[2-(methylsulfonyl)ethyl]amino]methyl]-2-furanyl]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 11 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:451283 HCAPLUS
DOCUMENT NUMBER: 131:102287
TITLE: Preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors
INVENTOR(S): Cockerill, George Stuart; Lackey, Karen Elizabeth
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935132	A1	19990715	WO 1999-GB76	19990111 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

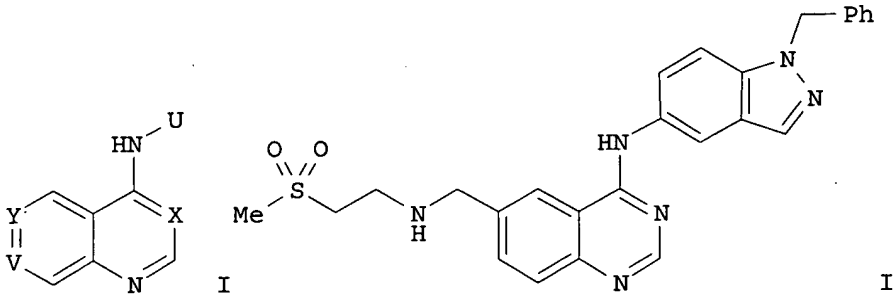
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9919786 A1 19990726 AU 1999-19786 19990111 <--

PRIORITY APPLN. INFO.: GB 1998-575 19980112
WO 1999-GB76 19990111

OTHER SOURCE(S): MARPAT 131:102287

GI

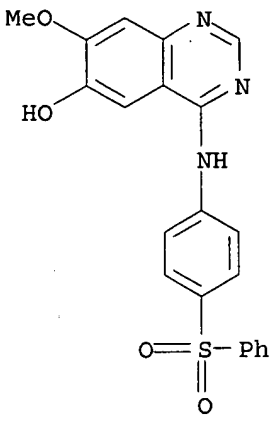


AB Substituted heteroarom. compds. I are prepared [wherein X = N or CH; Y = CR1 and V = N; or Y = N and V = CR1; or Y = CR1 and V = CR2; or Y = CR2 and V = CR1; R1 = Q-M-, wherein M = C1-5 alkylene where any C atom not immediately adjacent to Q may be replaced by O, S, or NR6; Q = wide variety of groups; R2 = H, halo, OH, alkyl, alkoxy, (di)alkylamino; U = Ph, pyridyl, pyrimidinyl, imidazolyl, or 9- or 10-membered bicyclic heterocyclyl containing 1-2 N atoms and 0-1 addnl. O, N, or S; U is substituted by R3, where R3 = benzyl, halobenzyl, pyridylmethyl, pyridylmethoxy, PhO, PhSO2, (un)substituted phthalimido; R6 = H, alkyl]. Twelve examples and a variety of intermediates were prepared For instance, 4-chloro-6-iodoquinazoline was aminated in the 4-position with 5-amino-1-benzyl-1H-indazole, followed by Pd-catalyzed carbonylation, to give 4-[(1-benzyl-1H-indazol-5-yl)amino]quinazoline-6-carbaldehyde. This underwent reductive amination by MeSO2CH2CH2NH2 and a reducing agent such as NaBH(OAc)3, to give title compound II.HCl. In an EGFr phosphorylation assay, II.HCl had an IC50 of <0.10 μM.

IT **230955-58-5P 230955-63-2P 230955-64-3P 230955-65-4P 230955-74-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors)

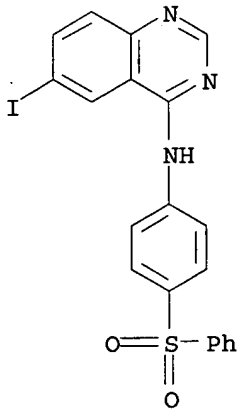
RN 230955-58-5 HCAPLUS

CN 6-Quinazolinol, 7-methoxy-4-[[4-(phenylsulfonyl)phenyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

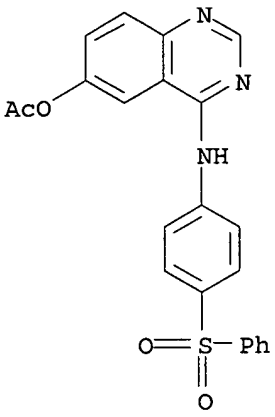


● HCl

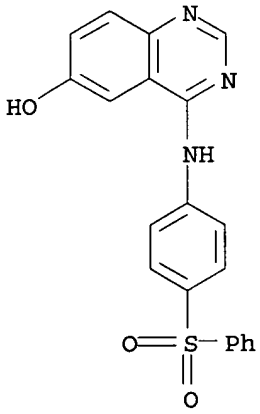
RN 230955-63-2 HCAPLUS
CN 4-Quinazolinamine, 6-iodo-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



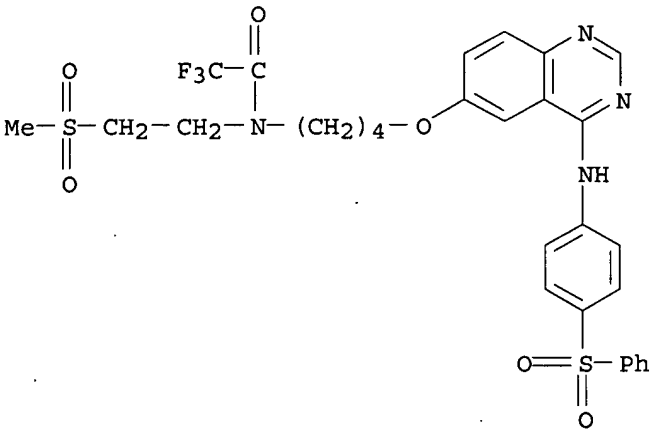
RN 230955-64-3 HCAPLUS
CN 6-Quinazolinol, 4-[[4-(phenylsulfonyl)phenyl]amino]-, acetate (ester) (9CI) (CA INDEX NAME)



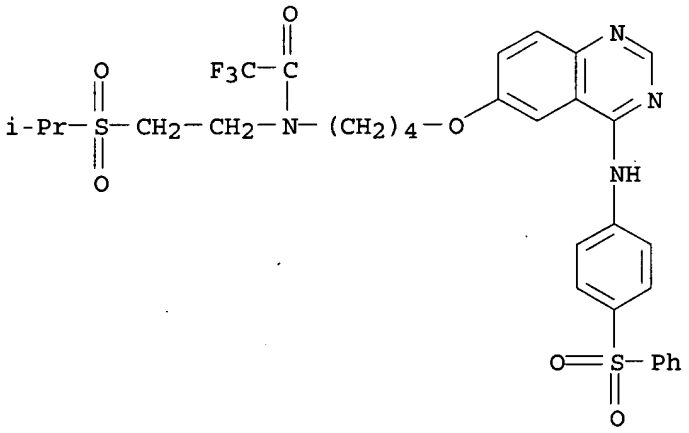
RN 230955-65-4 HCAPLUS
CN 6-Quinazolinol, 4-[[4-(phenylsulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



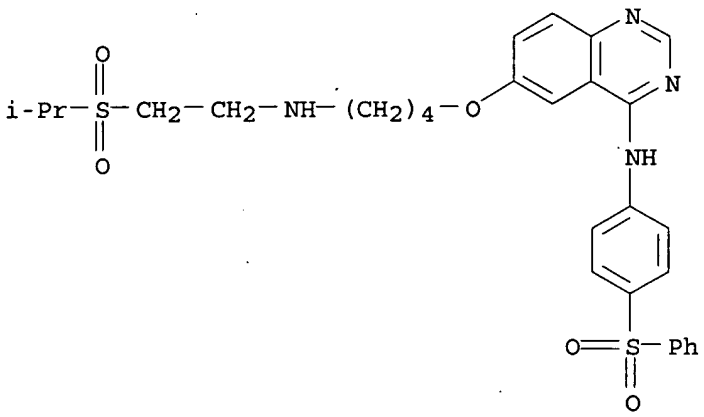
RN 230955-74-5 HCAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-(methylsulfonyl)ethyl]-N-[4-[[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]oxy]butyl]- (9CI) (CA INDEX NAME)



IT **230955-76-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors)
RN 230955-76-7 HCAPLUS
CN Acetamide, 2,2,2-trifluoro-N-[2-[(1-methylethyl)sulfonyl]ethyl]-N-[4-[[4-[[4-(phenylsulfonyl)phenyl]amino]-6-quinazolinyl]oxy]butyl]- (9CI) (CA INDEX NAME)



IT **230955-51-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of quinazolinylamines and analogs as protein tyrosine kinase inhibitors)
RN 230955-51-8 HCAPLUS
CN 4-Quinazolinamine, 6-[4-[[2-[(1-methylethyl)sulfonyl]ethyl]amino]butoxy]-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:105843 HCAPLUS
DOCUMENT NUMBER: 128:136497
TITLE: Aryl and heteroaryl quinazoline compounds which inhibit EGF and/or PDGF receptor tyrosine kinase
INVENTOR(S): Myers, Michael R.; Spada, Alfred P.; Maguire, Martin P.; Persons, Paul E.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. 5,480,883.
CODEN: USXXAM
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5710158	A	19980120	US 1994-229886	19940419	<--
US 5480883	A	19960102	US 1993-166199	19931210	<--
WO 9515758	A1	19950615	WO 1994-US14180	19941208	<--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN					
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9513050	A1	19950627	AU 1995-13050	19941208	<--
EP 871448	A1	19981021	EP 1995-904308	19941208	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
US 5656643	A	19970812	US 1995-385258	19950208	<--
US 6645969	B1	20031111	US 1995-521852	19950518	
US 5714493	A	19980203	US 1996-652444	19960604	<--
US 37650	E	20020409	US 2000-496399	20000202	<--

PRIORITY APPLN. INFO.:
US 1991-698420 B2 19910510
US 1992-988515 B2 19921210
US 1993-166199 A2 19931210
WO 1992-US3736 A2 19920506
US 1993-146072 A3 19931108
US 1994-229886 A 19940419

Searched by P. Ruppel

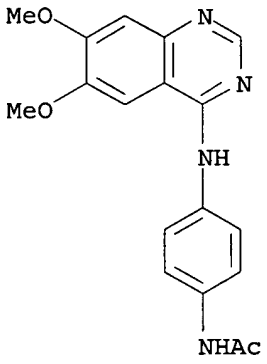
WO 1994-US14180 W 19941208
US 1996-652444 A5 19960604

OTHER SOURCE(S): MARPAT 128:136497

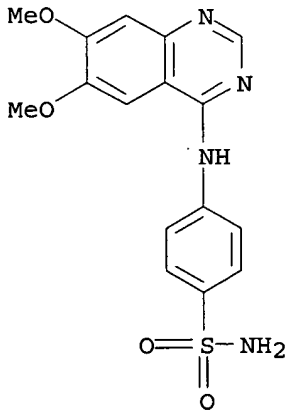
AB This invention relates to the modulation and/or inhibition of cell signaling, cell proliferation, cell inflammatory response, the control of abnormal cell growth and cell reproduction More specifically, this invention relates to the use of mono- and/or bicyclic aryl or heteroaryl quinazoline compds. in inhibiting cell proliferation, including compds. which are useful protein tyrosine kinase (PTK) inhibitors. The method of treating cell proliferation using said quinazoline compds. and their use in pharmaceutical compns. is described. A number of compds. were tested for inhibition of PDGF receptor cell-free antophosphorylation procedure.

IT **202475-66-9 202475-67-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(aryl and heteroaryl quinazoline compds. which inhibit EGF and/or PDGF receptor tyrosine kinase)

RN 202475-66-9 HCAPLUS
CN Acetamide, N-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 202475-67-0 HCAPLUS
CN Benzenesulfonamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

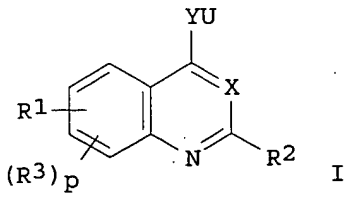
L24 ANSWER 13 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:71133 HCAPLUS
DOCUMENT NUMBER: 128:140716
TITLE: Preparation of azolylquinazolines and related compounds as protein tyrosine kinase inhibitors.
INVENTOR(S): Cockerill, George Stuart; Carter, Malcolm Clive; Guntrip, Stephen Barry; Smith, Kathryn Jane
PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart; Carter, Malcolm Clive; Guntrip, Stephen Barry; Smith, Kathryn Jane
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802434	A1	19980122	WO 1997-EP3672	19970711 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9706147	A	19990111	ZA 1997-6147	19970710 <--
AU 9737668	A1	19980209	AU 1997-37668	19970711 <--
EP 912559	A1	19990506	EP 1997-934458	19970711 <--
EP 912559	B1	20021106		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000514806	T2	20001107	JP 1998-505596	19970711 <--
AT 227283	E	20021115	AT 1997-934458	19970711 <--
PT 912559	T	20030331	PT 1997-97934458	19970711
ES 2186908	T3	20030516	ES 1997-934458	19970711
US 6391874	B1	20020521	US 1998-214267	19981231 <--
US 2002147214	A1	20021010	US 2002-62647	20020131 <--

PRIORITY APPLN. INFO.:

GB 1996-14755	A	19960713
GB 1996-25458	A	19961207
WO 1997-EP3672	W	19970711
US 1998-214267	A1	19981231

OTHER SOURCE(S): MARPAT 128:140716
GI

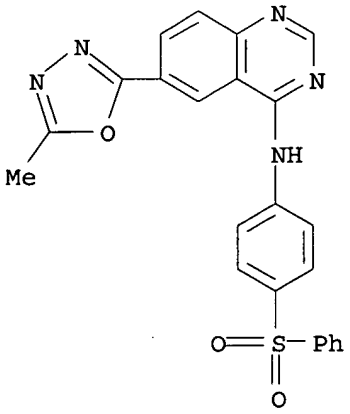


I

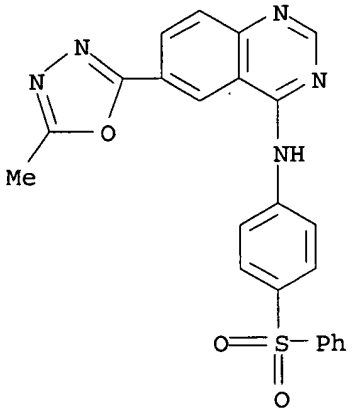
AB Title compds. [I; U = substituted Ph, mono- or bicyclic 5-10 membered (hetero)cyclyl; X = N, CH; Y = W(CH2), (CH2)W, W; W = O, S(O)m, NRa; Ra = H, alkyl; m = 0-2; R1 = (substituted) Ph, 5- or 6-membered heterocyclyl containing 1-4 heteroatoms selected from N, O, S(O)m; with the provision that the ring does not contain two adjacent O or S(O)m atoms and that where the ring contains only N as heteroatom(s) the ring is C-linked to the quinazoline or quinoline ring; R3 = H, amino, halo, OH, NO2, CO2H, CHO, cyano, CF3, OCF3, carbamoyl, alkoxycarbonyl, Ph, PhO, pyridonyl, pyrrolidinyl, imidazolyl, dioxolanyl, arylsulfonyl, alkylsulfonyl, alkylcarbamoylalkyl, piperidinoalkoxy, thiomorpholino, etc.; 2 adjacent R3 = methylenedioxy, ethylenedioxy; p = 0-3], were prepared Thus, (S)-1-[5-[4-(1-benzyl-1H-indazol-5-ylamino)quinazolin-6-yl]furan-2-ylmethyl]pyrrolidine-2-carboxylic acid amide dihydrochloride (preparation given) inhibited BT474 human breast cancer cell proliferation with IC50 = 2 nM.

IT **202196-67-6P 202197-96-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolylquinazolines and related compds. as protein tyrosine kinase inhibitors)

RN 202196-67-6 HCAPLUS
CN 4-Quinazolinamine, 6-(5-methyl-1,3,4-oxadiazol-2-yl)-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 202197-96-4 HCAPLUS
CN 4-Quinazolinamine, 6-(5-methyl-1,3,4-oxadiazol-2-yl)-N-[4-(phenylsulfonyl)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



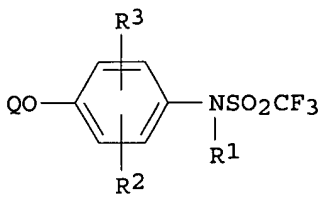
● HCl

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 14 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:38682 HCAPLUS
DOCUMENT NUMBER: 128:167414
TITLE: Preparation of thiazolyloxyphenylmethanesulfonamides as herbicides
INVENTOR(S): Sato, Kazuo; Kudo, Noriaki; Honma, Toyokuni; Isarai, Kiyoshi; Kadotani, Junji
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 10007657	A2	19980113	JP 1996-158177	19960619	<--

PRIORITY APPLN. INFO.: JP 1996-158177 19960619
OTHER SOURCE(S): MARPAT 128:167414
GI



I

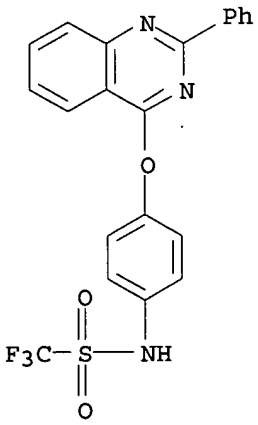
AB Sulfonamides I (R1 = H, C2-6 alkanoyl, benzoyl; R2, R3 = H, halo, NO2, cyano, (substituted) lower alkyl, (substituted) lower alkoxy, etc.; R2R3

may form Ph or naphthalene; Q = (substituted) pyrazinyl, (substituted) 4-pyrimidinyl, (substituted) oxazolyl, (substituted) thiazolyl, (substituted) quinoxalyl, (substituted) quinazolyl, etc.; if Q = thiazolyl and R2 = R3, then R2 = R3 ≠ H) are prepared 2-(4-Amino-3-methoxycarbonylphenoxy)-4-chloro-5-difluoromethylthiazole was amidated with F3CSO3H in the presence of Et3N in CH2Cl2 under ice-cooling for 30 min, decomposed with NaOH in THF-H2O at room temperature for 1 h to give 86% I (R1 = H, R2 = 2-CO2Me, R3 = H, Q = 4-chloro-5-difluoromethyl-2-thiazolyl) (II). II at 5 g/a preemergence controlled 91-100% Echinochloa oryzicola and broadleaf weeds, 71-90% Scirpus juncoides, and 31-50% Cyperus serotinous growth without damaging rice plants.

IT **202752-73-6**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(preparation of phenylmethanesulfonamides as herbicides)

RN 202752-73-6 HCAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[4-[(2-phenyl-4-quinazolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 15 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:480973 HCAPLUS

DOCUMENT NUMBER: 127:108942

TITLE: Quinazoline-2,4-diazirines as NPY receptor antagonists

INVENTOR(S): Rueger, Heinrich; Schmidlin, Tibur; Rigollier, Pascal; Yamaguchi, Yasuchika; Tintelnot-Blomley, Marina; Schilling, Walter; Criscione, Leoluca

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Rueger, Heinrich; Schmidlin, Tibur; Rigollier, Pascal; Yamaguchi, Yasuchika; Tintelnot-Blomley, Marina; Schilling, Walter; Criscione, Leoluca

SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

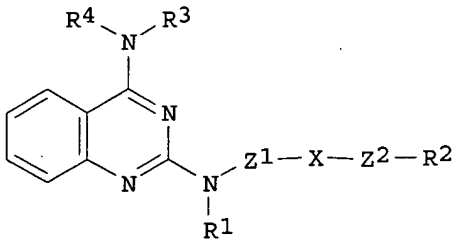
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

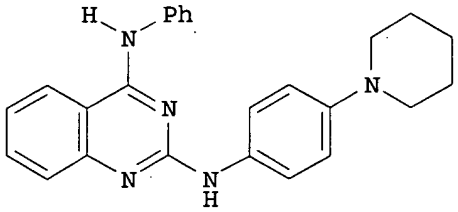
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9720822 A1 19970612 WO 1996-EP5066 19961118 <--
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
AU 9676928 A1 19970627 AU 1996-76928 19961118 <--
ZA 9610022 A 19970601 ZA 1996-10022 19961128 <--
PRIORITY APPLN. INFO.: US 1995-566027 A2 19951201
WO 1996-EP5066 W 19961118
OTHER SOURCE(S): MARPAT 127:108942
GI



I



II

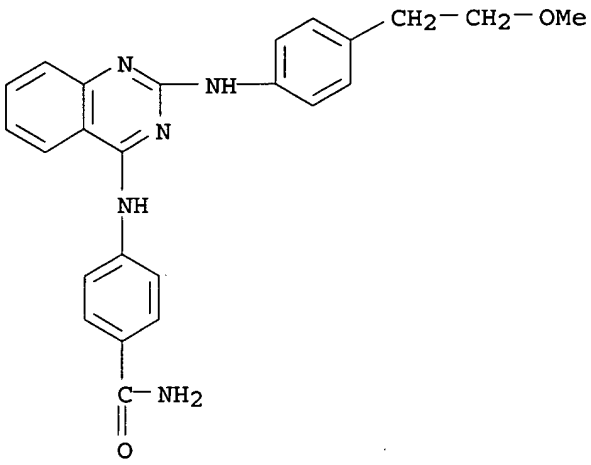
AB The invention relates to a method of treatment of disorders and diseases associated with NPY receptor subtype Y5. The method comprises administration of a therapeutically effective amount of a compound I or a salt thereof [wherein Z1, Z2 = bond, alkylene; R1 = H, alk(en/yn)yl, hydroxyalkyl, cycloalkyl, (hetero)aryl, etc.; R2 = H, halo, NO2, cyano, alk(en/yn)yl, (un)substituted NH2, or OH, CO2H or derivs., etc.; R3, R4 = H, (un)substituted alk(en/yn)yl, aryl, heteroaryl, etc.; or R3R4 = alkylene which may be hetero-atom-interrupted or benzo-fused; X = (un)substituted (hetero)arylene; benzo ring of quinazoline nucleus may be substituted]. Also claimed are compds. and pharmaceutical compns. For instance, condensation of 2-chloro-4-(phenylamino)quinazoline with N-(4-aminophenyl)piperidine in a melt gave title compound II, isolated as the HCl salt. In a Y5 receptor binding assay, II.HCl had an IC50 value of 0.01 μM.

IT **192215-97-7P 192217-45-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazolinediazirines as antagonists of NPY receptor subtype Y5)

RN 192215-97-7 HCAPLUS

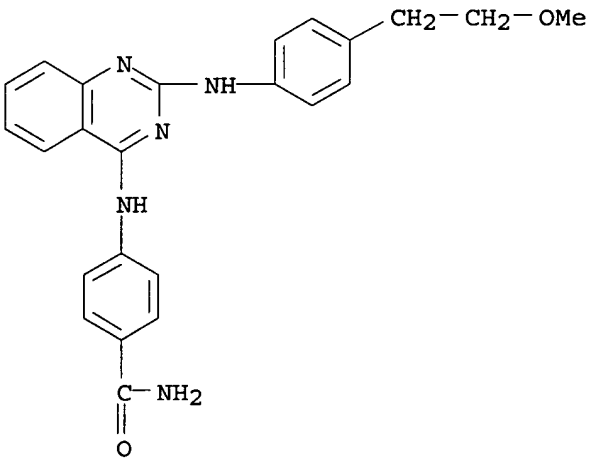
CN Benzamide, 4-[[2-[[4-(2-methoxyethyl)phenyl]amino]-4-quinazolinyl]amino]-,

monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 192217-45-1 HCAPLUS
CN Benzamide, 4-[[2-[[4-(2-methoxyethyl)phenyl]amino]-4-quinazolinyl]amino]-
(9CI) (CA INDEX NAME)



L24 ANSWER 16 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:414195 HCAPLUS
DOCUMENT NUMBER: 127:34137
TITLE: Preparation of quinoline and quinazoline derivatives inhibiting platelet-derived growth factor receptor autophosphorylation
INVENTOR(S): Kubo, Kazuo; Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi; Kato, Shinichiro; Murooka, Hideko; Kobayashi, Yoshiko; et al.
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan; Kubo, Kazuo;

Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi; Kato, Shinichiro

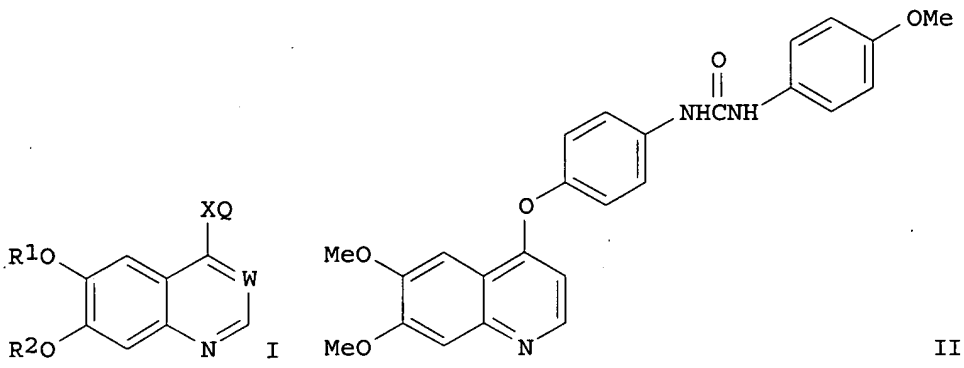
SOURCE: PCT Int. Appl., 243 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9717329	A1	19970515	WO 1996-JP3229	19961105 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9673400	A1	19970529	AU 1996-73400	19961105 <--
EP 860433	A1	19980826	EP 1996-935541	19961105 <--
EP 860433	B1	20020703		
R: CH, DE, FR, GB, LI				
TW 483891	B	20020421	TW 1996-85113529	19961106 <--
US 6143764	A	20001107	US 1998-68660	19980506 <--

PRIORITY APPLN. INFO.: JP 1995-313555 A 19951107
JP 1996-62121 A 19960223
WO 1996-JP3229 W 19961105

OTHER SOURCE(S): MARPAT 127:34137

GI



AB The title compds. I [R1 and R2 represent each H or C1-4 alkyl, or R1 and R2 together form C1 to C3 alkylene; X represents O, S or CH2; W represents CH or N; and Q represents substituted aryl or substituted heteroaryl] are prepared I inhibit platelet-derived growth factor receptor autophosphorylation and are useful in the treatment of cancer, arthritis, etc. The title compound II (preparation given) (at 100 mg/kg i.p. once daily for 9 days) increased the survival of mice with transplanted leukemic P388 cells by 130%.

IT **190727-97-0P 190727-98-1P 190727-99-2P 190728-00-8P 190728-01-9P**

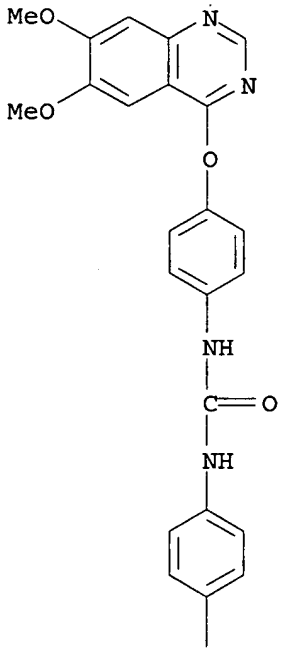
Searched by P. Ruppel

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline and quinazoline derivs. inhibiting platelet-derived growth factor receptor autophosphorylation)

RN 190727-97-0 HCAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

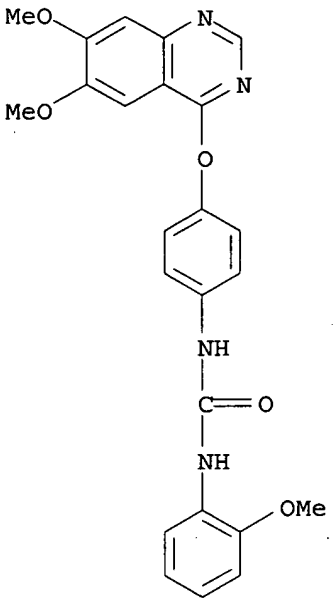
PAGE 1-A



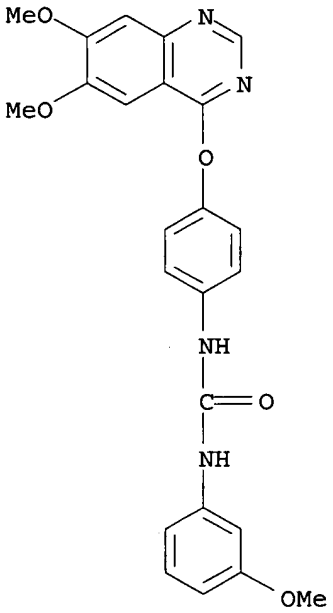
PAGE 2-A

RN 190727-98-1 HCAPLUS

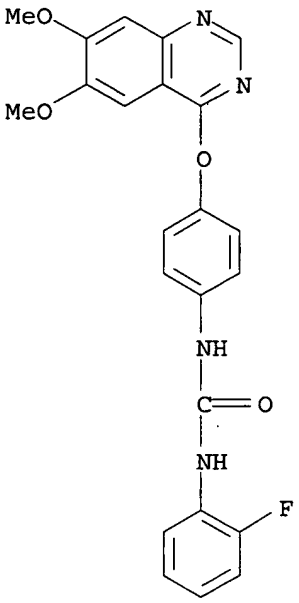
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



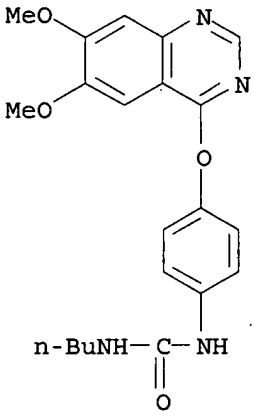
RN 190727-99-2 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(3-methoxyphenyl)-
(9CI) (CA INDEX NAME)



RN 190728-00-8 HCAPLUS
CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(2-fluorophenyl)-
(9CI) (CA INDEX NAME)



RN 190728-01-9 HCAPLUS
CN Urea, N-butyl-N'-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:483485 HCAPLUS
DOCUMENT NUMBER: 125:142741
TITLE: Preparation of N-phenyl-4-quinazolinamines for the treatment of proliferative diseases
INVENTOR(S): Brown, Dearg Sutherland; Morris, Jeffrey James; Thomas, Andrew Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

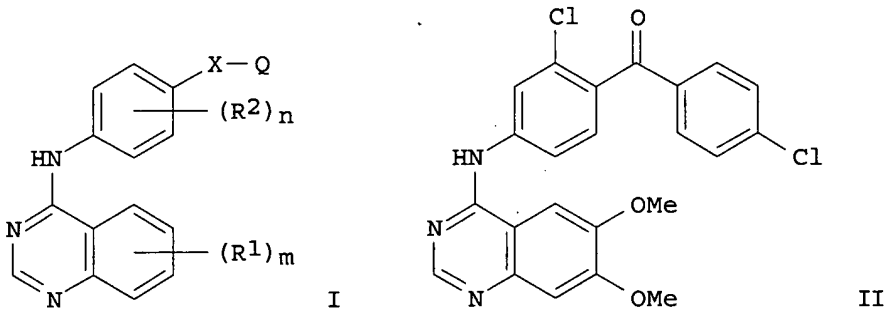
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615118	A1	19960523	WO 1995-GB2606	19951108 <--
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2200871	AA	19960523	CA 1995-2200871	19951108 <--
AU 9538130	A1	19960606	AU 1995-38130	19951108 <--
AU 703328	B2	19990325		
EP 790986	A1	19970827	EP 1995-936044	19951108 <--
EP 790986	B1	19990120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10508616	T2	19980825	JP 1995-515816	19951108 <--
AT 175962	E	19990215	AT 1995-936044	19951108 <--
ES 2128092	T3	19990501	ES 1995-936044	19951108 <--
ZA 9509572	A	19960513	ZA 1995-9572	19951110 <--
FI 9701970	A	19970507	FI 1997-1970	19970507 <--
NO 9702152	A	19970512	NO 1997-2152	19970509 <--
US 5821246	A	19981013	US 1997-836362	19970521 <--

PRIORITY APPLN. INFO.: GB 1994-22866 19941112
GB 1995-7308 19950407
WO 1995-GB2606 19951108

OTHER SOURCE(S): MARPAT 125:142741

GI

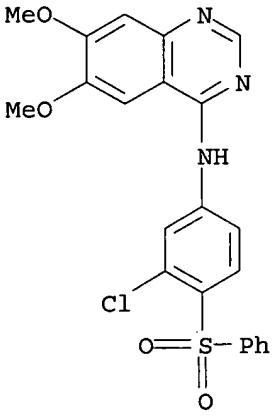


AB The title compds. I (m = 1-3; R1 = halo, hydroxy, amino, ureido, etc.; n = 0-3; R2 = halo, trifluoromethyl, hydroxy, amino, nitri, cyano, alkyl; X = carbonyl, methine, O,S, etc.) were disclosed. I were claimed for the use as receptor tyrosine kinase inhibitors and for treatment of proliferative disease such as cancer. An example compound is the chlorophenyl [(quinazolinyl)amino]phenyl methanone II.

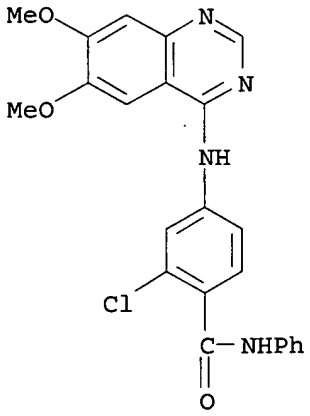
IT **179687-20-8P 179687-22-0P 179687-48-0P**
179687-49-1P 179687-52-6P 179687-53-7P
179687-54-8P 179687-55-9P 179687-56-0P
179687-57-1P 179687-58-2P 179687-59-3P
179688-82-5P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-phenylquinazolinamines as tyrosine kinase inhibitors)

Searched by P. Ruppel

RN 179687-20-8 HCAPLUS
CN 4-Quinazolinamine, N-[3-chloro-4-(phenylsulfonyl)phenyl]-6,7-dimethoxy- (9CI) (CA INDEX NAME)

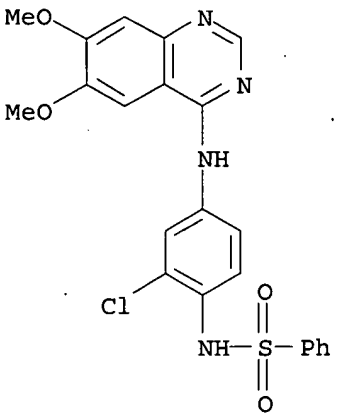


RN 179687-22-0 HCAPLUS
CN Benzamide, 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



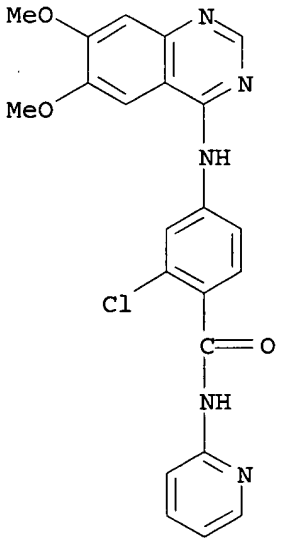
● HCl

RN 179687-48-0 HCAPLUS
CN Benzenesulfonamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



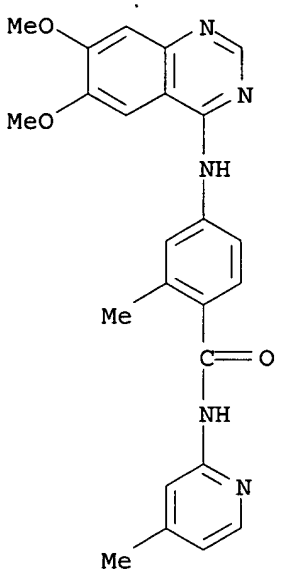
● HCl

RN 179687-49-1 HCAPLUS
CN Benzamide, 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-2-pyridinyl-, monohydrochloride (9CI) (CA INDEX NAME)



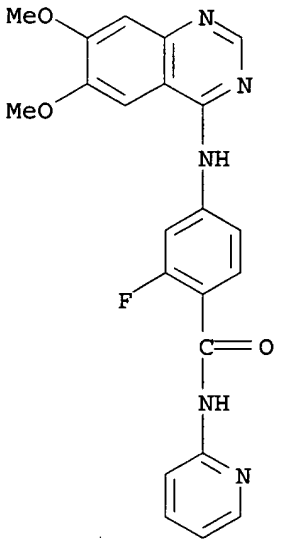
● HCl

RN 179687-52-6 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methyl-N-(4-methyl-2-pyridinyl)-, dihydrochloride (9CI) (CA INDEX NAME)



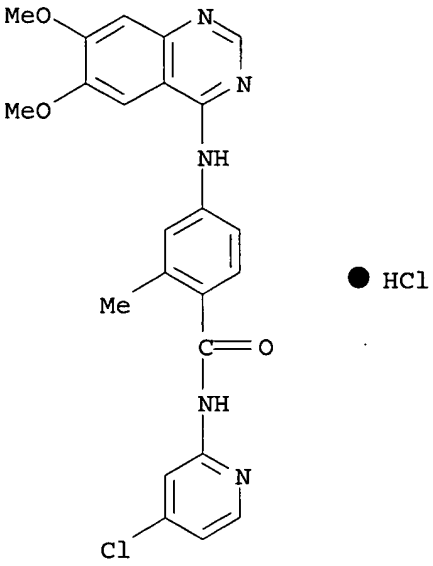
●2 HCl

RN 179687-53-7 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-fluoro-N-2-pyridinyl-, dihydrochloride (9CI) (CA INDEX NAME)

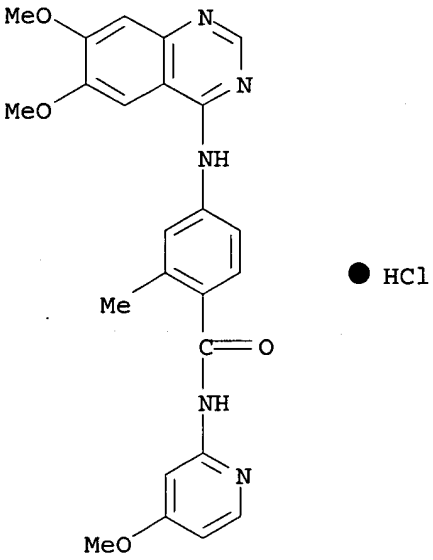


●2 HCl

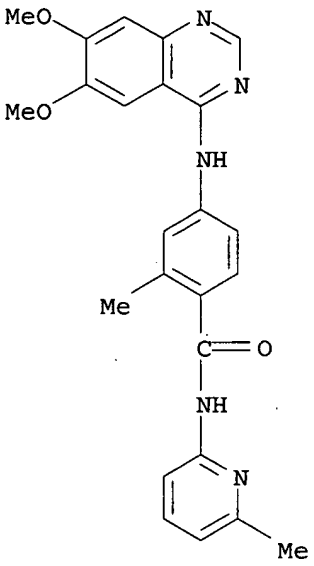
RN 179687-54-8 HCAPLUS
CN Benzamide, N-(4-chloro-2-pyridinyl)-4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



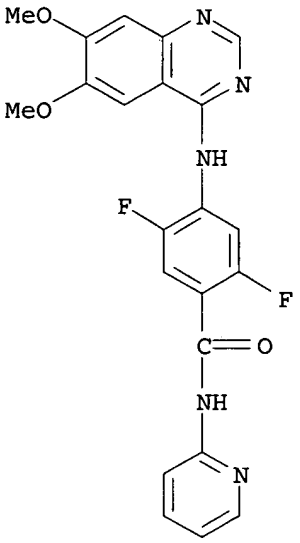
RN 179687-55-9 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-(4-methoxy-2-pyridinyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



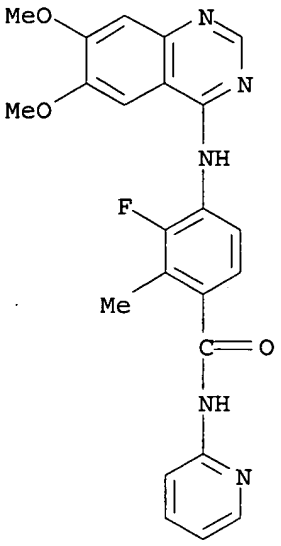
RN 179687-56-0 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2-methyl-N-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



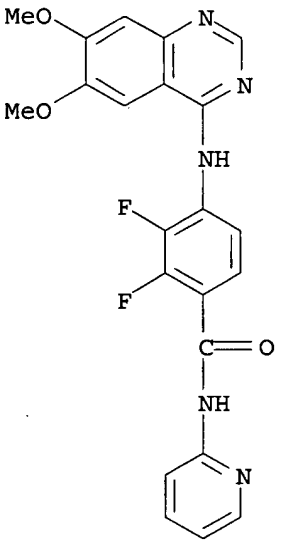
RN 179687-57-1 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2,5-difluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)



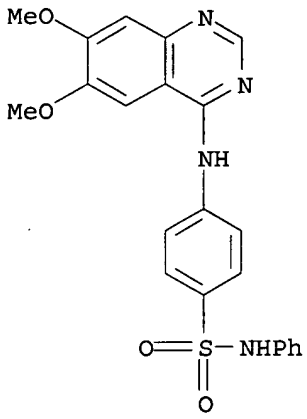
RN 179687-58-2 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-3-fluoro-2-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 179687-59-3 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-2,3-difluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 179688-82-5 HCAPLUS
CN Benzenesulfonamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-phenyl- (9CI) (CA INDEX NAME)



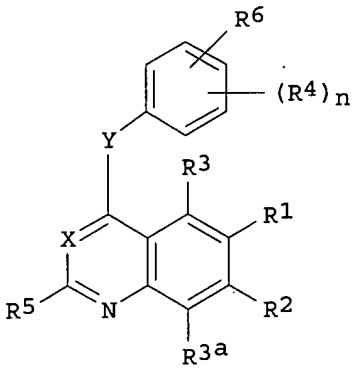
L24 ANSWER 18 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:462220 HCAPLUS
DOCUMENT NUMBER: 125:114665
TITLE: Preparation of quinoline and quinazoline protein tyrosine kinase inhibitors
INVENTOR(S): Hudson, Alan Thomas; Vile, Sadie; Barraclough, Paul; Franzmann, Karl Witold; McKeown, Stephen Carl; Page, Martin John
PATENT ASSIGNEE(S): Wellcome Foundation Limited, UK
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9609294	A1	19960328	WO 1995-GB2202	19950918	<--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM					
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9534824	A1	19960409	AU 1995-34824	19950918	<--
ZA 9507853	A	19970318	ZA 1995-7853	19950918	<--
EP 782570	A1	19970709	EP 1995-931351	19950918	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 10505600	T2	19980602	JP 1995-509740	19950918	<--

PRIORITY APPLN. INFO.:

GB 1994-18852	A	19940919
GB 1995-7788	A	19950413
GB 1995-10757	A	19950526
WO 1995-GB2202	W	19950918

OTHER SOURCE(S): MARPAT 125:114665
GI



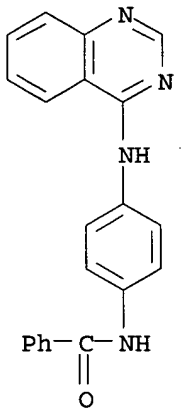
I

AB The title compds. [I; X = N, CH; Y = W(CH2), (CH2)W, W; W = O, S(O)m, (un)substituted NH; R1 = NH2, H, halogen, OH, NO2, CO2H, CF3, CF3O, ureido, etc.; R4 = H, OH, halogen, alkyl, alkoxy, alkylthio, CN, NO2, CF3, etc.; n = 1-3; R5 = H, halogen, CF3, alkyl, alkoxy; R6 = substituted hydrocarbyl, etc.], which are protein tyrosine kinase inhibitors, are prepared Thus, 4-chloroquinoline was reacted with 4-methoxyaniline in the presence of HCl, producing 4-(4-phenoxyanilino)quinoline hydrochloride, m.p. 216-218°, which demonstrated a IC50 against p561ck protein tyrosine kinase of 5 μM.

IT **179247-41-7P 179247-42-8P 179247-43-9P**
179247-44-0P 179247-53-1P 179247-55-3P
179247-58-6P 179248-04-5P 179248-05-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline and quinazoline protein tyrosine kinase inhibitors)

RN 179247-41-7 HCAPLUS

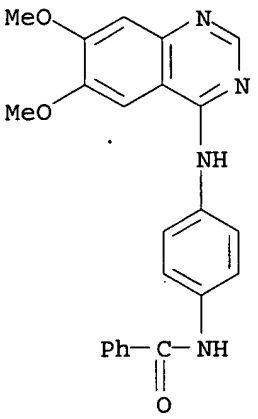
CN Benzamide, N-[4-(4-quinazolinylamino)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

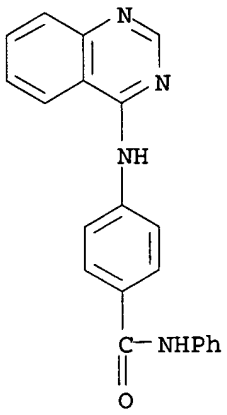
RN 179247-42-8 HCAPLUS

CN Benzamide, N-[4-[(6,7-dimethoxy-4-quinazolinyl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



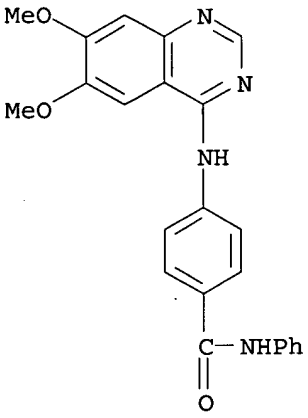
● HCl

RN 179247-43-9 HCAPLUS
CN Benzamide, N-phenyl-4-(4-quinazolinylamino)-, monohydrochloride (9CI) (CA INDEX NAME)



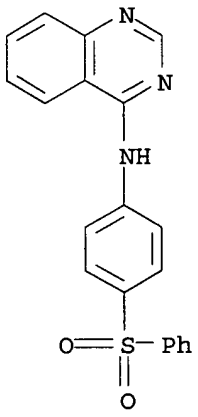
● HCl

RN 179247-44-0 HCAPLUS
CN Benzamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

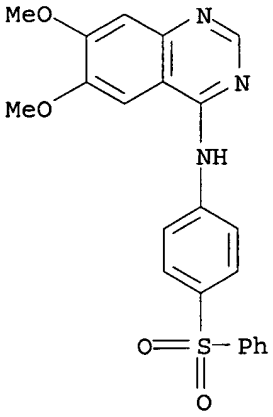


● HCl

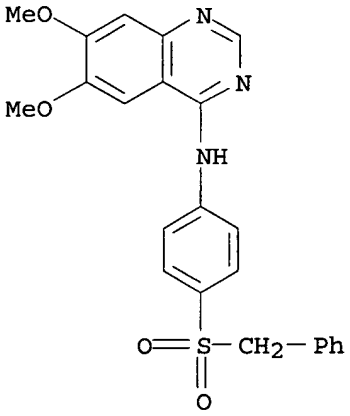
RN 179247-53-1 HCAPLUS
CN 4-Quinazolinamine, N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 179247-55-3 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[4-(phenylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

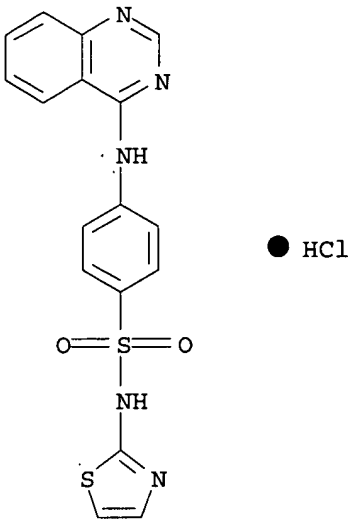


RN 179247-58-6 HCAPLUS
CN 4-Quinazolinamine, 6,7-dimethoxy-N-[4-[(phenylmethyl)sulfonyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

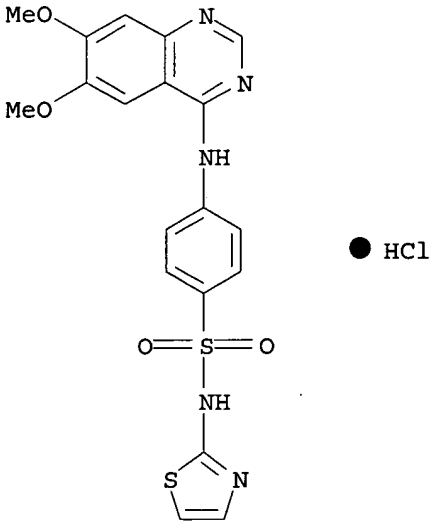


● HCl

RN 179248-04-5 HCAPLUS
CN Benzenesulfonamide, 4-(4-quinazolinylamino)-N-2-thiazolyl-, monohydrochloride (9CI) (CA INDEX NAME)



RN 179248-05-6 HCAPLUS
CN Benzenesulfonamide, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]-N-2-thiazolyl-, monohydrochloride (9CI) (CA INDEX NAME)

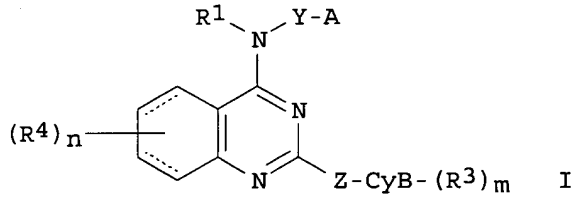


L24 ANSWER 19 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:795361 HCAPLUS
DOCUMENT NUMBER: 124:29779
TITLE: 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
INVENTOR(S): Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
CODEN: USXXAM

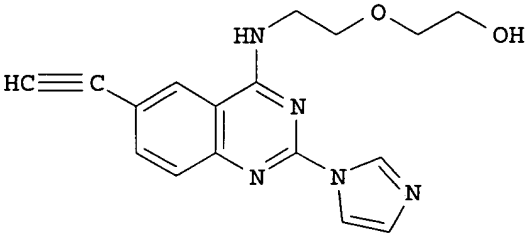
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5439895	A	19950808	US 1993-154691	19931119	<--
JP 06192235	A2	19940712	JP 1993-197039	19930714	<--
CA 2100626	AA	19940116	CA 1993-2100626	19930715	<--
AT 208771	E	20011115	AT 1993-305557	19930715	<--
ES 2167325	T3	20020516	ES 1993-305557	19930715	<--
PT 579496	T	20020531	PT 1993-93305557	19930715	<--
JP 08099962	A2	19960416	JP 1995-264667	19950920	<--
JP 2923742	B2	19990726			

PRIORITY APPLN. INFO.: US 1992-913473 B2 19920715
US 1993-76431 B2 19930614
OTHER SOURCE(S): MARPAT 124:29779
GI



I



II

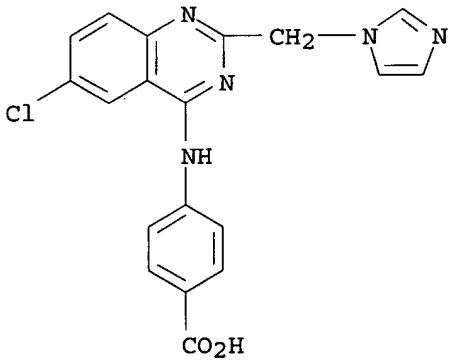
AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms,

one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC50 = 4.6 + 10-8 M and 1.33 + 10-6 M, resp. Pharmaceutical formulations were given.

IT **171661-61-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)

RN 171661-61-3 HCAPLUS

CN Benzoic acid, 4-[[6-chloro-2-(1H-imidazol-1-ylmethyl)-4-quinazolinyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L24 ANSWER 20 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:608903 HCAPLUS
DOCUMENT NUMBER: 105:208903
TITLE: Quinazoline and cinnoline derivatives
INVENTOR(S): Boyle, John Terence Arnott; Todd, Richard Simon
PATENT ASSIGNEE(S): John Wyeth and Brother Ltd., UK
SOURCE: Brit. UK Pat. Appl., 13 pp.
CODEN: BAXXDU
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
GB 2160201	A1	19851218	GB 1985-14648	19850610	<--
GB 2160201	B2	19880511			
US 4640920	A	19870203	US 1985-744364	19850613	<--
GB 2168977	A1	19860702	GB 1985-30586	19851212	<--

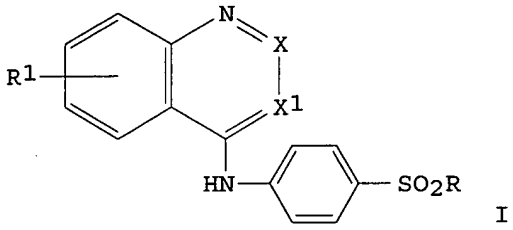
GB 2168977	B2	19871021			
US 4695574	A	19870922	US 1985-809996	19851217	<--
US 4734510	A	19880329	US 1986-916984	19861009	<--
GB 2191489	A1	19871216	GB 1987-16248	19870710	<--
GB 2191489	B2	19880511			
US 4808715	A	19890228	US 1988-141178	19880106	<--

PRIORITY APPLN. INFO.:

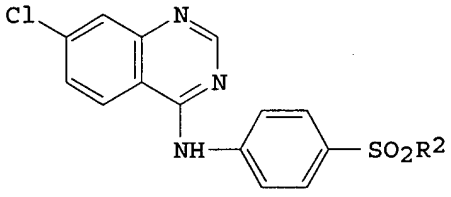
GB 1984-15174	19840614
GB 1984-32091	19841219
GB 1985-14648	19850610
US 1985-744364	19850613
US 1986-916984	19861009

OTHER SOURCE(S): CASREACT 105:208903

GI



I



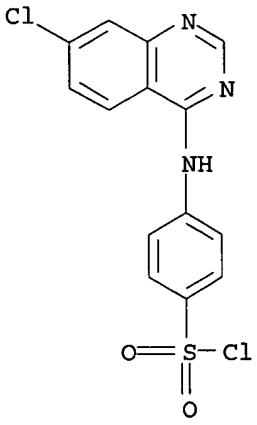
II

AB The title compds. (I; R = amino, substituted N-heterocyclyl; R1 = H, F3C; 1 of X, X1 = N, the other = CH) were prepared as antihypertensives. Thus, 4-H2NC6H4SO3H·H2O was condensed with 4,7-dichloroquinazoline to give (quinazolinylamino)benzenesulfonate II (R2 = OH). This was converted to the acid chloride and treated with H2NCH2CH2NEt2 t give II (R = NHCH2CH2NEt2) (III). In rats 0.03 mmol III/kg orally decreased blood pressure 33% after 6 h.

IT **105037-37-4P 105037-41-0P 105037-45-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of)

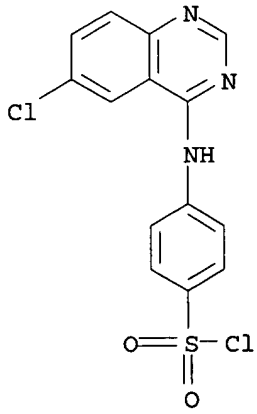
RN 105037-37-4 HCAPLUS

CN Benzenesulfonyl chloride, 4-[(7-chloro-4-quinazolinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



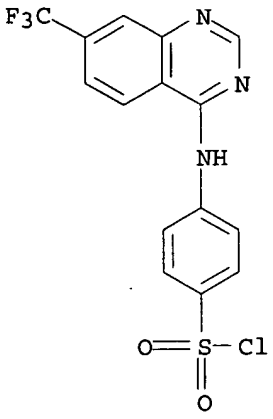
● HCl

RN 105037-41-0 HCAPLUS
CN Benzenesulfonyl chloride, 4-[(6-chloro-4-quinazolinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



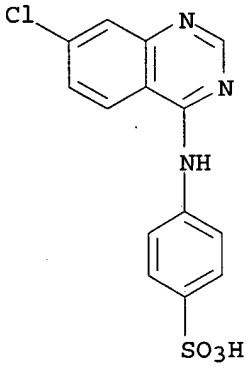
● HCl

RN 105037-45-4 HCAPLUS
CN Benzenesulfonyl chloride, 4-[[7-(trifluoromethyl)-4-quinazolinyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

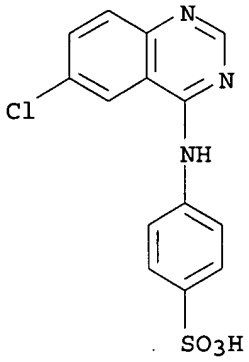


● HCl

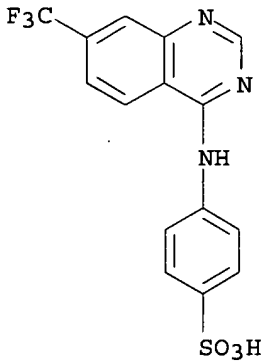
IT **105037-36-3P 105037-40-9P 105037-44-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to acid chloride)
RN 105037-36-3 HCAPLUS
CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



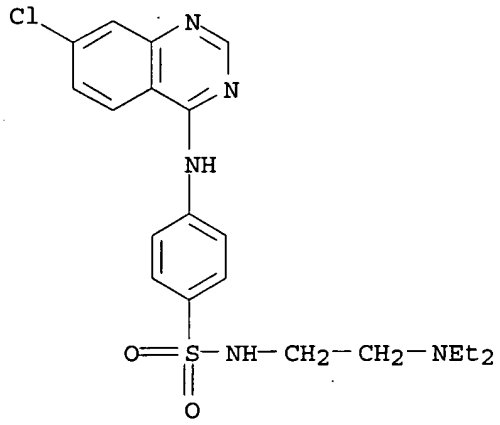
RN 105037-40-9 HCAPLUS
CN Benzenesulfonic acid, 4-[(6-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



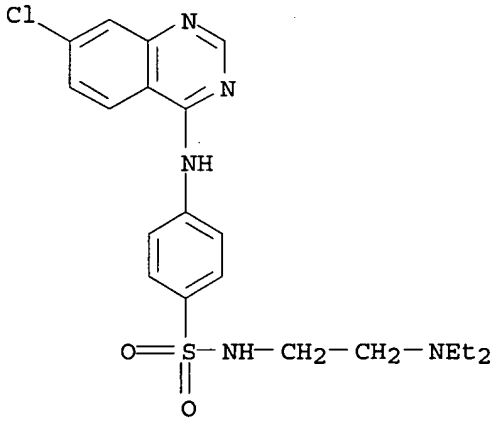
RN 105037-44-3 HCAPLUS
CN Benzenesulfonic acid, 4-[[7-(trifluoromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



IT **105037-23-8P 105037-24-9P 105037-25-0P**
105037-26-1P 105037-27-2P 105037-28-3P
105037-31-8P 105037-32-9P 105037-33-0P
105037-34-1P 105037-35-2P 105037-46-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as antihypertensive)
RN 105037-23-8 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

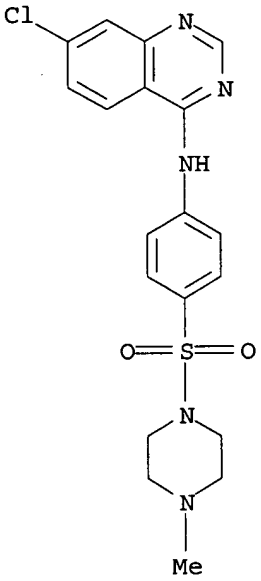


RN 105037-24-9 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[2-(diethylamino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

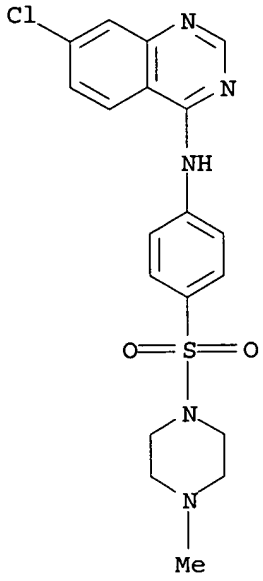


● HCl

RN 105037-25-0 HCAPLUS
CN Piperazine, 1-[[4-[(7-chloro-4-quinazolinyl)amino]phenyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)

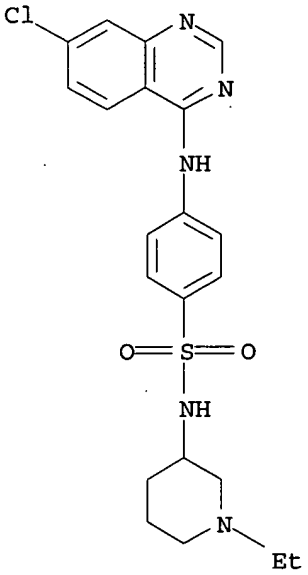


RN 105037-26-1 HCAPLUS
CN Piperazine, 1-[[4-[(7-chloro-4-quinazolinyl)amino]phenyl]sulfonyl]-4-methyl-, hydrochloride (2:3) (9CI) (CA INDEX NAME)

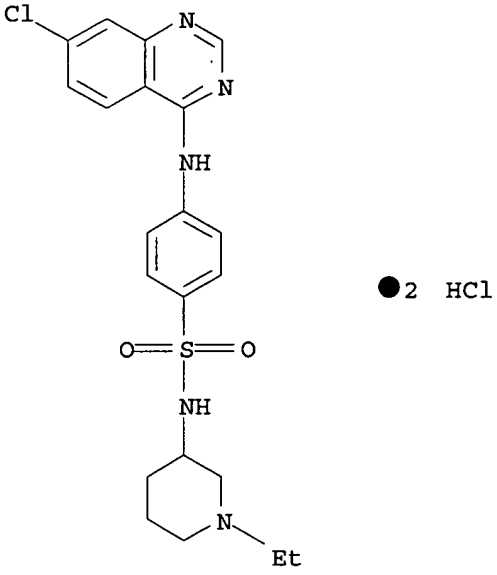


●3/2 HCl

RN 105037-27-2 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

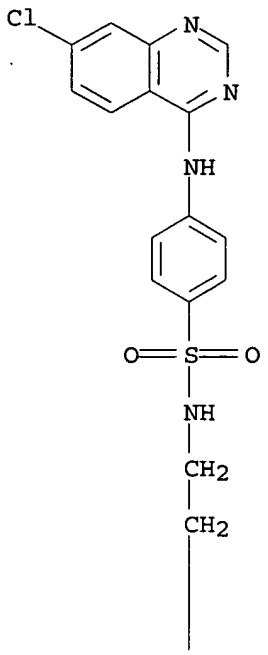


RN 105037-28-3 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-(1-ethyl-3-piperidinyl)-, dihydrochloride (9CI) (CA INDEX NAME)

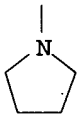


RN 105037-31-8 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

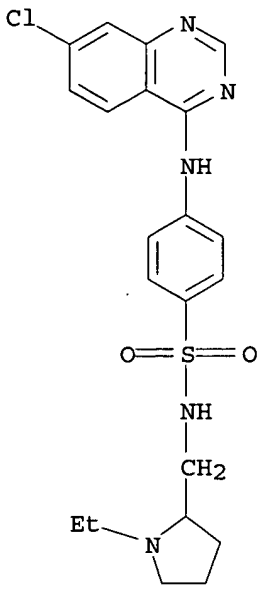
PAGE 1-A



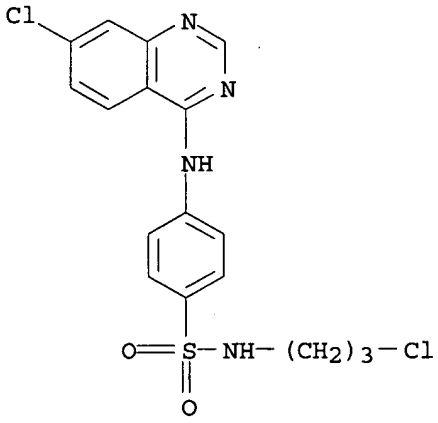
PAGE 2-A



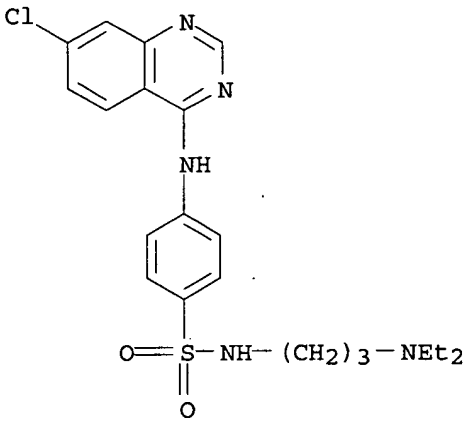
RN 105037-32-9 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[(1-ethyl-2-pyrrolidinyl)methyl]- (9CI) (CA INDEX NAME)



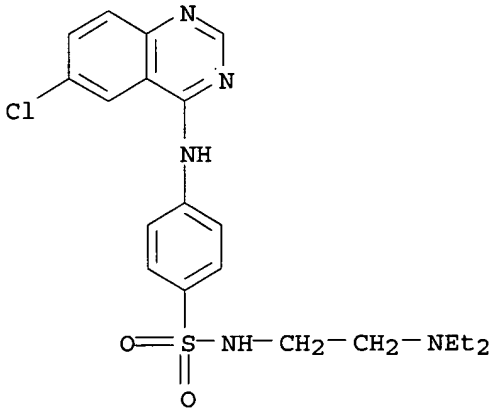
RN 105037-33-0 HCAPLUS
CN Benzenesulfonamide, N-(3-chloropropyl)-4-[(7-chloro-4-quinazolinyl)amino]-
(9CI) (CA INDEX NAME)



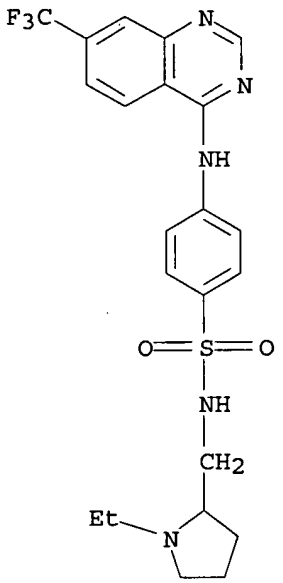
RN 105037-34-1 HCAPLUS
CN Benzenesulfonamide, 4-[(7-chloro-4-quinazolinyl)amino]-N-[3-
(diethylamino)propyl]- (9CI) (CA INDEX NAME)



RN 105037-35-2 HCAPLUS
CN Benzenesulfonamide, 4-[(6-chloro-4-quinazolinyl)amino]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



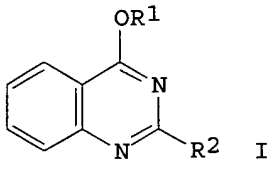
RN 105037-46-5 HCAPLUS
CN Benzenesulfonamide, N-[(1-ethyl-2-pyrrolidinyl)methyl]-4-[[7-(trifluoromethyl)-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)



L24 ANSWER 21 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:543129 HCAPLUS
DOCUMENT NUMBER: 85:143129
TITLE: Ether derivatives of quinazoline
INVENTOR(S): Serafin, Barbara; Modzelewski, Maciej; Kadlubowski, Rozcislaw; Kurnatowska, Alicja
PATENT ASSIGNEE(S): Politechnika Warszawska, Pol.
SOURCE: Pol., 2 pp.
CODEN: POXXA7
DOCUMENT TYPE: **Patent**
LANGUAGE: Polish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PL 78381	B	19750630	PL 1972-157193	19720809 <--

PRIORITY APPLN. INFO.: PL 1972-157193 19720809
GI



I

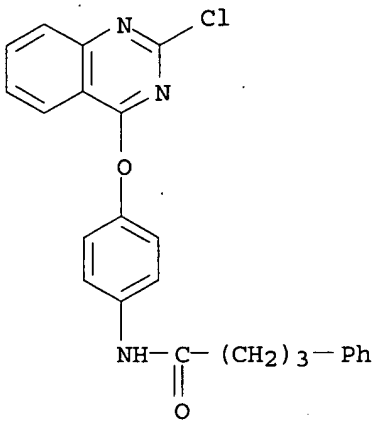
AB The (aryloxy)quinazolines I (R1 = C6H3Cl2-2,4, C6H4F-4, C6H4NO2-o, C6H4Cl-o, C6H3Cl2-3,5, C6H2Cl3-2,4,6, C6Cl5; R2 = Cl, C6H4Cl-o, C6H4NO2-o, C6H4Cl-p, C6H4Cl2-3,5, C6F5) were prepared by treating 2,4-dichloroquinazoline (II) with the appropriate phenol. Thus, 3.1 g Ph(CH2)3CONHC6H4OH-p was heated with 2.4 g I,i in dioxane containing Na to

give 4.1 g I [R1 = C6H4NHCO(CH2)3Ph, R2 = Cl].

IT **60096-89-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 60096-89-1 HCAPLUS

CN Benzenebutanamide, N-[4-[(2-chloro-4-quinazolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)



L24 ANSWER 22 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:36424 HCAPLUS
DOCUMENT NUMBER: 64:36424
ORIGINAL REFERENCE NO.: 64:6797h,6798a-c
TITLE: Anthraquinone pigments
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik A.-G.
SOURCE: 6 pp.
DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 299516		19650825	NL	<--

PRIORITY APPLN. INFO.: DE 19590502

AB Pigments of the aminoanthraquinone series are obtained by the copolymn. of an acrylamidoanthraquinone with a suitable monomer. CH2:CMeCONH2 (I) (19 parts) and 1 part 1-amino-2-acetyl-4-acrylamidoanthraquinone (II) in 85 parts BuOH treated at 80-90° with 0.2 part [Me2C(CN)N:]2 (III) in 5 parts BuOH, stirred 7 h. at 80-90°, treated with an addnl. 0.2 part III in 5 parts BuOH, and stirred 6 h. gave 20 parts deep blue pigment powder. CH2:CMeCO2Me (19.5 parts), 0.5 part II, and 0.2 part III gave similarly during 7 h. at 80° a blue powder. I 19, 1-acrylamido-4-[(2-phenyl-4-quinazolyl)amino]anthraquinone, III 0.5, and BuOH 80 parts gave similarly 19.8 parts deep blue pigment. Styrene 29, 1-acrylamido-5-benzamidoanthraquinone 1, III 1.5, and N-methylpyrrolidone 120 parts heated 11 h. at 85° and diluted with 700 parts MeOH yielded 19.2 parts orange pigment. I 18, CH2:CHSO3H 1, II 1, III 0.5, and BuOH 120 parts heated 6.5 h. at 85-90° gave 19 parts deep blue powder. Butyrolactone (IV) 200, CH2:CHCl 100, 1-acrylamidoanthraquinone (V) 7.5, and condensation product (VI) 0.5 part of 95% pentaerythritol and 5% glycerol with 4-5 mol equivs. epichlorohydrin and 0.2 part Bz2O2 heated 33

h. at 55° yielded an orange pigment. CH2:CCl2 80, V 6, VI 0.6, Bz2O2 0.5, and IV 200 parts treated 30 h. at 65-70° with a stream of N gave 36 parts yellow pigment. I 18, V 1.5, 4-acrylamidoanthraquinone-1(N)-2-benzacridone 0.5, and HCONMe2 100 parts stirred 2 h. at 85-90° with 0.5 part III in 10 parts HCONMe2 yielded 8.8 parts green pigment.

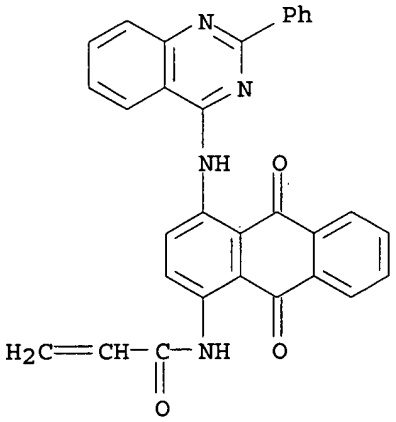
IT **618858-40-5**, Acrylamide, N-[4-[(2-phenyl-4-quinazolinyl)amino]-1-anthraquinonyl]-, polymer with methacrylamide
(pigments from)

RN 618858-40-5 HCAPLUS

CN Acrylamide, N-[4-[(2-phenyl-4-quinazolinyl)amino]-1-anthraquinonyl]-, polymer with methacrylamide (7CI) (CA INDEX NAME)

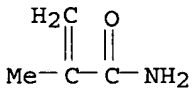
CM 1

CRN 5003-45-2
CMF C31 H20 N4 O3



CM 2

CRN 79-39-0
CMF C4 H7 N O



L24 ANSWER 23 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1963:422238 HCAPLUS
DOCUMENT NUMBER: 59:22238
ORIGINAL REFERENCE NO.: 59:4075a-d
TITLE: Reactive dyes containing a chloroquinoxaline group
INVENTOR(S): Jirou, Marcel; Brouard, Claude; Bouvet, Pierre
PATENT ASSIGNEE(S): Compagnie Francaise des Matieres Colorantes
SOURCE: 15 pp.
DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
PATENT INFORMATION:

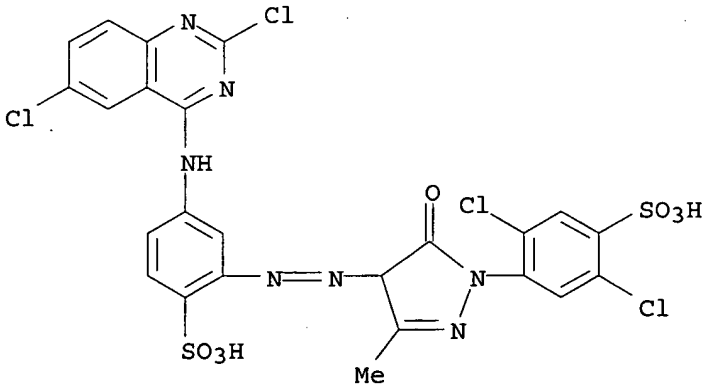
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1308044		19621102	FR	19610922 <--
BE 621643			BE	

AB Azo, phthalocyanine, and anthraquinone dyes containing a 2-chloro, 2,4- or 2,6-dichloroquin-azoline group and suitable for dyeing cotton and wool were prepared Thus, 1,8,3,6-H2N(HO)C10H4(SO3H)2 (I) 17 was dis-solved in H2O 100 with 30% NaOH, NaOAc 14 and AcOH were added to give pH 6.5-7, 6-nitro-2,4-dichloroquinazoline 14 and EtOH 20 parts were added, the mixture heated to 50-5°, cooled, filtered, and dried at 40° in vacuo to yield a yellow dye, fixed on cotton by an alkaline after-treatment at 100-50°. 6-Amino-2,4-dichloroquinazoline 5.35 was diazotized, coupled with 1,8,3,6-AcNH(HO)C10H4(SO3H)2 10 in H2O 100 and NaHCO3 8.4 parts, and salted to give a red dye. I 17 dissolved in H2O 200 with NaOH, 40% AcOH added to give pH 7, 2,4,6-trichloroquin-azoline (II) 14 and EtOH 40 parts added, the mixture heated to 60-5°, and cooled to yield 1-[(2,6-dichloro-4-quinazolinyl)-amino]-8-naphthol-3,6-disulfonic acid, which dissolved in H2O 300 with NaHCO3 and coupled neutral with diazotized 2-HO3-SC6H4NH2 8.65 parts to yield a red dye. 1,3,6-(H2N)2C6H3SO3H 18.8 dissolved in alkaline H2O 200, NaOAc 30 and 40% AcOH added to pH 6.7-7, II 28 and EtOH 40 parts added, heated to 60-5°, cooled, filtered, and the condensation product 20 dissolved in H2O 500, diazotized and coupled neutral with 1-(2,5-dichloro4-sulfophenyl)-3-methyl-5-pyrazolone 16.2 in H2O 150 parts, and filtered gave a greenish yellow dye for printing cotton. 4,8,2-(HO3S)2C10H5N:NC6H3(NH2)Me-4,2 (III) 20 dissolved in alkaline 2O 300, NaOAc 15 added, condensed with II 14 parts at 60-5°, and cooled gave a reddish yellow dye for wool. Similarly, 1-(3-aminophenyl)-3-methyl-4-(2,5-disulfophenylazo)-5-pyrazolone 22.6 and II 14 parts gave a yellow dye; the Cu complex of 2,5,7,6-H2N(HO)(HO3S)C10H4N:NC6H3(OH)SO3H-2,5 25 and II 14 parts gave a red dye; 1-amino-4-(4-amino-3-sulfoanilino)-2-anthraquinonesulfonic acid 24.5 and II 14 parts gave a blue dye; III 20 and 2,4-dichloroquinazoline-6-sulfonyl chloride 15 parts, and the SO2Cl group hydrolyzed, gave a reddish yellow dye.

IT **96761-90-9**, Sulfanilic acid, N-(2,6-dichloro-4-quinazolinyl)-2-[[1-(2,5-dichloro-4-sulfophenyl)-3-methyl-5-oxo-2-pyrazolin-4-yl]azo]- (preparation of)

RN 96761-90-9 HCAPLUS

CN Sulfanilic acid, N-(2,6-dichloro-4-quinazolinyl)-2-[[1-(2,5-dichloro-4-sulfophenyl)-3-methyl-5-oxo-2-pyrazolin-4-yl]azo]- (7CI) (CA INDEX NAME)



Searched by P. Ruppel

L24 ANSWER 24 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1962:463342 HCAPLUS
DOCUMENT NUMBER: 57:63342
ORIGINAL REFERENCE NO.: 57:12670a-e
TITLE: Azo dyes
INVENTOR(S): Barker, Peter W.; Hunter, James S.; Waite, Frederick A.
PATENT ASSIGNEE(S): Imperial Chemical Industries Ltd.
SOURCE: 11 pp.
DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 892323		19620328	GB	19590814 <--

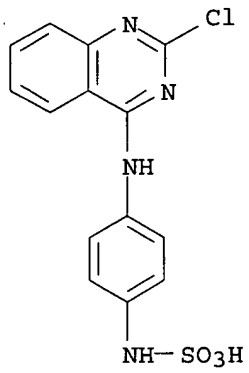
GI For diagram(s), see printed CA Issue.

AB Sulfamic acid derivs. of the general formula I are diazotized and treated with coupling components to give yellow to red dyes for cotton. Thus, 18.8 parts 4-H2NC6H4NHSO3H (II) is condensed with 18.6 parts cyanuric chloride (III) at pH 7. A solution of HN(CH2CH2OH)2 10.5 in H2O 50 is added, the mixture is stirred for 2 hrs. at 35-40°, then for 20 hrs. at 45-50° at pH 7 to give I, X = N(CH2CH2OH)2, R = Y = Z = H (IV). Similarly, I are prepared (compound number, X, Y, Z, R given): V, Cl, H, H, H; VI, MeO, H, H, H; VII, Cl, Cl, H, H; VIII, PhNH, H, H, H; IX, benzothiazol-2-yl-thio, H, H, H; X, Cl, MeO, Me, H; XI, Cl, H, H, Me. An isomer (XII) of V is prepared from III and 3-H2NC6H4 NHSO3H. Analogs of I (XIII, XIV, and XV) are prepared from II and 2,4,6-trichloropyrimidine, 2,4-dichloro-5-cyanopyrimidine, and 2,4-dichloroquinazoline, resp. Diazotized IV coupled with p-MeC6H4OH (XVI) gave a 37.3% yield of yellow dye [82.2% yield when IV was diazotized in the presence of poly(glycerol ricinoleate)]. Similarly, other dyes were prepared (diazo component, coupling component, % yield, and shade given): XIII, XVI, 66.7, yellow; V, 1-C10H7NHCH2CH2OH, 47, red; V, m-C6H4(OH)2, 50.3, orange; V, 2,6-ClCH2COC10H6OH, 43.3, red; VI, XVI, 58, yellow; XII, 1,8,3,6-AcNH(HO)C10H4(SO3H)2 (XVII), 73.4, red; VII, 1-phenyl-3-methyl-5-pyrazolone, (XVIII), 70.5, yellow; XIV, XVI, 32.7, yellow; VIII, 1,2,6-H2N(MeO)C10H5SO3H, 59.3, red; IX, 4'-SO3H derivative of XVIII, 63.2, yellow; XV, XVII, 44.5, red; X, PhNHCOCH2Ac, 60.8, greenish yellow; XI, XVI, 54.9, yellow; IV, m-MeC6H4N(CH2CH2OH)2, 62.9, orange; IV, 2,5,7,1-H2N(HO)(HO3S)C10H4N: NC6H4SO3H-2, 63.3, brown.

IT **93309-34-3**, Sulfamic acid, [p-[(2-chloro-4-quinazolinyl)amino]phenyl]-
(preparation of)

RN 93309-34-3 HCAPLUS

CN Sulfamic acid, [p-[(2-chloro-4-quinazolinyl)amino]phenyl]- (7CI) (CA INDEX NAME)



L24 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:5198 HCAPLUS
DOCUMENT NUMBER: 55:5198
ORIGINAL REFERENCE NO.: 55:1009g-i,1010a-d
TITLE: Vat dyes for dyeing fibers, fabrics, and other structures consisting of high molecular weight substances containing carboxamide groups
INVENTOR(S): Ebel, Friedrich; Schuhmacher, Alfred; Kling, Karl E.
PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik Akt.-Ges.
DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1046565		19581218	DE	<--

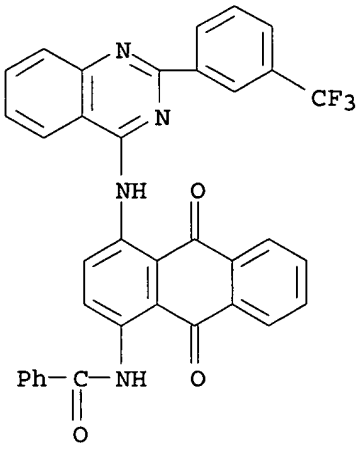
AB The dyes are 4-aminoquinazolines with the amino group substituted by a vattable radical and the 2-position substituted by a vattable or nonvattable radical. They are prepared by reaction of vattable ring systems containing NH2 groups with monohaloquinazolines or their derivs. having the halogen atoms in the 4-position. Thus, the following 4-chloroquinazolines were prepared as intermediates for the preparation of these dyes (color and m.p. given): 2-(o-chlorophenyl) (I) (colorless, 124-5°); 2-(2,4-dichlorophenyl) (II) (colorless 133-4°); 2-(m-trifluoromethylphenyl) (III) (colorless 86-8°); 2-(p-methoxyphenyl) (IV) (colorless 125.5-6.5°); 2-(o-methoxyphenyl) (V) (colorless 100-1°); and 2-(anthraquinonyl) (VI) (yellow 276-8°). Thus, stirring at 180° a mixture of 107 parts of 2-phenyl-4-chloroquinazoline (VII), 114.5 parts of 1-amino-5-chloroanthraquinone (VIII), and 1700 parts of PhNO2 for 2 hrs., cooling, filtering, washing with MeOH, and drying gives 132 parts of red crystals. It dyes poly(hexamethylenediammonium adipate) (IX) fibers yellow orange shades. Similarly, vat dyes were prepared from the following components (shades on polyamides given): 2-aminoanthraquinone (X) and VII yellow; X and I, yellow; X and V, yellow; X and III, yellow; X and IV, yellow; 1-aminoanthraquinone (XI) and VII, yellowish orange; XI and I, yellowish orange; XI and V, yellow; XI and III, yellowish orange; XI and II, yellowish orange; XI and IV, yellowish orange; 8-amino-4-benzamidoanthraquinone (XII) and VII, orange; XII and I, orange; XII and V, orange; XII and III, orange; XII and II, orange; XII and IV, orange; 1-amino-4-benzamidoanthraquinone (XIII) and VII, claret: XIII and I,

claret; XIII and V, claret; XIII and III, claret; XIII and II, claret; XIII and IV, claret; VIII and I, orange; VIII and V, yellowish orange; VIII and III, orange; VIII and II, orange; VIII and IV, orange; 1-amino-6-chloroanthraquinone (XIV) and VII, yellowish orange; XIV and V, yellowish orange; 1-amino-6,7-dichloroanthraquinone (XV) and VII, yellowish orange; XV and V, yellowish orange; 1-amino-4-chloroanthraquinone (XVI) and VII, orange; XVI and I, orange; 1,4-diamino-2-acetylanthraquinone (XVII) and VII, blue; XVII and I, blue; XVII and V, greenish blue; XVII and III, blue; XVII and II, blue; XVII and IV, blue; 4-amino-2,1(N)-1',2'(N)-benzacridone (XVIII) and VII, turquoise blue; XVIII and III, grayish blue; 1-amino-4-methoxyanthraquinone (XIX) and VII, red; XIX and I, red; XIX and V, red; XIX and III, red; XIX and II, red; XIX and IV, red; VI and XII with II and XII, brown; VI and XIII, dark brown; VI and XIII with II and XIII, reddish brown; VI and 5-benzamido-7-chloro-8-aminoanthraquinone, reddish brown; X, II, and XII, gray brown; XI, II, and XII, brown; VI and 7-chloro-8-amino-4-benzamidoanthraquinone pale red brown; and XII, II, and XII, dark claret.

IT **7604-25-3**, Anthraquinone, 1-benzamido-4-{[2-(α,α,α-trifluoro-m-tolyl)-4-quinazolinyl]amino}-
104508-88-5, Anthraquinone, 1-benzamido-4-{[2-(o-methoxyphenyl)-4-quinazolinyl]amino}- **104509-84-4**, Anthraquinone, 1-benzamido-4-{[2-(p-methoxyphenyl)-4-quinazolinyl]amino}-
108520-31-6, Anthraquinone, 1-{[2-(anthraquinonyl)-4-quinazolinyl]amino}-4-benzamido- **108520-59-8**, Anthraquinone, 1-{[2-(anthraquinonyl)-4-quinazolinyl]amino}-4-benzamido-2-chloro-
117875-03-3, Anthraquinone, 1-benzamido-4-[(2-phenyl-4-quinazolinyl)amino]-
(preparation of)

RN 7604-25-3 HCAPLUS

CN Anthraquinone, 1-benzamido-4-[[2-(α,α,α-trifluoro-m-tolyl)-4-quinazolinyl]amino]- (6CI, 8CI) (CA INDEX NAME)



RN 104508-88-5 HCAPLUS

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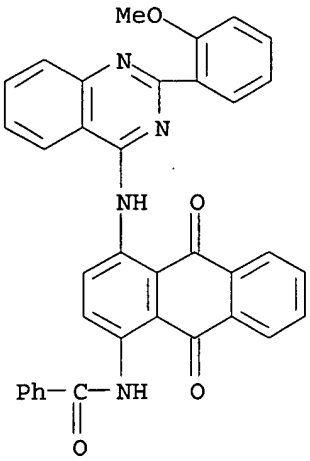

RN 104509-84-4 HCAPLUS
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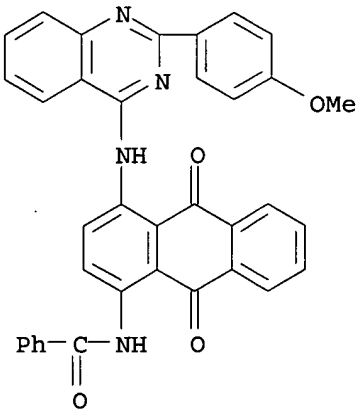
(6CI) (CA INDEX NAME)



RN 108520-31-6 HCAPLUS
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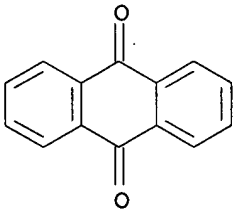
(6CI) (CA INDEX NAME)

PAGE 1-A



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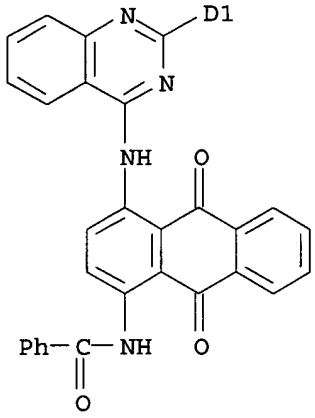

RN 108520-59-8 HCAPLUS
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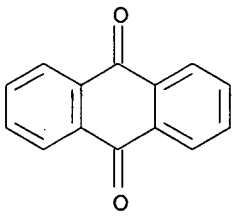

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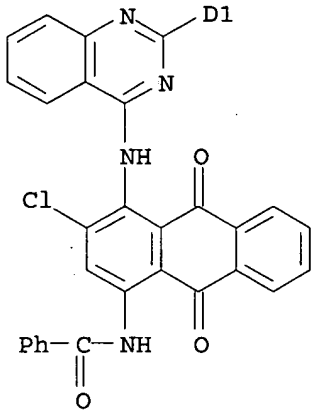

RN 117875-03-3 HCAPLUS
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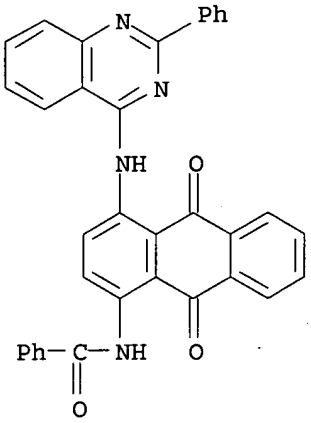


L24 ANSWER 26 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1957:103388 HCAPLUS
DOCUMENT NUMBER: 51:103388
ORIGINAL REFERENCE NO.: 51:18631e-i,18632a
TITLE: Anthraquinone vat dyes
INVENTOR(S): Holbro, Theodor; Kern, Walter
PATENT ASSIGNEE(S): C I B A Ltd.
DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 2792384		19570514	US		<--
CH 327728			CH		
DE 1070315			DE		
DE 1156527			DE		
GB 802681			GB		

GI For diagram(s), see printed CA Issue.

AB Anthraquinone compds. of the formula I were prepared, where X is O, NH, N-alkyl, or N-aryl, R is a substituted benzene or naphthalene radical, and A is an acyl radical of an aromatic dicarboxylic acid or s-triazinyl radical. 1-Amino-4-nitro-2-anthraquinonecarboxylic acid chloride 330 and 2-H2NC6H4OH (II) 114 in dry 0-C6H4Cl2 (III) 5200 parts are treated with pyridine (IV) 100 parts. The mixture is stirred 5 hrs. at 65°, the precipitate filtered off, washed with III, and steam distilled to give N-(o-hydroxyphenyl)-1-amino-4-nitro-2-anthraquinonecarboxamide (V), orange-brown, m. 275° (decomposition). V 201.5 is boiled for 1 hr. with p-MeC6H4SO3H.H2O 10 and C6H3Cl3 3000 parts (H2O and some C6H3Cl3 distil off). The mixture is cooled and the precipitate filtered off, washed with C6H3Cl3, C6H6, and EtOH to give 2-(1-amino-4-nitro-2,-anthraquinonyl)benzoxazole (VI), m. 315°. VI 150 parts, suspended with stirring in IV, is treated at the b.p. during 15 min. with N2H4.H2O 47 parts, the mixture is boiled for 1 hr., cooled, and the precipitate filtered off to give the 4-H2N analog (VII) of VI, m. 300°. VII 35.5 in dry PhNO2 600 is treated with BzCl 17 and dry IV 10 parts; the mixture is stirred for 4 hrs. at 65°, the precipitate is filtered off and washed with PhNO2 and EtOH to give the 4-BzNH analog of VI (VIII), dyeing cotton from a claret-colored vat in blue-violet shades. VIII was also obtained by condensing

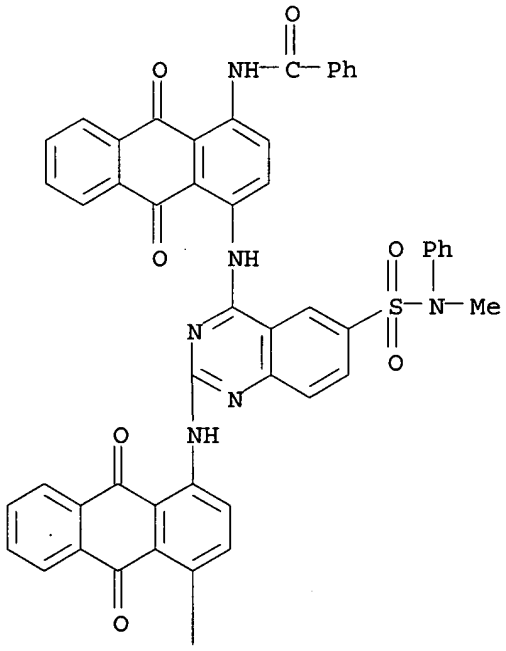
1-amino-4-benzamido-2-anthraquinonecarboxylic acid chloride with II followed by ring closure. Similarly were prepared the following I (anthraquinone(s) condensed, acid chloride used, dyeing shade on cotton given): VII, m-C6H4(COCl)2 (IX), blue-violet; VII and 4-aminoanthraquinone-1-(N), 2-benzacridone, IX, blue; VII, cyanuric chloride, gray-blue (replacing the last Cl group with H2N gives a product dyeing cotton in more greenish shades); VII, 2-methyl-4,6 dichloro-s-triazine, reddish blue.

IT **119039-41-7**, 6-Quinazolinesulfonanilide, 2,4-bis[4-benzamido-1-anthraquinonylamino]-N-methyl-
(preparation of)

RN 119039-41-7 HCAPLUS

CN 6-Quinazolinesulfonanilide, 2,4-bis(4-benzamido-1-anthraquinonylamino)-N-methyl- (6CI) (CA INDEX NAME)

PAGE 1-A



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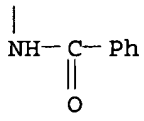


L24 ANSWER 27 OF 27 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1957:79239 HCAPLUS

DOCUMENT NUMBER: 51:79239

ORIGINAL REFERENCE NO.: 51:14280a-e

TITLE: Vat dyes of the anthraquinone series

PATENT ASSIGNEE(S): Badische Anilin- & Soda-Fabrik Akt.-Ges.

Searched by P. Ruppel

DOCUMENT TYPE: **Patent**
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 771347		19570327	GB	<--

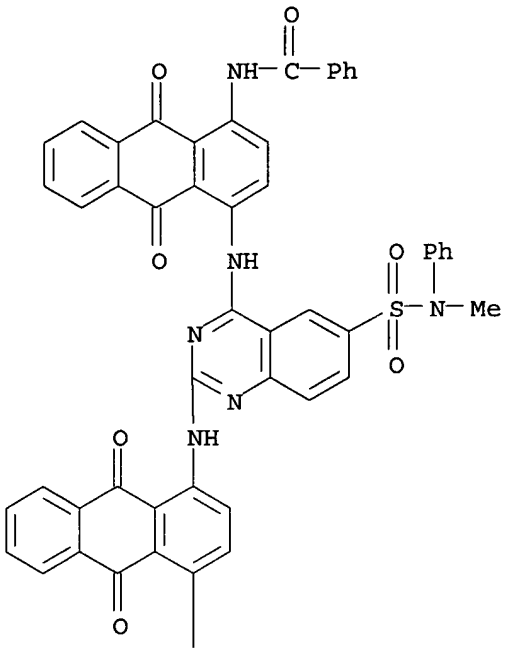
AB Clear and very fast shades of color can be obtained on natural or synthetic cellulose or polyamides by dyes made by treating 2 moles of identical or different anthraquinones (I) with 1 mole of a 2,4-dihaloquinazoline, containing a sulfonamide or CF3 group and which may contain further halogen atoms. A mixture of 2,4-dichloro-6-(dimethylsulfamoyl)quinazoline (II), m. 161-2°, 10.8, 1-amino-5-benzamino-I (III) 24, and PhNO2 450 parts is heated and stirred 5 hrs. at 160°. Red-orange needles 21.5 parts are obtained which dye cotton from an olive vat in clear orange shades of very good fastness properties. In a similar fashion the following intermediates produce the listed vat colors and dyeings: 1-amino-4-benzamido-I (IV), II, olive, ruby-red; 2,4-dichloro-6-methylphenylsulfamoylquinazoline (V), III, red-brown, orange; IV, V, ruby-red, ruby-red; 2-amino-I (VI), II, red, clear yellow; V, VI, red, yellow; V, 1-amino-I (VII), red-brown, yellow-orange; 1-amino-5-chloro-I (VIII), V, brown, orange; 1-amino-6-chloro-I (IX), II, red-brown, orange; II, 1-amino-4-methoxy-I, (X), brown-red, neutral red; V, X, brown, neutral red; III, 2,4,6-trichloro-8-dimethylsulfamoylquinazoline (XI), brown, orange; IV, XI, olive, ruby-red. X, XI, red-brown, red; VI, XI, brown-red, orange; VII, XI, brown-red, golden-orange; 2,4-dichloro-7-(trifluoromethyl)quinazoline (XII), VI, red-brown, yellow; VII, XII, red-brown, yellow-orange; III, XII, brown-violet, orange; VIII or IX, XII, red-brown, orange; X, XII, orange, red; IV, XII, brown-violet, ruby-red; XII, 3-trifluoromethyl-7-amino-5,6-phthaloylacridanone (XIII), violet, greenish blue; XII, 1,4-diamino-2-acetyl-I (XIV), olive, blue; II, XIV, brown-olive, blue; 2-chloro-4-(1-anthraquinonylamino)-6-(methylphenylsulfamoyl)-quinazoline (XV) (made by heating V 40, VII, 66, PhOH 40, and toluene 1000 parts to 70° for 12 hrs., cooling, filtering, and washing with C6H6 and cyclohexane), IV, red, red-brown; III, XV, -, red-orange; 2-chloro-7-(trifluoromethyl)-4-(1-anthraquinonylamino)quinazoline (XVI) (made by heating VII 40, XII 48, PhOH 80, and toluene 1000 parts 7 hrs. to 70°) XIV, olive, gray; XVI, 2,4-dichloro-7-amino-5,6-phthaloylacridanone, -, gray.

IT **119039-41-7**, 6-Quinazolinesulfonanilide, 2,4-bis[4-benzamido-1-anthraquinonylamino]-N-methyl-
(preparation of)

RN 119039-41-7 HCAPLUS

CN 6-Quinazolinesulfonanilide, 2,4-bis(4-benzamido-1-anthraquinonylamino)-N-methyl- (6CI) (CA INDEX NAME)

PAGE 1-A



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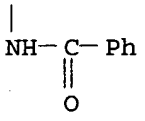

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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE LAST UPDATED: 7 Apr 2004  (20040407/ED)

 This file contains CAS Registry Numbers for easy and accurate
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L18  ANSWER 1 OF 9  HCAPLUS  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:        2002:869496  HCAPLUS
DOCUMENT NUMBER:         137:363033
TITLE:                   Peptidomimetic modulators of cell adhesion
INVENTOR(S):             Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni,
                         Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang,
                         Shoameng; Hu, Zenjian
PATENT ASSIGNEE(S):      Can.
SOURCE:                  U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S.
                         Ser. No. 491,078.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  14
PATENT INFORMATION:

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     US 2002168761      A1   20021114      US 2001-769145   20010124 <--
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Searched by P. Ruppel

US 2004006011 A1 20040108 US 2003-425557 20030428
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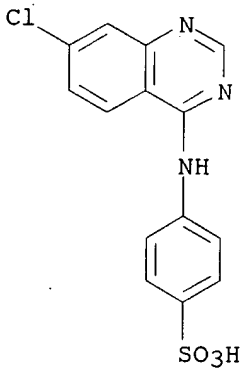
US 1996-21612P P 19960712
US 1997-893534 A1 19970711
US 2000-507102 A1 20000217
US 2001-769145 B1 20010124
US 2001-6982 A2 20011204
OTHER SOURCE(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **105037-36-3**, Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 105037-36-3 HCAPLUS

CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L18 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:849617 HCAPLUS
DOCUMENT NUMBER: 137:370101
TITLE: Preparation of quinoline derivatives having azolyl group and quinazoline derivatives as antitumor agents
INVENTOR(S): Kubo, Kazuo; Sakai, Teruyuki; Nagao, Rika; Fujiwara, Yasunari; Isoe, Toshiyuki; Hasegawa, Kazumasa
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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US 2003087907	A1	20030508	US 2002-132473	20020426	
EP 1382604	A1	20040121	EP 2002-724651	20020426	
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NO 2003004595	A	20031219	NO 2003-4595	20031014	

PRIORITY APPLN. INFO.: JP 2001-132775 A 20010427
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OTHER SOURCE(S): MARPAT 137:370101

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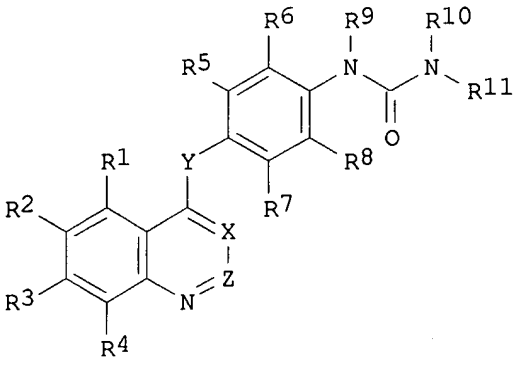


I

AB N-[(4-quinolinyl or 4-quinazolinyl)thio or -oxy]phenyl-N'-azolylurea derivs. represented by the formula (I) or pharmaceutically acceptable salts or solvates thereof [wherein X, Z = CH, N; Y = O, S; R1, R2, R3 = H, NO2, NH2, each (un)substituted C1-6 alkyl or alkoxy or C2-6 alkenyl or alkynyl; R4 = H; R5-R8 = H, halo, C1-4 alkyl, alkoxy, or alkylthio, CF3, NO2, NH2; R9, R10 = C1-6 alkyl, each (un)substituted C1-4 alkylcarbonyl or C1-6 alkyl; R11 = (un)substituted azolyl] are prepared These compds. are useful for the treatment of tumor, diabetic retinopathy, chronic articular rheumatism, psoriasis, atherosclerosis , and Kaposi's sarcoma. They are also used for inhibiting neovascularization of a target blood vessel by contacting them with vascular endothelial cells of the target blood vessel. Thus, 100 mg 2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]aniline was dissolved in 5 mL CHCl3 and 0.5 mL Et3N, treated with a solution of 100 mg triphosgene in CHCl3, and stirred at room temperature for 15 min, followed by adding 49 mg 2-aminothiazole, and the

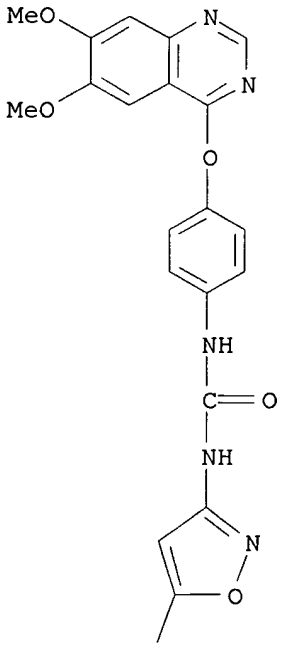
resulting mixture was stirred at room temperature overnight to give 31 mg N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N;-(1,3-thiazol-2-yl)urea (II). II at 20 mg/kg/day for 9 days inhibited the growth of human lung cancer transplanted in nude mice by 92.0%. The compds. I in vitro showed IC50 of 0.001-0.0697 μM for inhibiting the phosphorylation of the intracellular domain of human vascular endothelial cell growth factor (VEGF) receptor KDR (kinase insert domain-containing receptor) in IH3T3 cell expressing human KDR.

IT **475108-24-8P**, N-[4-[(6,7-Dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-methyl-3-isoxazolyl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[(4-quinolinyl or 4-quinazolinyl)oxy]phenyl-N'-azolylurea derivs. as neovascularization inhibitors for treatment of tumor, diabetic retinopathy, chronic articular rheumatism, psoriasis, atherosclerosis, and Kaposi's sarcoma)

RN 475108-24-8 HCAPLUS

CN Urea, N-[4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-methyl-3-isoxazolyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Searched by P. Ruppel

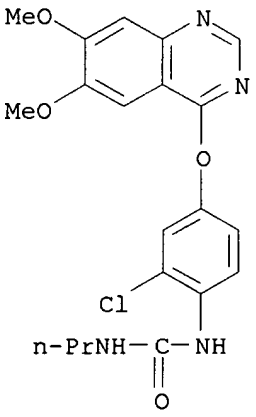
L18 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:566258 HCAPLUS
DOCUMENT NUMBER: 137:109061
TITLE: One-pot preparation of asymmetric ureas
INVENTOR(S): Maruo, Masafumi; Saito, Kenji; Soejima, Tadashi; Yoda, Josuke; Yoshida, Tetsu; Nakajima, Tatsuo
PATENT ASSIGNEE(S): Sumika Fine Chemicals Co., Ltd., Japan; Sankyo Kasei Kogyo K. K.; Kirin Brewery Co., Ltd.
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002212160	A2	20020731	JP 2001-6945	20010115 <--

PRIORITY APPLN. INFO.: JP 2001-6945 20010115
OTHER SOURCE(S): CASREACT 137:109061; MARPAT 137:109061

AB ArNHCONR1R2 [Ar = (un)substituted aryl, (un)substituted aromatic heterocyclyl; R1 = (un)substituted C1-12 alkyl, C7-12 aralkyl, aromatic heterocyclyl, (un)substituted aryl; R2 = H, (un)substituted C1-12 alkyl; R1R2N may form ring] are prepared by addition of pyridine-type bases and either ArNH2 (Ar = same as above) or NHR2R2 = (R1, R2 = same as above) to solvents, treating the mixts. with ClCO2Ph, and further treating with the other amines. Thus, ClCO2Ph was dropwise added to a mixture of THF, 2-aminopyridine, and pyridine at 20-30° over 70 min. Then, 1-propylamine was dropwise added to the reaction mixture at 20-30° over 1 h to give 83.5% 1-(2-pyridyl)-3-propylurea.

IT **286370-15-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(one-pot preparation of asym. ureas)
RN 286370-15-8 HCAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-propyl- (9CI) (CA INDEX NAME)



Searched by P. Ruppel

L18 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:487557 HCAPLUS
DOCUMENT NUMBER: 137:57588
TITLE: Pyrazole compounds useful as protein kinase inhibitors, and therapeutic use thereof
INVENTOR(S): Golec, Julian; Pierard, Francoise; Charrier, Jean-Damien; Bebbington, David
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050066	A2	20020627	WO 2001-US49585	20011220 <--
WO 2002050066	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2002066461	A1	20020829	WO 2001-US49139	20011219 <--
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WO 2002068415	A1	20020906	WO 2001-US50312	20011219 <--
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US 2003004161	A1	20030102	US 2001-26975	20011219
US 6653300	B2	20031125		
US 2003036543	A1	20030220	US 2001-25164	20011219
US 6664247	B2	20031216		
US 2003055068	A1	20030320	US 2001-26967	20011219
US 2003078275	A1	20030424	US 2001-27001	20011219
US 6653301	B2	20031125		
US 2003105090	A1	20030605	US 2001-26966	20011219
EP 1345922	A1	20030924	EP 2001-271061	20011219
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EP 1355905	A1	20031029	EP 2001-273861	20011219	
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AU 2002031166	A5	20020701	AU 2002-31166	20011220	<--
US 2003004164	A1	20030102	US 2001-34683	20011220	
US 6656939	B2	20031202			
US 2003022885	A1	20030130	US 2001-34019	20011220	
EP 1345928	A2	20030924	EP 2001-991439	20011220	
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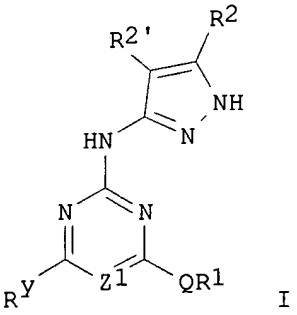
NO 2003002704	A	20030821	NO 2003-2704	20030613	
PRIORITY APPLN. INFO.:			US 2000-257887P	P 20001221	
			US 2001-286949P	P 20010427	
			WO 2001-US49139	W 20011219	
			WO 2001-US50312	W 20011219	
			WO 2001-US49585	W 20011220	

OTHER SOURCE(S): MARPAT 137:57588

GI



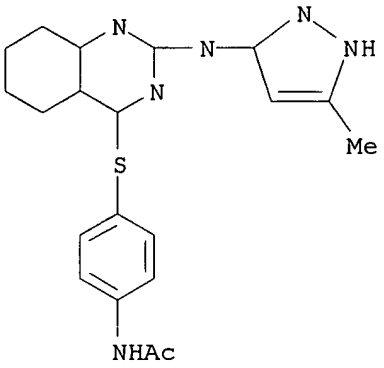
I

AB The invention describes pyrazole compds. I [Z1 = N, CR8; Q = O, S, etc.; R1 = T-Ring D; T = valence bond, alkylidene chain; Ring D = 5-7-membered monocyclic ring, 8-10-membered bicyclic ring; R2, R2' = H, (un)substituted C1-6 aliphatic, (un)substituted C6-10 aryl, etc.; Ry = (un)substituted C1-6 aliphatic, (un)substituted C6-10 aryl, etc.; R8 = halo, NO2, CN, etc.]. The compds. are useful as protein kinase inhibitors, especially as inhibitors of Aurora-2 and GSK-3, for treating diseases such as cancer, diabetes, and Alzheimer's disease.

IT **439076-36-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrazole compds. as protein kinase inhibitors, and therapeutic use)

RN 439076-36-5 HCAPLUS

CN Acetamide, N-[4-[[2-[(5-methyl-1H-pyrazol-3-yl)amino]-4-quinazolinyl]thio]phenyl]- (9CI) (CA INDEX NAME)



*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L18 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:545724 HCAPLUS
DOCUMENT NUMBER: 135:147398
TITLE: Peptidomimetic modulators of cell adhesion
INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang, Shoameng; Hu, Zengjian
PATENT ASSIGNEE(S): Adherex Technologies, Inc., Can.
SOURCE: PCT Int. Appl., 416 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 14
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053331	A2	20010726	WO 2001-US2508	20010124 <--
WO 2001053331	A3	20020711		
WO 2001053331	C2	20021031		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-491078 A 20000124
OTHER SOURCE(S): MARPAT 135:147398

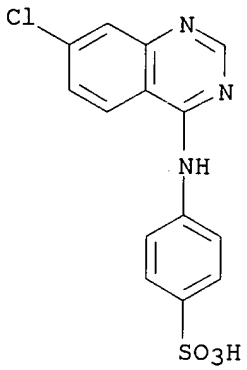
AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT **105037-36-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(peptidomimetic modulators of cell adhesion)

RN 105037-36-3 HCAPLUS

CN Benzenesulfonic acid, 4-[(7-chloro-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



L18 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:489404 HCAPLUS

DOCUMENT NUMBER: 135:76901

TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors

INVENTOR(S): Ueno, Kimihisa; Ogawa, Akira; Ohta, Yoshihisa; Nomoto, Yuji; Takasaki, Kotaro; Kusaka, Hideaki; Yano, Hiroshi; Suzuki, Chiharu; Nakanishi, Satoshi

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 126 pp.
CODEN: PIXXD2

DOCUMENT TYPE: **Patent**

LANGUAGE: Japanese

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047931 A1		**20010705**	WO 2000-JP916020001222	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG, TR

PRIORITY APPLN. INFO.: JP 1999-366313 19991224

OTHER SOURCE(S): MARPAT 135:76901

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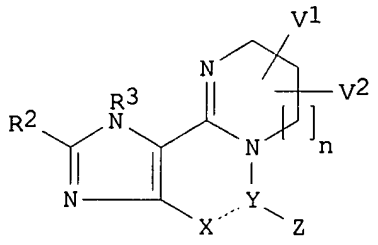

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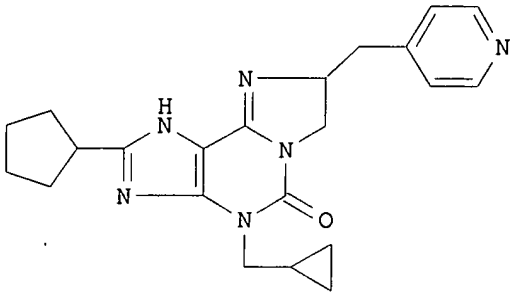


II

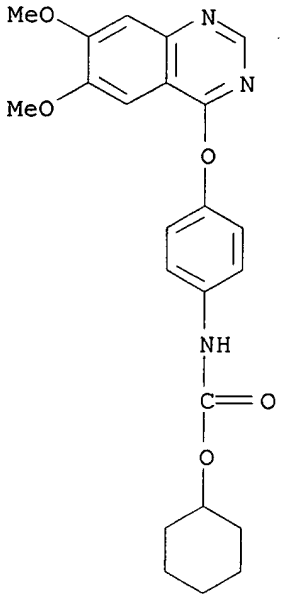
AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepared as remedies for diseases mediated by autophosphorylation of PDGF receptors. Thus, the title claimed compound II was prepared and biol. tested.

IT **347152-48-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347152-48-1 HCAPLUS

CN Carbamic acid, [4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Searched by P. Ruppel



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:489372 HCAPLUS
DOCUMENT NUMBER: 135:92649
TITLE: Preparation of quinazoline and quinoline derivatives as remedies for diseases mediated by autophosphorylation of PDGF receptors
INVENTOR(S): Sakai, Teruyuki; Senga, Teruhumi; Furuta, Takayuki; Miwa, Atushi
PATENT ASSIGNEE(S): Kirin Beer Kabushiki Kaisha, Japan
SOURCE: PCT Int. Appl., 1068 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047890	A1	20010705	WO 2000-JP9157	20001222 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001022232	A5	20010709	AU 2001-22232	20001222 <--
EP 1243582	A1	20020925	EP 2000-985844	20001222 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

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PRIORITY APPLN. INFO.: JP 1999-377486 A 19991224
JP 1999-374494 A 19991228
JP 2000-177790 A 20000614
WO 2000-JP9157 W 20001222
OTHER SOURCE(S): MARPAT 135:92649
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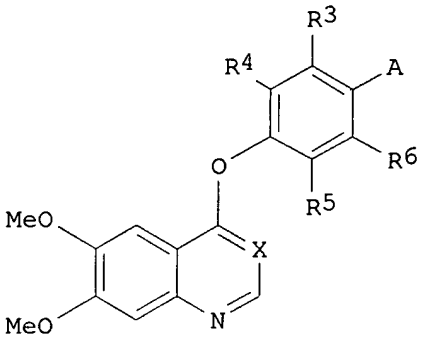

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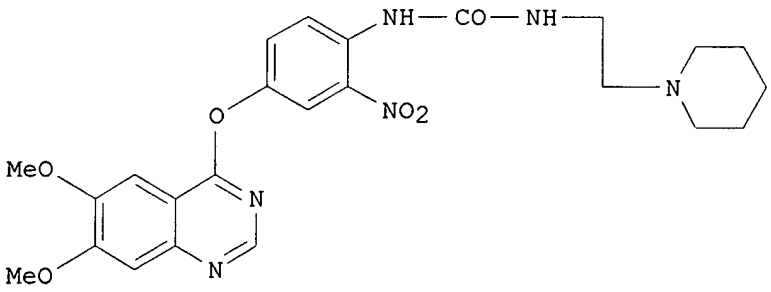


II

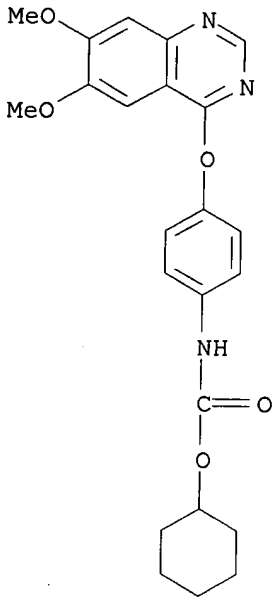
AB Title compds. [I; X = N, CH; R3, R4, R5, R6 independently = H, Cl, F, CH3, CH3O, NO2; A = 4-CH3C6H4CH2OCONH, 3-ClC6H4CH(CH3)OCONH, 4-FC6H4CH2OCONH, 2-ClC6H4CH(CH3)OCONH, 2-ClC6H4CH2CH2CH2OCONH, 4-CF3C6H4CH2OCONH,CH3(CH2)5OCONH, (CH3CH2)2N(CH2)3NHCSNH, YNHCONH, 4-ClC6H4O(CH2)2S, 4-ClC6H4(CH2)2NH, 3-BrC6H4CONHCSNH, C6H5COO, OH, OCH2COOCH3, OCH2COOH; Y = heterocycle, heterocyclylalkyl] and pharmaceutically acceptable salts are prepared as remedies for diseases mediated by autophosphorylation of PDGF receptors, particularly useful as intimal thickening inhibitors. Thus, the title claimed compound II was prepared and biol. tested.

IT **347152-48-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazolines and quinolines as remedies for diseases mediated by autophosphorylation of PDGF receptors)

RN 347152-48-1 HCAPLUS

CN Carbamic acid, [4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Searched by P. Ruppel



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228866 HCAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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BR 2000014116	A	20020521	BR 2000-14116	20000918 <--
EP 1218354	A1	20020703	EP 2000-960840	20000918 <--
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IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003509499	T2	20030311	JP 2001-524975		20000918
EE 200200119	A	20030415	EE 2002-119		20000918
BG 106492	A	20030131	BG 2002-106492		20020307
ZA 2002002234	A	20030619	ZA 2002-2234		20020319
NO 2002001399	A	20020430	NO 2002-1399		20020320 <--
PRIORITY APPLN. INFO.:			GB 1999-22154	A	19990921
			GB 1999-22170	A	19990921
			WO 2000-GB3580	W	20000918

OTHER SOURCE(S): MARPAT 134:266317

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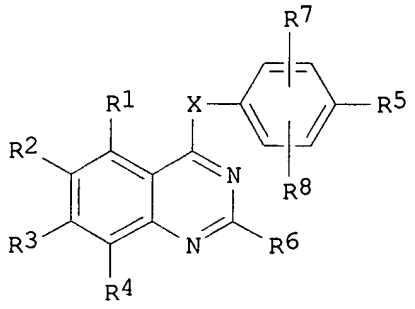

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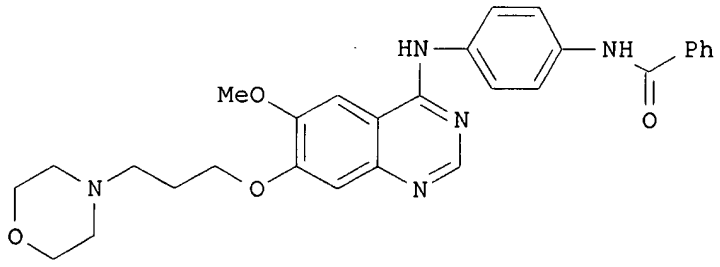


II

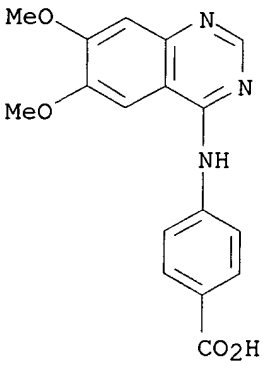
AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the

quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT **331776-86-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331776-86-4 HCAPLUS

CN Benzoic acid, 4-[(6,7-dimethoxy-4-quinazolinyl)amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:228864 HCAPLUS
DOCUMENT NUMBER: 134:252355
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: **Patent**
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021594	A1	20010329	WO 2000-GB3556	20000918 <--

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EE 200200149	A	20030415	EE 2002-149		20000918	
AU 763242	B2	20030717	AU 2000-74325		20000918	
ZA 2002001833	A	20030605	ZA 2002-1833		20020305	
BG 106491	A	20021229	BG 2002-106491		20020307	<--
NO 2002001401	A	20020521	NO 2002-1401		20020320	<--
PRIORITY APPLN. INFO.:			GB 1999-22152	A	19990921	
			GB 1999-22156	A	19990921	
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OTHER SOURCE(S): MARPAT 134:252355

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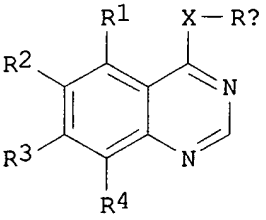

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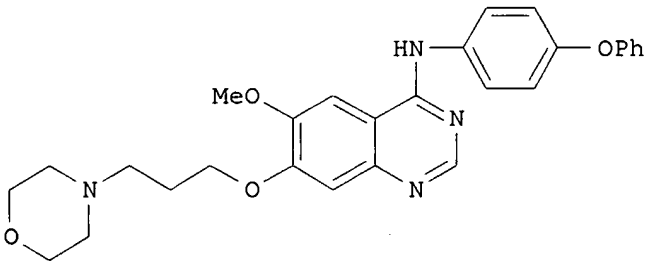


II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR8; R8 = H or alkyl; Ra = (un)substituted 3-quinolinyl or Ph; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R12, or R14X1; R12 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R14 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, 4-phenoxyaniline•HCl and 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline were refluxed in i-PrOH to yield II (86%). The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.069 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 2.89 μM and reduced BrdU incorporation into cellular DNA by 50% at 3.68 μM.

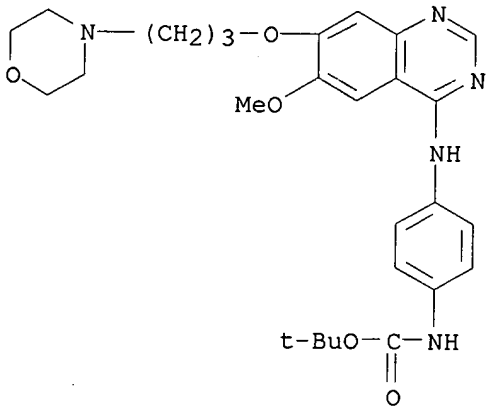
IT **330999-74-1P**, 4-[4-(N-Boc-amino)anilino]-6-methoxy-7-(3-morpholinopropoxy)quinazoline dihydrochloride

Searched by P. Ruppel

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 330999-74-1 HCAPLUS

CN Carbamic acid, [4-[[6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenyl]-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Questions about the scope or the results of the search? Contact *the searcher or contact*:

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Voluntary Results Feedback Form

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- *Relevant prior art* ***found****, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

Types of relevant prior art found:

- ☐ Foreign Patent(s)
- ☐ Non-Patent Literature
  (journal articles, conference proceedings, new product announcements etc.)

- *Relevant prior art* ***not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
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Comments:

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